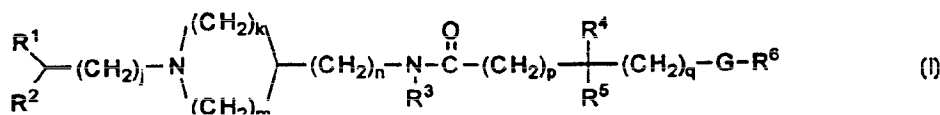




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 211/58, A61K 31/435, 31/41, C07D 207/14, 211/56, 211/26, 207/09, 401/12, 405/12, 409/12, 413/06, 413/14, 409/06, 405/06		A1	(11) International Publication Number: WO 99/25686
			(43) International Publication Date: 27 May 1999 (27.05.99)
(21) International Application Number: PCT/US98/23254			
(22) International Filing Date: 17 November 1998 (17.11.98)			
(30) Priority Data:			
08/972,484	18 November 1997 (18.11.97)	US	
09/055,285	6 April 1998 (06.04.98)	US	
09/133,434	13 August 1998 (13.08.98)	US	
(63) Related by Continuation (CON) or Continuation-in-Part (CIP) to Earlier Applications			
US	08/972,484 (CIP)		
Filed on	18 November 1997 (18.11.97)		
US	09/055,285 (CIP)		
Filed on	6 April 1998 (06.04.98)		
US	09/133,434 (CIP)		
Filed on	13 August 1998 (13.08.98)		
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		Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.	

(54) Title: CYCLIC AMINE DERIVATIVES AND THEIR USE AS DRUGS



(57) Abstract

A compound represented by general formula (I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C₁-C₆ alkyl addition salt thereof, and their medical applications. Since these compounds inhibit the action of chemokines such as MIP-1 α and/or MCP-1 on target cells, they may be useful as a therapeutic drug and/or preventative drug in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues.

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SPECIFICATION

Cyclic Amine Derivatives and Their Use as Drugs

5 Field of the Invention

This invention relates to novel cyclic amine derivatives.

This invention also relates to chemokine receptor antagonists that may be effective as a therapeutic agent and/or preventive agent for diseases such as atherosclerosis, rheumatoid arthritis, psoriasis, asthma, ulcerative colitis, 10 nephritis (nephropathy), multiple sclerosis, pulmonary fibrosis, myocarditis, hepatitis, pancreatitis, sarcoidosis, Crohn's disease, endometriosis, congestive heart failure, viral meningitis, cerebral infarction, neuropathy, Kawasaki disease, and sepsis in which tissue infiltration of blood leukocytes, such as monocytes and lymphocytes, play a major role in the initiation, 15 progression or maintenance of the disease.

Description of related art

Chemokines are a group of inflammatory/immunomodulatory polypeptide factors which have a molecular weight of 6-15 kD and are produced by a variety 20 of cell types, such as macrophages, monocytes, eosinophils, neutrophils, fibroblasts, vascular endothelial cells, smooth muscle cells, and mast cells, at inflammatory sites. The chemokines can be classified into two major subfamilies, the CXC chemokines (or α -chemokines) and CC chemokines (or β -chemokines), by the common location of the four conserved cysteine residues and 25 by the differences in the chromosomal locations of the genes encoding them. The first two cysteines of CXC chemokines are separated by one amino acid and those of CC chemokines are adjacent. For example IL-8 (abbreviation for interleukin-8) is a CXC chemokine, while the CC chemokines include MIP-1 α/β (abbreviation for macrophage inflammatory protein-1 α/β), MCP-1 (abbreviation for monocyte chemoattractant protein-1), and RANTES (abbreviation for regulated upon 30 activation, normal T-cell expressed and secreted). There also exist chemokines which do not fall into either chemokine subfamily. They are lymphotactin, which has only two cysteines and defines the C chemokine, and fractalkine that has a chemokine-like domain in the mucin structure in which the first two cysteines 35 are separated by three amino acids and hence defines CX₃C chemokine. These chemokines promote chemotaxis, cell migration, increase the expression of cellular adhesion molecules such as integrins, and cellular adhesion, and are

thought to be the protein factors intimately involved in the adhesion and infiltration of leukocytes into the pathogenic sites in such as inflammatory tissues (for references, see for example, Vaddi, K., et al., *The Chemokine Facts Book*, Academic Press, 1997; *Chemoattractant Ligand and Their Receptors*, Horuk, R., Ed., CRC Press, 1996; Ward, G.W., et al., *Biochem. J.*, 1998, 333, 457; Luster, A.D., *New Engl. J. Med.*, 1998, 338, 436; Baggiolini, M., *Nature*, 1998, 392, 565; Rollins, B.J., *Blood*, 1997, 90, 909; Alam, R., *J. Allergy Clin. Immunol.*, 1997, 99, 273; Hancock, W.W., *Am. J. Pathol.*, 1996, 148, 681; Taub, D.D., *Cytokine & Growth Factor Rev.*, 1996, 7, 335; Strieter, R.M., et al., *J. Immunol.*, 1996, 156, 3583; Furie, M.B., et al., *Am. J. Pathol.*, 1995, 146, 1287; Schall, T.J., et al., *Current Opinion in Immunology*, 1994, 6, 865; Edginton, S.M., *Biotechnology*, 1993, 11, 676).

For example, MIP-1 α causes a transient increase in intracellular calcium ion concentration levels and induces migration of T lymphocytes, B lymphocytes (see for example, Taub, D.D., et al., *Science*, 1993, 260, 355; Schall, T.J., et al., *J. Exp. Med.*, 1993, 177, 1821), and eosinophiles (see for example, Rot, A., et al., *J. Exp. Med.*, 1992, 176, 1489), chemotaxis of natural killer cells (see for example, Maghazachi, A.A., et al., *J. Immunol.*, 1994, 153, 4969), expression of integrins (see for example, Vaddi, K., et al., *J. Immunol.*, 1994, 153, 4721), and osteoclast differentiation (see for example, Kukita, T., et al., *Lab. Invest.*, 1997, 76, 399). MIP-1 α also enhances IgE and IgG4 production in B cells (see for example, Kimata, H., et al., *J. Exp. Med.*, 1996, 183, 2397) and inhibits hematopoietic stem cell proliferation (see for example, Mayani, H., et al., *Exp. Hematol.*, 1995, 23, 422; Keller, J.R., et al., *Blood*, 1994, 84, 2175; Eaves, C.J., et al., *Proc. Natl. Acad. Sci. USA*, 1993, 90, 12015; Bodine, D.M., et al., *Blood*, 1991, 78, 914; Broxmeyer, H.E., et al., *Blood*, 1990, 76, 1110).

With respect to the activity of MIP-1 α in vivo and its role in the pathogenesis of disease, it has been reported that it is a pyrogen in rabbits (see for example Davatelis, G., et al., *Science*, 1989, 243, 1066); that MIP-1 α injection into mouse foot pads results in an inflammatory reaction such as infiltration by neutrophils and mononuclear cells (see for example Alam, R., et al., *J. Immunol.*, 1994, 152, 1298); that MIP-1 α neutralizing antibody has an inhibitory effect or a therapeutic effect in animal models of granuloma (see for example Lukacs, N.W., et al., *J. Exp. Med.*, 1993, 177, 1551), asthma (see for example Lukacs, N.W., et al., *Eur. J. Immunol.*, 1995, 25, 245; Lukacs, N.W., et al., *J. Immunol.*, 1997, 158, 4398), multiple sclerosis (see for example Karpus,

W.J., et al., J. Immunol., 1995, 155, 5003; Karpus, W.J., et al., J. Leukoc. Biol., 1997, 62, 681), idiopathic pulmonary fibrosis (see for example Smith, R.E., et al., J. Immunol., 1994, 153, 4704; Smith, R.E., Biol. Signals, 1996, 5, 223), acute lung injury (see for example Shanley, T.P., et al., J. Immunol., 5 1995, 154, 4793; Standiford, T.J., et al., J. Immunol., 1995, 155, 1515), and rheumatoid arthritis (see for example Kasama, T., et al., J. Clin. Invest., 1995, 95, 2868); that coxsackie virus induced myocarditis and herpes stromal keratitis are inhibited in mice with a disrupted MIP-1 α gene (see for example Cook, D.N. et al., Science, 1995, 269, 1583; Tumpey, T.M., et al., J. Virology, 1998, 72, 10 3705); and that significant expression of MIP-1 α is observed in patients with chronic inflammatory diseases of lung (see for example Standiford, T.J., et al., J. Immunol., 1993, 151, 2852), hypersensitivity pneumonitis (see for example Denis, M., Am. J. Respir. Crit. Care Med., 1995, 151, 164), rheumatoid arthritis (see for example Koch, A.E., et al., J. Clin. Invest., 1994, 93, 921), infectious 15 meningitis (see for example Lahrtz, F., et al., J. Neuroimmunol., 1998, 85, 33), and chronic inflammation of muscle (see for example Adams, E.M., et al., Proc. Assoc. Am. Physicians, 1997, 109, 275). These studies indicate that MIP-1 α is deeply involved in the local attraction of various subtypes of leukocytes and the initiation, progression and maintenance of resulting inflammatory response.

20 MCP-1 (also known as MCAF (abbreviation for macrophage chemotactic and activating factor) or JE) is a CC chemokine produced by monocytes/macrophages, smooth muscle cells, fibroblasts, and vascular endothelial cells and causes cell migration and cell adhesion of monocytes (see for example Valente, A.J., et al., Biochemistry, 1988, 27, 4162; Matsushima, K., et al., J. Exp. Med., 1989, 169, 25 1485; Yoshimura, T., et al., J. Immunol., 1989, 142, 1956; Rollins, B.J., et al., Proc. Natl. Acad. Sci. USA, 1988, 85, 3738; Rollins, B.J., et al., Blood, 1991, 78, 1112; Jiang, Y., et al., J. Immunol., 1992, 148, 2423; Vaddi, K., et al., J. Immunol., 1994, 153, 4721), memory T lymphocytes (see for example Carr, M.W., et al., Proc. Natl. Acad. Sci. USA, 1994, 91, 3652), T lymphocytes (see 30 for example Loetscher, P., et al., FASEB J., 1994, 8, 1055) and natural killer cells (see for example Loetscher, P., et al., J. Immunol., 1996, 156, 322; Allavena, P., et al., Eur. J. Immunol., 1994, 24, 3233), as well as mediating histamine release by basophils (see for example Alam, R., et al., J. Clin. Invest., 1992, 89, 723; Bischoff, S.C., et al., J. Exp. Med., 1992, 175, 1271; Kuna, P., et 35 al., J. Exp. Med., 1992, 175, 489).

In addition, high expression of MCP-1 has been reported in diseases where accumulation of monocyte/macrophage and/or T cells is thought to be important

in the initiation or progression of diseases, such as atherosclerosis (see for example Hayes, I.M., et al., *Arterioscler. Thromb. Vasc. Biol.*, 1998, 18, 397; Takeya, M., et al., *Hum. Pathol.*, 1993, 24, 534; Yla-Herttuala, S., et al., *Proc. Natl. Acad. Sci. USA*, 1991, 88, 5252; Nelken, N.A., *J. Clin. Invest.*, 1991, 88, 1121), rheumatoid arthritis (see for example Koch, A.E., et al., *J. Clin. Invest.*, 1992, 90, 772; Akahoshi, T., et al., *Arthritis Rheum.*, 1993, 36, 762; Robinson, E., et al., *Clin. Exp. Immunol.*, 1991, 101, 398), nephritis (see for example Noris, M., et al., *Lab. Invest.*, 1995, 73, 804; Wada, T., et al., *Kidney Int.*, 1996, 49, 761; Gesualdo, L., et al., *Kidney Int.*, 1997, 51, 155), nephropathy (see for example Saitoh, A., et al., *J. Clin. Lab. Anal.*, 1998, 12, 1; Yokoyama, H., et al., *J. Leukoc. Biol.*, 1998, 63, 493), pulmonary fibrosis, pulmonary sarcoidosis (see for example Sugiyama, Y., et al., *Internal Medicine*, 1997, 36, 856), asthma (see for example Karina, M., et al., *J. Invest. Allergol. Clin. Immunol.*, 1997, 7, 254; Stephane, T.H., *Am. J. Respir. Crit. Care Med.*, 1997, 156, 1377; Sousa, A.R., et al., *Am. J. Respir. Cell Mol. Biol.*, 1994, 10, 142), multiple sclerosis (see for example McManus, C., et al., *J. Neuroimmunol.*, 1998, 86, 20), psoriasis (see for example Gillitzer, R., et al., *J. Invest. Dermatol.*, 1993, 101, 127), inflammatory bowel disease (see for example Grimm, M.C., et al., *J. Leukoc. Biol.*, 1996, 59, 804; Reinecker, H.C., et al., *Gastroenterology*, 1995, 106, 40), myocarditis (see for example Seino, Y., et al., *Cytokine*, 1995, 7, 301), endometriosis (see for example Jolicoeur, C., et al., *Am. J. Pathol.*, 1998, 152, 125), intraperitoneal adhesion (see for example Zeyneloglu, H.B., et al., *Human Reproduction*, 1998, 13, 1194), congestive heart failure (see for example Aurust, P., et al., *Circulation*, 1998, 97, 1136), chronic liver disease (see for example Marra, F., et al., *Am. J. Pathol.*, 1998, 152, 423), viral meningitis (see for example Lahrtz, F., et al., *Eur. J. Immunol.*, 1997, 27, 2484), Kawasaki disease (see for example Wong, M., et al., *J. Rheumatol.*, 1997, 24, 1179) and sepsis (see for example Salkowski, C.A., et al., *Infect. Immun.*, 1998, 66, 3569). Furthermore, anti-MCP-1 antibody has been reported to show an inhibitory effect or a therapeutic effect in animal models of rheumatoid arthritis (see for example Schimmer, R.C., et al., *J. Immunol.*, 1998, 160, 1466; Schrier, D.J., *J. Leukoc. Biol.*, 1998, 63, 359; Ogata, H., et al., *J. Pathol.*, 1997, 182, 106), multiple sclerosis (see for example Karpus, W.J., et al., *J. Leukoc. Biol.*, 1997, 62, 681), nephritis (see for example Lloyd, C.M., et al., *J. Exp. Med.*, 1997, 185, 1371; Wada, T., et al., *FASEB J.*, 1996, 10, 1418), Asthma (see for example Gonzalo, J.-A., et al., *J. Exp. Med.*, 1998, 188, 157; Lukacs, N.W., *J. Immunol.*, 1997, 158, 4398), atherosclerosis (see for example Guzman, L.A., et al.,

Circulation, 1993, 88 (suppl.), I-371), delayed type hypersensitivity (see for example Rand, M.L., et al., Am. J. Pathol., 1996, 148, 855), pulmonary hypertension (see for example Kimura, H., et al., Lab. Invest., 1998, 78, 571), and intraperitoneal adhesion (see for example Zeyneloglu, H.B., et al., Am. J. Obstet. Gynecol., 1998, 179, 438). A peptide antagonist of MCP-1, MCP-1(9-76), has been also reported to inhibit arthritis in the mouse model (see Gong, J.-H., J. Exp. Med., 1997, 186, 131), as well as studies in MCP-1-deficient mice have shown that MCP-1 is essential for monocyte recruitment in vivo (see Lu, B., et al., J. Exp. Med., 1998, 187, 601; Gu, L., et al., Moll. Cell, 1998, 2, 275).

These data indicate that chemokines such as MIP-1 α and MCP-1 attract monocytes and lymphocytes to disease sites and mediate their activation and thus are thought to be intimately involved in the initiation, progression and maintenance of diseases deeply involving monocytes and lymphocytes, such as atherosclerosis, rheumatoid arthritis, psoriasis, asthma, ulcerative colitis, nephritis (nephropathy), multiple sclerosis, pulmonary fibrosis, myocarditis, hepatitis, pancreatitis, sarcoidosis, Crohn's disease, endometriosis, congestive heart failure, viral meningitis, cerebral infarction, neuropathy, Kawasaki disease, and sepsis (see for example Rovin, B.H., et al., Am. J. Kidney Dis., 1998, 31, 1065; Lloyd, C., et al., Curr. Opin. Nephrol. Hypertens., 1998, 7, 281; Conti, P., et al., Allergy and Asthma Proc., 1998, 19, 121; Ransohoff, R.M., et al., Trends Neurosci., 1998, 21, 154; MacDermott, R.P., et al., Inflammatory Bowel Diseases, 1998, 4, 54). Therefore, drugs which inhibit the action of chemokines on target cells may be effective as a therapeutic and/or preventive drug in the diseases.

Genes encoding receptors of specific chemokines have been cloned, and it is now known that these receptors are G protein-coupled seven-transmembrane receptors present on various leukocyte populations. So far, at least five CXC chemokine receptors (CXCR1-CXCR5) and eight CC chemokine receptors (CCR1-CCR8) have been identified. For example IL-8 is a ligand for CXCR1 and CXCR2, MIP-1 α is that for CCR1 and CCR5, and MCP-1 is that for CCR2A and CCR2B (for reference, see for example, Holmes, W.E., et al., Science 1991, 253, 1278-1280; Murphy P.M., et al., Science, 253, 1280-1283; Neote, K. et al., Cell, 1993, 72, 415-425; Charo, I.F., et al., Proc. Natl. Acad. Sci. USA, 1994, 91, 2752-2756; Yamagami, S., et al., Biochem. Biophys. Res. Commun., 1994, 202, 1156-1162; Combadiere, C., et al., The Journal of Biological Chemistry, 1995, 270, 16491-16494, Power, C.A., et al., J. Biol. Chem., 1995, 270, 19495-19500; Samson, M., et al.,

Biochemistry, 1996, 35, 3362-3367; Murphy, P.M., Annual Review of Immunology, 1994, 12, 592-633). It has been reported that lung inflammation and granuloma formation are suppressed in CCR1 deficient mice (see Gao, J.-L., et al., J. Exp. Med., 1997, 185, 1959; Gerard, C., et al., J. Clin. Invest., 1997, 100, 2022),
5 and that recruitment of macrophages and formation of atherosclerotic lesion decreased in CCR2-deficient mice (see Boring, L., et al., Nature, 1998, 394, 894; Kuziel, W.A., et al., Proc. Natl. Acad. Sci., USA, 1997, 94, 12053; Kurihara, T., et al., J. Exp. Med., 1997, 186, 1757; Boring, L., et al., J. Clin. Invest., 1997, 100, 2552). Therefore, compound which inhibit the binding of chemokines
10 such as MIP-1 α and/or MCP-1 to these receptors, that is, chemokine receptor antagonist, may be useful as drugs which inhibit the action of chemokines such as MIP-1 α and/or MCP-1 on the target cells, but there are no drugs known to have such effects.

The cyclic amine derivatives provided by the present invention is quite
15 novel. Recently, it has been reported that the diphenylmethane derivatives (WO9724325; Hesselgesser, J., et al., J. Biol. Chem., 1998, 273, 15687), piperidine derivatives (JP9-249566), imidazobenzodiazepine derivatives (JP9-249570), benzazocine derivatives (JP9-255572), tricyclic compounds with cyclic amino group (WO9804554), phenothiazine derivatives (Bright, C., et al.,
20 Bioorg. Med. Chem. Lett., 1998, 8, 771), pieprazine derivatives (WO9744329), benzimidazole derivatives (WO9806703), distamycin analogues (Howard, O.M.Z., et al., J. Med. Chem., 1998, 41, 2184), bis-acridine derivatives (WO9830218), spiro-substituted azacycles (WO9825604; WO9825605), substituted aryl piperazines (WO9825617), aminoquinoline derivatives (WO9827815), 3-
25 arylpiperidine derivatives (WO9831364), hexanoic amide derivatives (WO9838167), and other small molecules (WO9744329; WO9802151; WO9804554) have antagonistic activity of chemokine receptor, such as CXCR1, CXCR4, CCR1, CCR2, CCR3, and CCR5. However, these compounds differ from the compound of the present invention.

30 Summary of the Invention

Therefore, it is an object of the present invention to provide small molecule compound which inhibits the binding of chemokines such as MIP-1 α and/or MCP-1 to their receptors on the target cells.

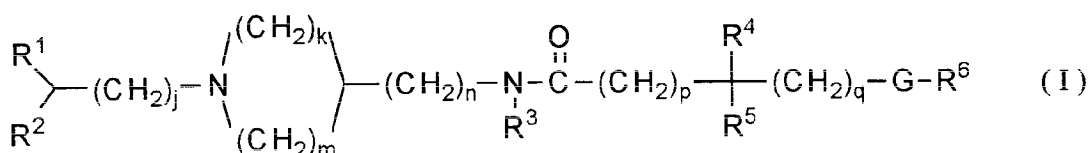
It is another object of the present invention to establish a method to
35 inhibit the binding to the receptors on the target cells and/or effects on target cells of chemokines such as MIP-1 α and/or MCP-1.

It is an additional object of the present invention to propose a method

for the treatment of diseases for which the binding of chemokines such as MIP-1 α and/or MCP-1 to the receptor on the target cell is one of the causes.

As a result of intensive studies, the present inventors discovered that a cyclic amine derivative having a arylalkyl group, its pharmaceutically acceptable C₁-C₆ alkyl addition salt or its pharmaceutically acceptable acid addition salt has an excellent activity to inhibit the binding of chemokines such as MIP-1 α and/or MCP-1 and the like to the receptor of a target cell, which has led to the completion of this invention.

That is, the present invention is a compound of the formula (I) below:



, a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C₁-C₆ alkyl addition salt thereof (**Invention 1**),

wherein R¹ is a phenyl group, a C₃-C₈ cycloalkyl group, or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, in which the phenyl or aromatic heterocyclic group may be condensed with a benzene ring or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, to form a condensed ring, and the phenyl group, C₃-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring may be substituted with one or more of a halogen atom, a hydroxy group, a cyano group, a nitro group, a carboxy group, a carbamoyl group, a C₁-C₆ alkyl group, a C₃-C₈ cycloalkyl group, a C₂-C₆ alkenyl group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₃-C₅ alkylene group, a C₂-C₄ alkyleneoxy group, a C₁-C₃ alkylenedioxy group, a phenyl group, a phenoxy group, a phenylthio group, a benzyl group, a benzyloxy group, a benzoylamino group, a C₂-C₇ alkanoyl group, a C₂-C₇ alkoxy carbonyl group, a C₂-C₇ alkanoyloxy group, a C₂-C₇ alkanoylamino group, a C₂-C₇ N-alkylcarbamoyl group, a C₄-C₆ N-cycloalkylcarbamoyl group, a C₁-C₆ alkylsulfonyl group, a C₃-C₈ (alkoxy carbonyl)methyl group, a N-phenylcarbamoyl group, a piperidinocarbonyl group, a morpholinocarbonyl group, a 1-pyrrolidinylcarbonyl group, a divalent group represented by the formula: -NH(C=O)O-, a divalent group represented by the formula: -NH(C=S)O-, an amino

group, a mono(C₁-C₆ alkyl)amino group, or a di(C₁-C₆ alkyl)amino group, wherein the substituent for the phenyl group, C₃-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring is optionally substituted with one or more of a halogen atom, a hydroxy group, an amino group, a trifluoromethyl group,
 5 a C₁-C₆ alkyl group, or a C₁-C₆ alkoxy group;

R² is a hydrogen atom, a C₁-C₆ alkyl group, a C₂-C₇ alkoxy carbonyl group, a hydroxy group, or a phenyl group, in which the C₁-C₆ alkyl or phenyl group may be substituted with one or more of a halogen atom, a hydroxy group, a C₁-C₆ alkyl group, or a C₁-C₆ alkoxy group, and when j = 0, R² is not a hydroxy group;

10 j represents an integer of 0-2;

k represents an integer of 0-2;

m represents an integer of 2-4;

n represents 0 or 1;

R³ is a hydrogen atom or a C₁-C₆ alkyl group optionally substituted with
 15 one or two phenyl groups each of which may be substituted with one or more of a halogen atom, a hydroxy group, a C₁-C₆ alkyl group, or a C₁-C₆ alkoxy group;

R⁴ and R⁵ are the same or different from each other and are a hydrogen atom, a hydroxy group, a phenyl group, or a C₁-C₆ alkyl group, in which the C₁-C₆ alkyl group is optionally substituted with one or more of a halogen atom, a hydroxy group,
 20 group, a cyano group, a nitro group, a carboxy group, a carbamoyl group, a mercapto group, a guanidino group, a C₃-C₈ cycloalkyl group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a phenyl group optionally substituted with one or more of a halogen atom, a hydroxy group, a C₁-C₆ alkyl group, a C₁-C₆ alkoxy group, or a benzyloxy group, a phenoxy group, a benzyloxy group, a benzyloxycarbonyl group,
 25 a C₂-C₇ alkanoyl group, a C₂-C₇ alkoxy carbonyl group, a C₂-C₇ alkanoyloxy group, a C₂-C₇ alkanoylamino group, a C₂-C₇ N-alkylcarbamoyl group, a C₁-C₆ alkylsulfonyl group, an amino group, a mono(C₁-C₆ alkyl)amino group, a di(C₁-C₆ alkyl)amino group, or an aromatic heterocyclic group having 1-3 of heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a
 30 combination thereof and optionally condensed with benzene ring, or R⁴ and R⁵ taken together form a 3 to 6 membered cyclic hydrocarbon;

p represents 0 or 1;

q represents 0 or 1;

G is a group represented by -CO-, -SO₂-, -CO-O-, -NR⁷-CO-, -CO-NR⁷-,
 35 -NH-CO-NH-, -NH-CS-NH-, -NR⁷-SO₂-, -SO₂-NR⁷-, -NH-CO-O-, or -O-CO-NH-, wherein R⁷ is a hydrogen atom or a C₁-C₆ alkyl group, or R⁷ taken together with R⁵ represents C₂-C₆ alkylene group;

R^6 is a phenyl group, a C_3 - C_8 cycloalkyl group, a C_3 - C_8 cycloalkenyl group, a benzyl group, or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, in which the phenyl, benzyl, or aromatic heterocyclic group may be condensed with a benzene ring or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, to form a condensed ring, and the phenyl group, C_3 - C_8 cycloalkyl group, C_3 - C_8 cycloalkenyl group, benzyl group, aromatic heterocyclic group, or condensed ring may be substituted with one or more of a halogen atom, a hydroxy group, a mercapto group, a cyano group, a nitro group, a thiocyanato group, a carboxy group, a carbamoyl group, a trifluoromethyl group, a C_1 - C_6 alkyl group, a C_3 - C_6 cycloalkyl group, a C_2 - C_6 alkenyl group, a C_1 - C_6 alkoxy group, a C_3 - C_8 cycloalkyloxy group, a C_1 - C_6 alkylthio group, a C_1 - C_3 alkylenedioxy group, a phenyl group, a phenoxy group, a phenylamino group, a benzyl group, a benzoyl group, a phenylsulfinyl group, a phenylsulfonyl group, a 3-phenylureido group, a C_2 - C_7 alkanoyl group, a C_2 - C_7 alkoxycarbonyl group, a C_2 - C_7 alkanoyloxy group, a C_2 - C_7 alkanoylamino group, a C_2 - C_7 *N*-alkylcarbamoyl group, a C_1 - C_6 alkylsulfonyl group, a phenylcarbamoyl group, a *N,N*-di(C_1 - C_6 alkyl)sulfamoyl group, an amino group, a mono(C_1 - C_6 alkyl)amino group, a di(C_1 - C_6 alkyl)amino group, a benzylamino group, a C_2 - C_7 (alkoxycarbonyl)amino group, a C_1 - C_6 (alkylsulfonyl)amino group, or a bis(C_1 - C_6 alkylsulfonyl)amino group, wherein the substituent for the phenyl group, C_3 - C_8 cycloalkyl group, C_3 - C_8 cycloalkenyl group, benzyl group, aromatic heterocyclic group, or condensed ring is optionally substituted with one or more of a halogen atom, a cyano group, a hydroxy group, an amino group, trifluoromethyl group, a C_1 - C_6 alkyl group, a C_1 - C_6 alkoxy group, a C_1 - C_6 alkylthio group, a mono(C_1 - C_6 alkyl)amino group, or a di(C_1 - C_6 alkyl)amino group.

Also the present invention is a method of inhibiting the binding of a chemokine to the receptor of a target cell and/or its action on a target cell using a pharmaceutical preparation containing a therapeutically effective amount of a compound represented by the above formula (I), a pharmaceutically acceptable acid addition salt thereof, or a pharmaceutically acceptable C_1 - C_6 alkyl addition salt thereof (**Invention 2**).

Here, the compound represented by the above formula (I) have activities to inhibit the binding of chemokines such as MIP-1 α and/or MCP-1 and the like

to the receptor of a target cell and activities to inhibit physiological activities of cells caused by chemokines such as MIP-1 α and/or MCP-1 and the like.

5 Description of the Preferred Embodiments

(1) On Invention 1

In the above formula (I), R¹ is a phenyl group, a C₃-C₈ cycloalkyl group, or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, in which the phenyl or aromatic heterocyclic group may be condensed with a benzene ring or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, to form a condensed ring, and the phenyl group, C₃-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring may be substituted with one or more of a halogen atom, a hydroxy group, a cyano group, a nitro group, a carboxy group, a carbamoyl group, a C₁-C₆ alkyl group, a C₃-C₈ cycloalkyl group, a C₂-C₆ alkenyl group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₃-C₅ alkylene group, a C₂-C₄ alkyleneoxy group, a C₁-C₃ alkyleneedioxy group, a phenyl group, a phenoxy group, a phenylthio group, a benzyl group, a benzyloxy group, a benzoylamino group, a C₂-C₇ alkanoyl group, a C₂-C₇ alkoxy carbonyl group, a C₂-C₇ alkanoyloxy group, a C₂-C₇ alkanoylamino group, a C₂-C₇ N-alkylcarbamoyl group, a C₄-C₆ N-cycloalkylcarbamoyl group, a C₁-C₆ alkylsulfonyl group, a C₃-C₈ (alkoxy carbonyl)methyl group, a N-phenylcarbamoyl group, a piperidinocarbonyl group, a morpholinocarbonyl group, a 1-pyrrolidinylcarbonyl group, a divalent group represented by the formula: -NH(C=O)O-, a divalent group represented by the formula: -NH(C=S)O-, an amino group, a mono(C₁-C₆ alkyl)amino group, or a di(C₁-C₆ alkyl)amino group.

The "C₃-C₈ cycloalkyl group" for R¹ means a cyclic alkyl group such as a cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl group, specifically including a cyclopropyl, cyclopentyl, and cyclohexyl group.

The "aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof" for R¹ is specifically, for example, thienyl, furyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazinyl, triazolyl, oxadiazolyl (furazanyl),

thiadiazolyl group and the like, preferably including a thienyl, furyl, pyrrolyl, isoxazolyl, and pyridyl group.

5 The "condensed ring" for R^1 means a ring obtained by the condensation with a benzene ring or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen
10 atom of a phenyl group or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom and/or a nitrogen atom, at any possible sites, suitably and specifically for example, naphthyl, indolyl, benzofuranyl, benzothienyl, quinolyl, benzimidazolyl, benzoxazolyl, benzotriazolyl, benzoxadiazolyl (benzofurazanyl), and ben-
zothiadiazolyl group.

Among them, a phenyl group and an isoxazolyl group can be listed as a preferred specific example for R^1 .

15 The "halogen atom" as a substituent for the phenyl group, C_3 - C_8 cycloalkyl group, aromatic heterocyclic group, or condensed ring in R^1 includes a fluorine atom, chlorine atom, bromine atom, and iodine atom, suitably including a fluorine atom, chlorine atom, and bromine atom.

20 The " C_1 - C_6 alkyl group" as a substituent for R^1 means a C_1 - C_6 straight-chain or a branched alkyl group such as a methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, n-heptyl, n-octyl, isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl, tert-pentyl, isohexyl, 2-methylpentyl, 1-ethylbutyl group, and the like, suitably specifically including a methyl, ethyl, propyl, and isopropyl group.

25 The " C_3 - C_8 cycloalkyl group" as a substituent for R^1 is the same as defined for the aforementioned " C_3 - C_8 cycloalkyl group" for R^1 , where the same examples can be given for the preferred specific examples.

30 The " C_2 - C_6 alkenyl group" as a substituent for R^1 means a C_2 - C_6 straight-chain or a branched alkenyl group such as a vinyl, allyl, 1-propenyl, 2-butenyl, 3-butenyl, 2-methyl-1-propenyl, 4-pentenyl, 5-hexenyl, 4-methyl-3-pentenyl group, and the like, suitably specifically including a vinyl and 2-methyl-1-propenyl group.

35 The " C_1 - C_6 alkoxy group" as a substituent for R^1 means group consisting of the aforementioned C_1 - C_6 alkyl group and oxy group, specifically, for example, a methoxy and ethoxy group.

The " C_1 - C_6 alkylthio group" as a substituent for R^1 means group consisting of the aforementioned C_1 - C_6 alkyl group and thio group, specifically, for example,

a methylthio and ethylthio group.

The " C_3 - C_5 alkylene group" as a substituent for R^1 means the C_3 - C_5 divalent alkylene group such as a trimethylene, tetramethylene, pentamethylene, and 1-methyltrimethylene group, specifically, for example, a trimethylene and a tetramethylene group.

The " C_2 - C_4 alkyleneoxy group" as a substituent for R^1 means group consisting of the aforementioned C_2 - C_4 divalent alkylene group and oxy group such as a ethyleneoxy ($-\text{CH}_2\text{CH}_2\text{O}-$), trimethyleneoxy ($-\text{CH}_2\text{CH}_2\text{CH}_2\text{O}-$), tetramethyleneoxy ($-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{O}-$), and 1,1-dimethylethyleneoxy ($-\text{CH}_2\text{C}(\text{CH}_3)_2\text{O}-$) group, specifically, for example, a ethyleneoxy and trimethyleneoxy group.

The " C_1 - C_3 alkylenedioxy group" as a substituent for R^1 means group consisting of C_1 - C_3 divalent alkylene group and two oxy groups such as a methylenedioxy ($-\text{OCH}_2\text{O}-$), ethylenedioxy ($-\text{OCH}_2\text{CH}_2\text{O}-$), trimethylenedioxy ($-\text{OCH}_2\text{CH}_2\text{CH}_2\text{O}-$), and propylenedioxy ($-\text{OCH}_2\text{CH}(\text{CH}_3)\text{O}-$) group, specifically, for example, a methylenedioxy and ethylenedioxy group.

The " C_2 - C_7 alkanoyl group" as a substituent for R^1 means C_2 - C_7 straight-chain or branched alkanoyl group such as an acetyl, propanoyl, butanoyl, pentanoyl, hexanoyl, heptanoyl, isobutyryl, 3-methylbutanoyl, 2-methylbutanoyl, pivaloyl, 4-methylpentanoyl, 3,3-dimethylbutanoyl, 5-methylhexanoyl group, and the like, where the preferred and specific example includes an acetyl group.

The " C_2 - C_7 alkoxy carbonyl group" as a substituent for R^1 means group consisting of the aforementioned C_1 - C_6 alkoxy group and carbonyl group, preferably and specifically for example, a methoxycarbonyl and ethoxycarbonyl group.

The " C_2 - C_7 alkanoyloxy group" as a substituent for R^1 means group consisting of the aforementioned C_2 - C_7 alkanoyl group and oxy group, specifically, for example, an acetyloxy group.

The " C_2 - C_7 alkanoylamino group" as a substituent for R^1 means group consisting of the aforementioned C_2 - C_7 alkanoyl group and amino group, specifically, for example, an acetylamino group.

The " C_2 - C_7 *N*-alkylcarbamoyl group" as a substituent for R^1 means group consisting of the aforementioned C_1 - C_6 alkyl group and carbamoyl group, specifically, for example, a *N*-methylcarbamoyl and *N*-ethylcarbamoyl group.

The " C_4 - C_6 *N*-cycloalkylcarbamoyl group" as a substituent for R^1 means group consisting of the aforementioned C_3 - C_5 cycloalkyl group and carbamoyl group, specifically, for example, a *N*-cyclopentylcarbamoyl and *N*-cyclohexylcarbamoyl group.

The " C_1 - C_6 alkylsulfonyl group" as a substituent for R^1 means group

consisting of the aforementioned C₁-C₆ alkyl group and sulfonyl group, preferably and specifically, for example, a methylsulfonyl group.

5 The "C₃-C₈ (alkoxycarbonyl)methyl group" as a substituent for R¹ means group consisting of the aforementioned C₂-C₇ alkoxycarbonyl group and methyl group, preferably and specifically for example, a (methoxycarbonyl)methyl and (ethoxycarbonyl)methyl group.

The "mono(C₁-C₆ alkyl)amino group" as a substituent for R¹ means amino group substituted with one of the aforementioned C₁-C₆ alkyl group, preferably and specifically, for example, a methylamino and ethyl amino group.

10 The "di(C₁-C₆ alkyl)amino group" as a substituent for R¹ means amino group substituted with the same or different two C₁-C₆ alkyl group aforementioned, preferably and specifically, for example, a dimethylamino, diethylamino, and N-ethyl-N-methylamino group.

15 Among them, a halogen atom, a hydroxy group, a C₁-C₆ alkyl group, a C₂-C₆ alkenyl group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₂-C₄ alkyleneoxy group, a methylenedioxy group, a N-phenylcarbamoyl group, an amino group, a mono(C₁-C₆ alkyl)amino group, and a di(C₁-C₆ alkyl)amino group can be listed as a preferred specific example for substituent for the phenyl group, C₃-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring in R¹.

20 Furthermore above substituent for the phenyl group, C₂-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring in R¹ are optionally substituted with one or more of a halogen atom, a hydroxy group, an amino group, a trifluoromethyl group, a C₁-C₆ alkyl group, or a C₁-C₆ alkoxy group. The halogen atom, C₁-C₆ alkyl group, and C₁-C₆ alkoxy group are the same as defined for the aforementioned substituents for the phenyl group, C₃-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring in R¹, and the same examples can be listed as preferred specific examples.

30

In the above formula (I), R² represents a hydrogen atom, a C₁-C₆ alkyl group, a C₂-C₇ alkoxycarbonyl group, a hydroxy group, or a phenyl group, in which the C₁-C₆ alkyl or phenyl group may be substituted with one or more of a halogen atom, a hydroxy group, a C₁-C₆ alkyl group, or a C₁-C₆ alkoxy group, and when
35 j = 0, R² is not a hydroxy group.

The C₁-C₆ alkyl group and C₂-C₇ alkoxycarbonyl group for R² are the same as defined for the aforementioned substituent for the phenyl group, C₃-C₈

cycloalkyl group, aromatic heterocyclic group, or condensed ring in R^1 , and the same examples can be listed as preferred specific examples.

5 The halogen atom, C_1-C_6 alkyl group, and C_1-C_6 alkoxy group as substituents for the C_1-C_6 alkyl or phenyl group in R^2 are the same as defined for the aforementioned substituent for the phenyl group, C_3-C_8 cycloalkyl group, aromatic heterocyclic group, or condensed ring in R^1 , and the same examples can be listed as preferred specific examples.

Among them, a hydrogen atom is a preferred specific example for R^2 .

10 In the above formula (I), j represents an integer of 0-2. It is particularly preferred for j to be 0.

In the above formula (I), k represents an integer of 0-2 and m represents an integer of 2-4. It is preferred to use a 2-substituted pyrrolidine in which
15 k is 0 and m is 3, a 3-substituted pyrrolidine in which k is 1 and m is 2, a 3-substituted piperidine in which k is 1 and m is 3, a 4-substituted piperidine in which k is 2 and m is 2, or 3-substituted hexahydroazepine in which k is 1 and m is 4.

n in the above formula (I) represents 0 or 1.

20 Especially, 3-amidopyrrolidines in which k is 1, m is 2, and n is 0 and 4-(amidomethyl)piperidines in which k is 2, m is 2, and n is 1 can be listed as a particularly preferred example.

R^3 in the above formula (I) represents a hydrogen atom or a C_1-C_6 alkyl group optionally substituted with one or two phenyl groups each of which may
25 be substituted with one or more of a halogen atom, a hydroxy group, a C_1-C_6 alkyl group, or a C_1-C_6 alkoxy group.

The C_1-C_6 alkyl group for R^3 is the same as defined for the aforementioned substituents for the phenyl group, C_3-C_8 cycloalkyl group, aromatic heterocyclic
30 group, or condensed ring in R^1 , specifically, for example, a methyl, ethyl and propyl group.

The halogen atom, C_1-C_6 alkyl group, and C_1-C_6 alkoxy group as substituents for the phenyl group, which is a substituent for C_1-C_6 alkyl group in R^3 , are the same as defined for the aforementioned substituents for the phenyl group,
35 C_3-C_8 cycloalkyl group, aromatic heterocyclic group, or condensed ring in R^1 , and the same examples can be listed as preferred specific examples.

Among them, a hydrogen atom is a preferred specific example for R^3 .

In the above formula (I), R^4 and R^5 are the same or different from each other and are a hydrogen atom, a hydroxy group, a phenyl group, or a C_1 - C_6 alkyl group, in which the C_1 - C_6 alkyl group is optionally substituted with one or more of a halogen atom, a hydroxy group, a cyano group, a nitro group, a carboxy group, a carbamoyl group, a mercapto group, a guanidino group, a C_3 - C_8 cycloalkyl group, a C_1 - C_6 alkoxy group, a C_1 - C_6 alkylthio group, a phenyl group optionally substituted with one or more of a halogen atom, a hydroxy group, a C_1 - C_6 alkyl group, a C_1 - C_6 alkoxy group, or a benzyloxy group, a phenoxy group, a benzyloxy group, a benzyloxycarbonyl group, a C_2 - C_7 alkanoyl group, a C_2 - C_7 alkoxy carbonyl group, a C_2 - C_7 alkanoyloxy group, a C_2 - C_7 alkanoylamino group, a C_2 - C_7 *N*-alkylcarbamoyl group, a C_1 - C_6 alkylsulfonyl group, an amino group, a mono(C_1 - C_6 alkyl) amino group, a di(C_1 - C_6 alkyl) amino group, or an aromatic heterocyclic group having 1-3 of heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof and optionally condensed with benzene ring, or R^4 and R^5 taken together form a 3 to 6 membered cyclic hydrocarbon.

The C_1 - C_6 alkyl group for R^4 and R^5 is the same as defined for the aforementioned substituent for the phenyl group, C_3 - C_8 cycloalkyl group, aromatic heterocyclic group, or condensed ring in R^1 , and the same examples can be listed as preferred specific examples.

The halogen atom, C_1 - C_6 alkoxy group, C_1 - C_6 alkylthio group, C_2 - C_7 alkanoyl group, C_2 - C_7 alkoxy carbonyl group, C_2 - C_7 alkanoyloxy group, C_2 - C_7 alkanoylamino group, C_2 - C_7 *N*-alkylcarbamoyl group, C_1 - C_6 alkylsulfonyl group, mono(C_1 - C_6 alkyl) amino group, and di(C_1 - C_6 alkyl) amino group as a substituent for the C_1 - C_6 alkyl group in R^4 and R^5 are the same as defined for the aforementioned substituent for the phenyl group, C_3 - C_8 cycloalkyl group, aromatic heterocyclic group, or condensed ring in R^1 , and the same examples can be listed as preferred specific examples.

The C_3 - C_8 cycloalkyl group and aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof as substituent for the C_1 - C_6 alkyl group in R^4 and R^5 are the same as defined for the aforementioned group for R^1 , and the same examples can be listed as preferred specific examples.

The halogen atom, C_1 - C_6 alkyl group, and C_1 - C_6 alkoxy group for the substituent for the phenyl group which is substituent for the C_1 - C_6 alkyl group in R^4 and R^5 are the same as defined for the aforementioned substituent for the phenyl group, C_3 - C_8 cycloalkyl group, aromatic heterocyclic group, or condensed

ring in R^1 , and the same examples can be listed as preferred specific examples.

The "3 to 6 membered cyclic hydrocarbon" consisting of R^4 , R^5 , and the adjacent carbon atom includes a cyclopropane, cyclobutane, cyclopentane, and cyclohexane.

5 Among them, a hydrogen atom and a C_1 - C_6 alkyl group can be listed as a preferred specific example for R^4 and R^5 .

In the above formula (I), p represents 0 or 1, and q represents 0 or 1. It is particularly preferred for both p and q to be 0.

10

In the above formula (I), G is a group represented by $-CO-$, $-SO_2-$, $-CO-O-$, $-NR^7-CO-$, $-CO-NR^7-$, $-NH-CO-NH-$, $-NH-CS-NH-$, $-NR^7-SO_2-$, $-SO_2-NR^7-$, $-NH-CO-O-$, or $-O-CO-NH-$, wherein R^7 is a hydrogen atom or a C_1 - C_6 alkyl group, or R^7 taken together with R^5 represents a C_2 - C_5 alkylene group.

15

In the above formula, $-CO-$ means a carbonyl group, $-SO_2-$ means a sulfonyl group, and $-CS-$ means a thiocarbonyl group. Preferred G group is specifically, for example, those represented by the formula $-NR^7-CO-$ and $-NH-CO-NH-$.

20

The C_1 - C_6 alkyl group for R^7 are the same as defined for the aforementioned substituent for the phenyl group, C_3 - C_8 cycloalkyl group, aromatic heterocyclic group, or condensed ring in R^1 , and the same examples can be listed as preferred specific examples.

25

The " C_2 - C_5 alkylene group" consisting of R^5 and R^7 means C_2 - C_5 straight-chain or branched alkylene group such as a methylene, ethylene, propylene, trimethylene, tetramethylene, 1-methyltrimethylene, pentamethylene group, and the like, suitably and specifically including a ethylene, trimethylene and tetramethylene group.

A hydrogen atom is a preferred specific example for R^5 .

30

In the above formula (I), R^6 is a phenyl group, a C_3 - C_8 cycloalkyl group, a C_3 - C_8 cycloalkenyl group, a benzyl group, or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, in which the phenyl, benzyl, or aromatic heterocyclic group may be condensed with a benzene ring or an aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, to form a condensed ring, and the phenyl group, C_3 - C_8 cycloalkyl group, C_3 - C_8 cycloalkenyl group, benzyl group, aromatic heterocyclic group, or condensed

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ring may be substituted with one or more of a halogen atom, a hydroxy group, a mercapto group, a cyano group, a nitro group, a thiocyanato group, a carboxy group, a carbamoyl group, a trifluoromethyl group, a C₁-C₆ alkyl group, a C₃-C₈ cycloalkyl group, a C₂-C₆ alkenyl group, a C₁-C₆ alkoxy group, a C₃-C₈ cycloalkyloxy group, a C₁-C₆ alkylthio group, a C₁-C₃ alkylenedioxy group, a phenyl group, a phenoxy group, a phenylamino group, a benzyl group, a benzoyl group, a phenylsulfinyl group, a phenylsulfonyl group, a 3-phenylureido group, a C₂-C₇ alkanoyl group, a C₂-C₇ alkoxycarbonyl group, a C₂-C₇ alkanoyloxy group, a C₂-C₇ alkanoylamino group, a C₂-C₇ *N*-alkylcarbamoyl group, a C₁-C₆ alkylsulfonyl group, a phenylcarbamoyl group, a *N,N*-di(C₁-C₆ alkyl)sulfamoyl group, an amino group, a mono(C₁-C₆ alkyl)amino group, a di(C₁-C₆ alkyl)amino group, a benzylamino group, a C₂-C₇ (alkoxycarbonyl)amino group, a C₁-C₆ (alkylsulfonyl)amino group, or a bis(C₁-C₆ alkylsulfonyl)amino group.

The C₃-C₈ cycloalkyl group, aromatic heterocyclic group having 1-3 heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom, a nitrogen atom, or a combination thereof, and the condensed ring for R⁶ are the same as defined for the aforementioned R¹, and the same examples can be listed as preferred specific examples.

The "C₃-C₈ cycloalkenyl group" for R⁶ means a cyclic alkenyl group such as a cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl group, specifically including a 1-cyclopentenyl and 1-cyclohexenyl group.

Among them, a phenyl group, a furyl group, and a thienyl group can be listed as a preferred specific example for R⁶.

The halogen atom, C₁-C₆ alkyl group, C₂-C₆ alkenyl group, C₁-C₆ alkoxy group, C₁-C₆ alkylthio group, C₁-C₃ alkylenedioxy group, C₂-C₇ alkanoyl group, C₂-C₇ alkoxycarbonyl group, C₂-C₇ alkanoyloxy group, C₂-C₇ alkanoylamino group, C₂-C₇ *N*-alkylcarbamoyl group, C₁-C₆ alkylsulfonyl group, mono(C₁-C₆ alkyl)amino group, and di(C₁-C₆ alkyl)amino group as a substituent for the phenyl group, C₃-C₈ cycloalkyl group, C₃-C₈ cycloalkenyl group, benzyl group, aromatic heterocyclic group, or condensed ring in R⁶ are the same as defined for the aforementioned substituent for the phenyl group, C₃-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring in R¹, and the same examples can be listed as preferred specific examples.

The C₃-C₈ cycloalkyl group as a substituent for R⁶ is the same as defined for the aforementioned C₃-C₈ cycloalkyl group for R¹, where the same examples

can be given for the preferred specific examples.

5 The "C₃-C₈ cycloalkyloxy group" as a substituent for R⁶ means group consisting of the aforementioned C₃-C₈ cycloalkyl group and oxy group, specifically, for example, a cyclopropyloxy, cyclopentyloxy, and cyclohexyloxy group.

The "N,N-di(C₁-C₆ alkyl)sulfamoyl group" as a substituent for R⁶ means sulfamoyl group substituted with the same or different two C₁-C₆ alkyl group aforementioned, preferably and specifically, for example, a N,N-dimethylsulfamoyl, N,N-diethylsulfamoyl, and N-ethyl-N-methylsulfamoyl group.

10 The "C₂-C₇ (alkoxycarbonyl)amino group" as a substituent for R⁶ means group consisting of the aforementioned C₂-C₇ alkoxycarbonyl group and amino group, specifically, for example, a (methoxycarbonyl)amino and (ethoxycarbonyl)amino group.

15 The "C₁-C₆ (alkylsulfonyl)amino" group as a substituent for R⁶ means group consisting of the aforementioned C₁-C₆ alkylsulfonyl group and amino group, specifically, for example, a (methylsulfonyl)amino group.

20 The "bis(C₁-C₆ alkylsulfonyl)amino" group as a substituent for R⁶ means amino group substituted with the same or different two C₁-C₆ alkylsulfonyl group aforementioned, preferably and specifically, for example, a bis(methylsulfonyl)amino group.

Among them, a halogen atom, a mercapto group, a nitro group, a thiocyanato group, a trifluoromethyl group, a C₁-C₆ alkyl group, a C₁-C₆ alkoxy group, a phenyl group, a phenylsulfonyl group, a C₂-C₇ alkanoylamino group, or an amino group can be listed as preferred specific example for substituent for the phenyl group, 25 C₃-C₈ cycloalkyl group, C₃-C₈ cycloalkenyl group, benzyl group, aromatic heterocyclic group, or condensed ring in R⁶.

Furthermore above substituents for the phenyl group, C₃-C₈ cycloalkyl group, C₃-C₈ cycloalkenyl group, benzyl group, aromatic heterocyclic group, or 30 condensed ring in R⁶ are optionally substituted with one or more of a halogen atom, a cyano group, a hydroxy group, an amino group, trifluoromethyl group, a C₁-C₆ alkyl group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a mono(C₁-C₆ alkyl)amino group, or a di(C₁-C₆ alkyl)amino group.

35 The halogen atom, C₁-C₆ alkyl group, C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, mono(C₁-C₆ alkyl)amino group, and di(C₁-C₆ alkyl)amino group are the same as defined for the aforementioned substituents for the phenyl group, C₃-C₈ cycloalkyl group, aromatic heterocyclic group, or condensed ring in R¹, and the

same examples can be listed as preferred specific examples.

(2) On Invention 2

5 The compound represented by the formula (I) above, a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C₁-C₆ alkyl addition salt can be used to prepare a chemokine receptor antagonist preparation of the present invention by formulating the therapeutically effected amount and a carrier and/or diluent into a pharmaceutical composition. Thus, the cyclic amine derivatives shown by the above formula (I) , a pharmaceutically acceptable
10 acid addition salt thereof or a pharmaceutically acceptable C₁-C₆ alkyl addition salt can be administered orally or by parenterally, for example, intravenously, subcutaneously, intramuscularly, percutaneously or intrarectally.

The oral administration can be accomplished in the form of tablets, pills,
15 granules, powder, solution, suspension, capsules, etc.

The tablets for example can be prepared using a vehicle such as lactose, starch and crystallized cellulose; binder such as carboxymethylcellulose, methylcellulose, and polyvinylpyrrolidone; disintegrator such as sodium
20 alginate, sodium bicarbonate and sodium lauryl sulfate, etc.

Pills, powder and granule preparations can be prepared by a standard method using the vehicles mentioned above. Solution or suspension can be prepared by a standard method using glycerin ester such as tricaprylin and
25 triacetin or alcohols such as ethanol. Capsules can be made by charging granules, powder or solution in gelatin, etc.

Subcutaneous, intramuscular or intravenous preparations can be prepared as an injection using aqueous or nonaqueous solution. Aqueous solution for
30 example may include isotonic sodium chloride solution. Nonaqueous solutions may include for example, propyleneglycol, polyethyleneglycol, olive oil, ethyl oleate, etc., and optionally, one can add antiseptics and stabilizers. For injection, one can be sterilized by filtration through a bacterial filter or combination of disinfectant.

35

Percutaneous administration may be in the form of an ointment or cream, and ointment can be prepared in the standard manner using fatty oils such as

castor oil and olive oil, or Vaseline, while creams can be made using fatty oils or emulsifying agent such as diethyleneglycol and sorbitan esters of fatty acid.

5 For intrarectal administration, one can use standard suppositories using gelatin soft capsules, etc.

10 The cyclic amine derivatives of the present invention, a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C₁-C₆ alkyl addition salt is administered at a dose that varies depending on the type of disease, route of administration, age and sex of patient, and severity of disease, but is likely to be 1-500 mg/day in an average adult.

(3) Matter common throughout Invention 1 and Invention 2

15 Preferred specific examples for the cyclic amine compound in the above formula (I) include compound having each substituent as shown in the following Tables 1.1-1.201.

20 In the Tables 1.1-1.201, "chirality" means configuration of the asymmetric carbon atom on the cyclic amine. "R" shows that the asymmetric carbon atom has a *R* configuration, "S" shows that the asymmetric carbon atom has a *S* configuration, and "-" means racemate or that the compound do not have a asymmetric carbon atom on the nitrogen containing ring.

[Table 1.1 - Table 1.201]

Table 1.1

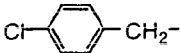
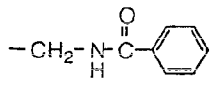
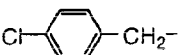
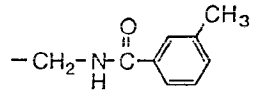
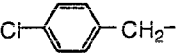
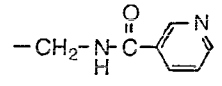
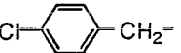
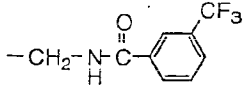
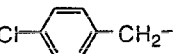
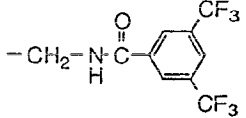
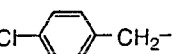
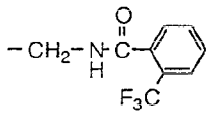
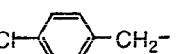
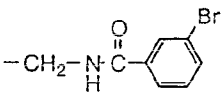
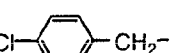
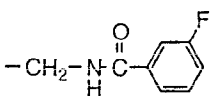
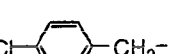
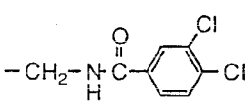
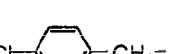
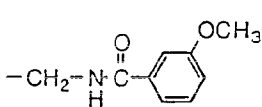

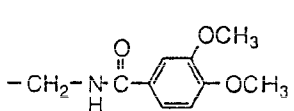
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1		1	2	0	-	H	
2		1	2	0	-	H	
3		1	2	0	-	H	
4		1	2	0	-	H	
5		1	2	0	S	H	
6		1	2	0	S	H	
7		1	2	0	S	H	
8		1	2	0	S	H	
9		1	2	0	S	H	
10		1	2	0	S	H	
11		1	2	0	S	H	

Table 1.2

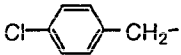
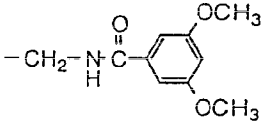
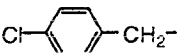
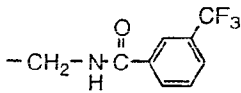
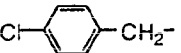
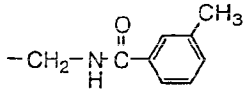
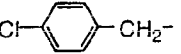
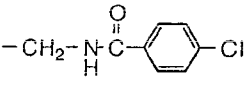
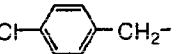
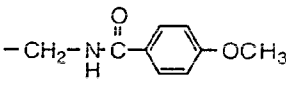
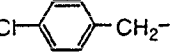
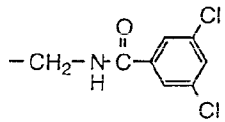
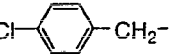
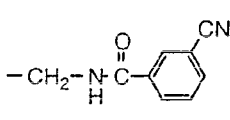
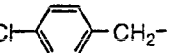
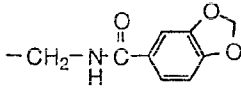
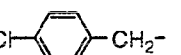
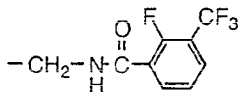
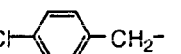
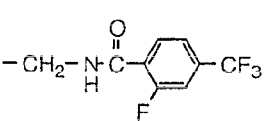
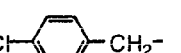
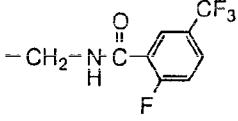
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13		1	2	0	S	H	
14		1	2	0	S	H	
15		1	2	0	S	H	
16		1	2	0	S	H	
17		1	2	0	S	H	
18		1	2	0	S	H	
19		1	2	0	S	H	
20		1	2	0	S	H	
21		1	2	0	S	H	
22		1	2	0	S	H	

Table 1.3

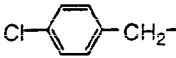
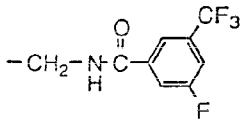
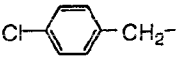
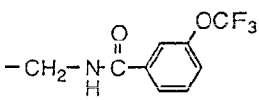
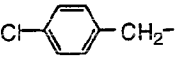
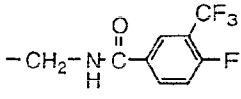
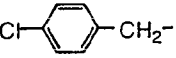
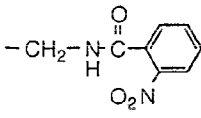
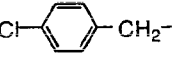
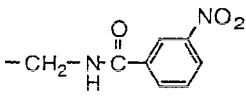
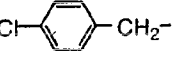
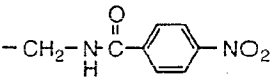
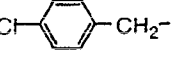
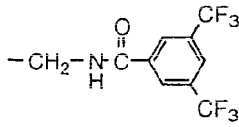
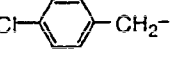
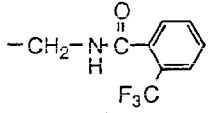
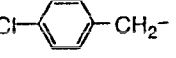
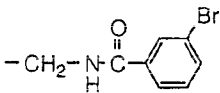
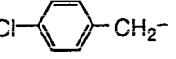
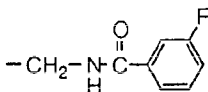
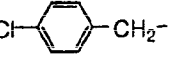
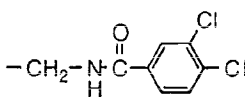
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24		1	2	0	S	H	
25		1	2	0	S	H	
26		1	2	0	S	H	
27		1	2	0	S	H	
28		1	2	0	S	H	
29		1	2	0	R	H	
30		1	2	0	R	H	
31		1	2	0	R	H	
32		1	2	0	R	H	
33		1	2	0	R	H	

Table 1.4

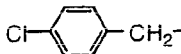
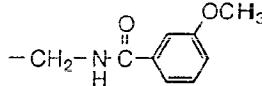
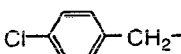
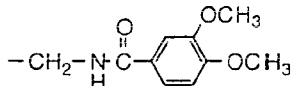
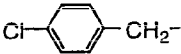
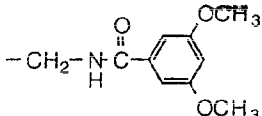
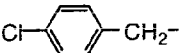
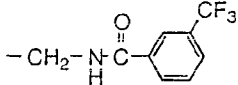
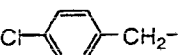
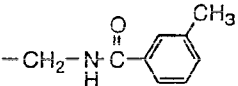
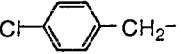
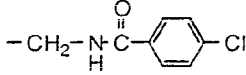
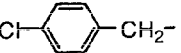
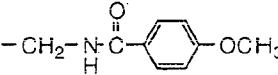
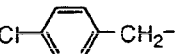
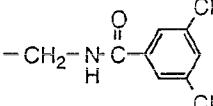
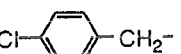
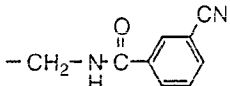
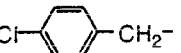
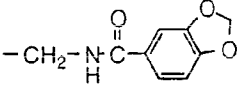
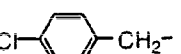
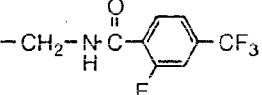
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
34		1	2	0	R	H	
35		1	2	0	R	H	
36		1	2	0	R	H	
37		1	2	0	R	H	
38		1	2	0	R	H	
39		1	2	0	R	H	
40		1	2	0	R	H	
41		1	2	0	R	H	
42		1	2	0	R	H	
43		1	2	0	R	H	
44		1	2	0	R	H	

Table 1.5

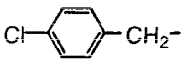
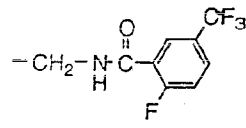
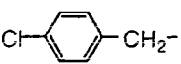
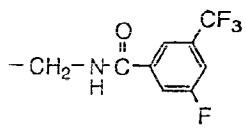
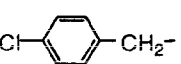
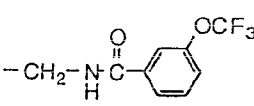
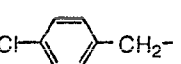
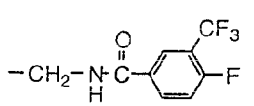
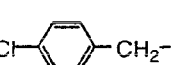
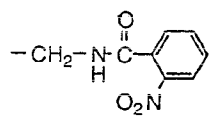
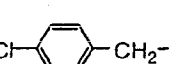
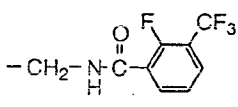
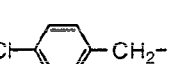
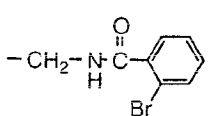
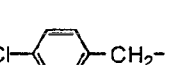
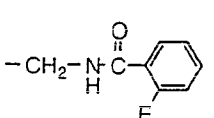
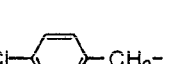
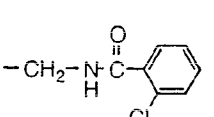
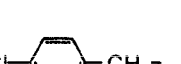
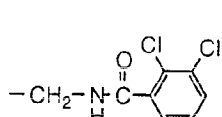
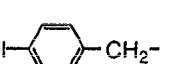
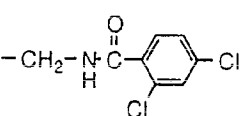
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
45		1	2	0	R	H	
46		1	2	0	R	H	
47		1	2	0	R	H	
48		1	2	0	R	H	
49		1	2	0	R	H	
50		1	2	0	R	H	
51		1	2	0	R	H	
52		1	2	0	R	H	
53		1	2	0	R	H	
54		1	2	0	R	H	
55		1	2	0	R	H	

Table 1.6

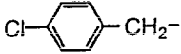
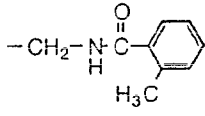
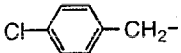
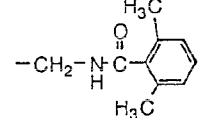
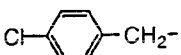
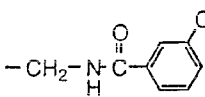
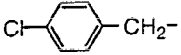
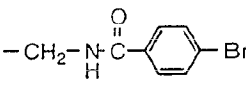
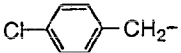
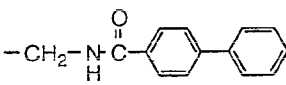
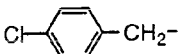
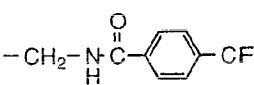
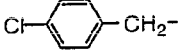
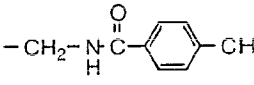
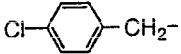
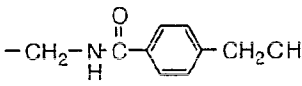
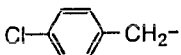
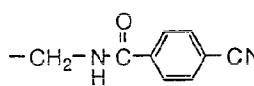
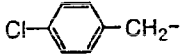
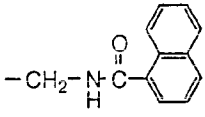
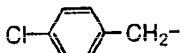
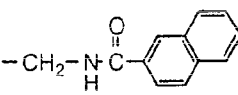
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ (CH_2)_q \\ \\ R^5 \end{array} -G-R^6$
56		1	2	0	R	H	
57		1	2	0	R	H	
58		1	2	0	R	H	
59		1	2	0	R	H	
60		1	2	0	R	H	
61		1	2	0	R	H	
62		1	2	0	R	H	
63		1	2	0	R	H	
64		1	2	0	R	H	
65		1	2	0	R	H	
66		1	2	0	R	H	

Table 1.7

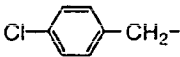
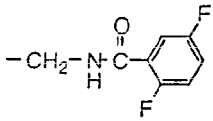
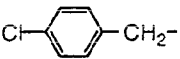
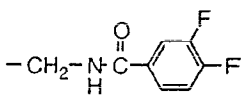
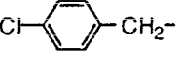
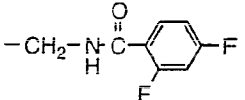
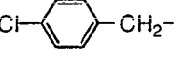
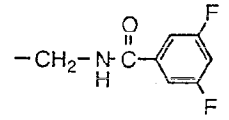
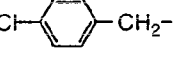
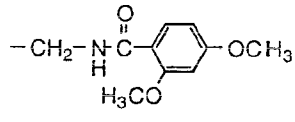
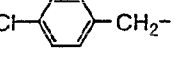
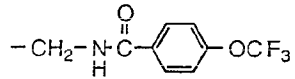
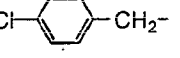
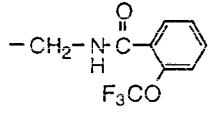
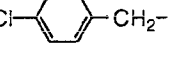
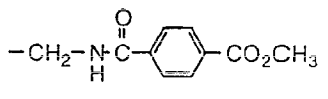
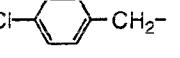
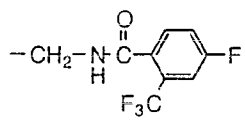
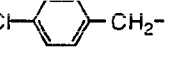
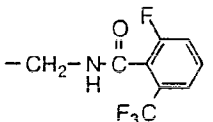
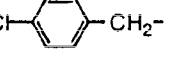
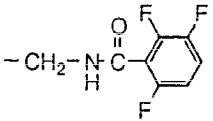
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q -G-R^6$
67		1	2	0	R	H	
68		1	2	0	R	H	
69		1	2	0	R	H	
70		1	2	0	R	H	
71		1	2	0	R	H	
72		1	2	0	R	H	
73		1	2	0	R	H	
74		1	2	0	R	H	
75		1	2	0	R	H	
76		1	2	0	R	H	
77		1	2	0	R	H	

Table 1.8

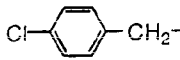
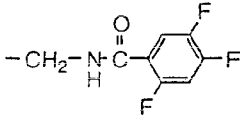
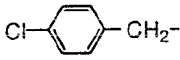
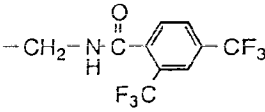
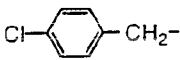
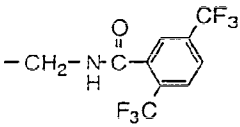
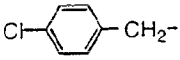
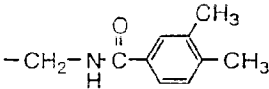

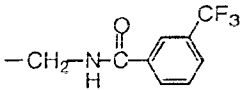
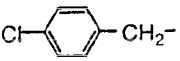
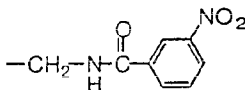
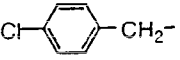
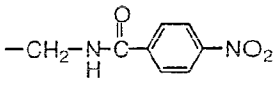
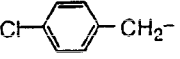
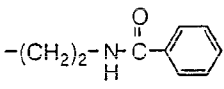
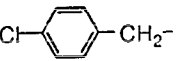
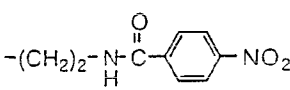
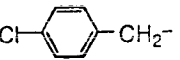
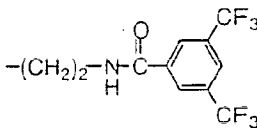
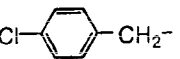
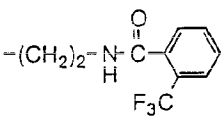
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
78		1	2	0	R	H	
79		1	2	0	R	H	
80		1	2	0	R	H	
81		1	2	0	R	H	
82		1	2	0	-	-CH ₃	
83		1	2	0	R	H	
84		1	2	0	R	H	
85		1	2	0	-	H	
86		1	2	0	-	H	
87		1	2	0	S	H	
88		1	2	0	S	H	

Table 1.9

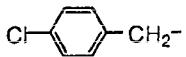
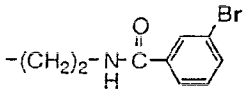
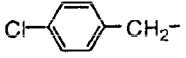
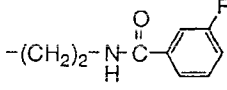
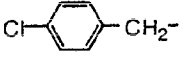
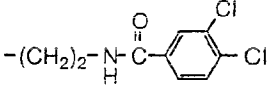
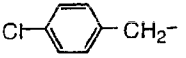
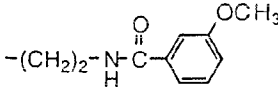
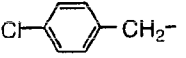
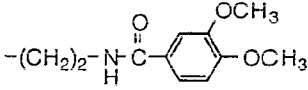
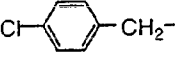
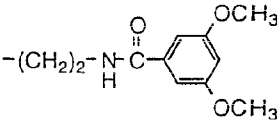
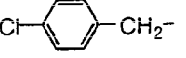
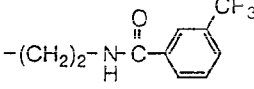
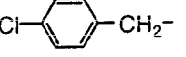
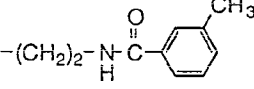
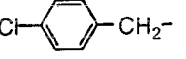
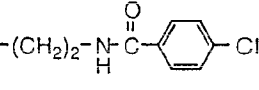
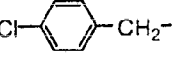
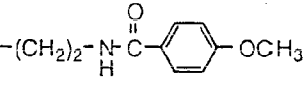
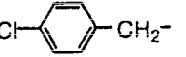
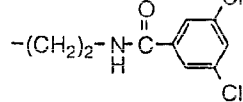
Compd. No.	$\begin{array}{c} R^1 \\ \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
89		1	2	0	S	H	
90		1	2	0	S	H	
91		1	2	0	S	H	
92		1	2	0	S	H	
93		1	2	0	S	H	
94		1	2	0	S	H	
95		1	2	0	S	H	
96		1	2	0	S	H	
97		1	2	0	S	H	
98		1	2	0	S	H	
99		1	2	0	S	H	

Table 1.10

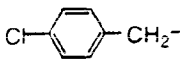
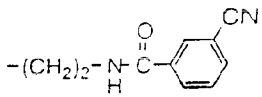
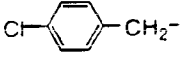
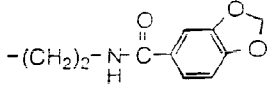
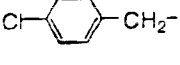
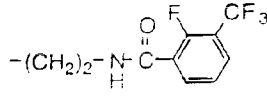
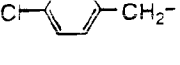
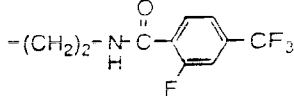
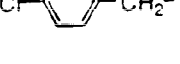
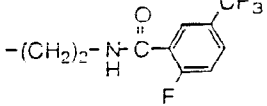
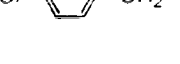
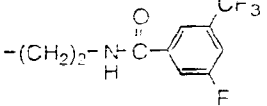
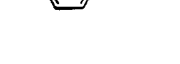
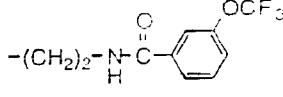

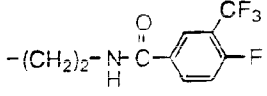

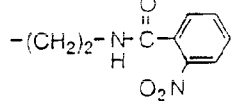

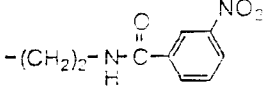

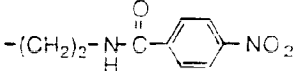
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
100		1	2	0	S	H	
101		1	2	0	S	H	
102		1	2	0	S	H	
103		1	2	0	S	H	
104		1	2	0	S	H	
105		1	2	0	S	H	
106		1	2	0	S	H	
107		1	2	0	S	H	
108		1	2	0	S	H	
109		1	2	0	S	H	
110		1	2	0	S	H	

Table 1.1.1

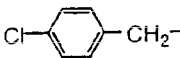
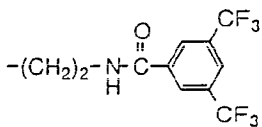
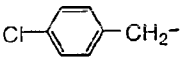
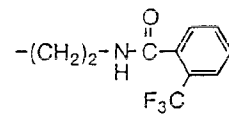
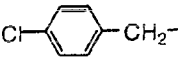
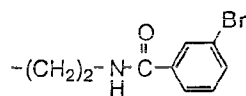
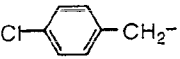
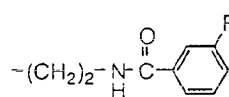
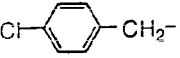
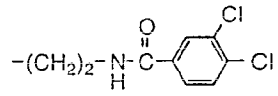
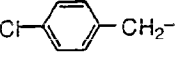
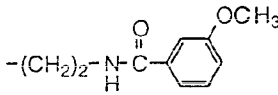
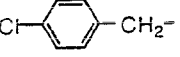
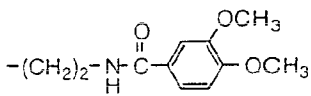
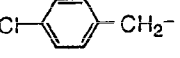
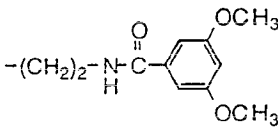
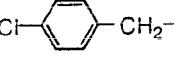
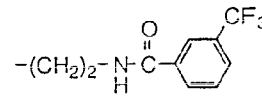
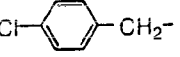
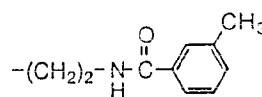
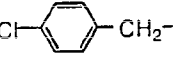
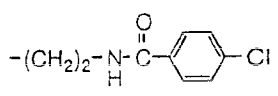
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
111		1	2	0	R	H	
112		1	2	0	R	H	
113		1	2	0	R	H	
114		1	2	0	R	H	
115		1	2	0	R	H	
116		1	2	0	R	H	
117		1	2	0	R	H	
118		1	2	0	R	H	
119		1	2	0	R	H	
120		1	2	0	R	H	
121		1	2	0	R	H	

Table 1.12

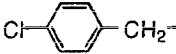
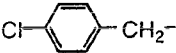
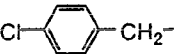
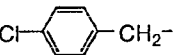
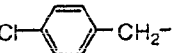
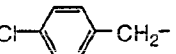
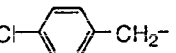

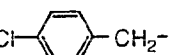
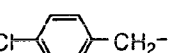
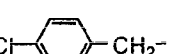
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
122		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-OCH_3$
123		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_2Cl_2$
124		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-CN$
125		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3O_2$
126		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F(CF_3)$
127		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F(CF_3)$
128		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F(CF_3)$
129		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F(CF_3)$
130		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-OCF_3$
131		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F(CF_3)$
132		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-NO_2$

Table 1.13

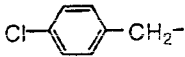
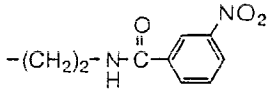
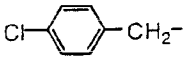
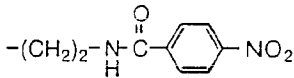
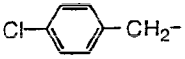
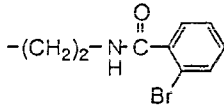
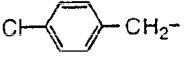
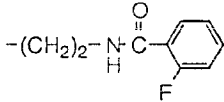
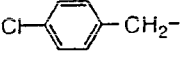
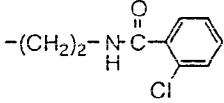
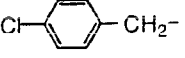
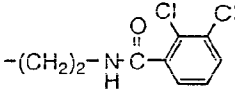
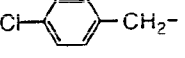
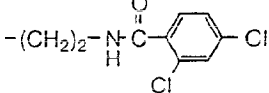
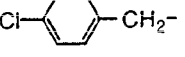
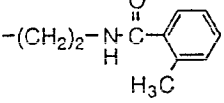
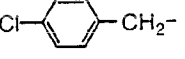
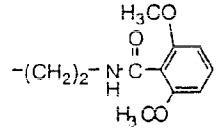
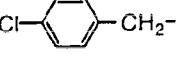
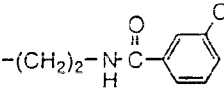
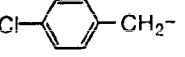
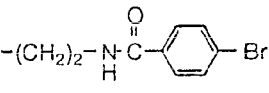
Compd. No.	$\begin{array}{c} R^1 \\ \\ R^2 \end{array} - (CH_2)_l -$	k	m	n	chirality	R^3	$-(CH_2)_p - \begin{array}{c} R^4 \\ \\ R^5 \end{array} - (CH_2)_q - G - R^6$
133		1	2	0	R	H	
134		1	2	0	R	H	
135		1	2	0	R	H	
136		1	2	0	R	H	
137		1	2	0	R	H	
138		1	2	0	R	H	
139		1	2	0	R	H	
140		1	2	0	R	H	
141		1	2	0	R	H	
142		1	2	0	R	H	
143		1	2	0	R	H	

Table 1.14

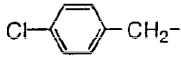
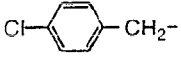
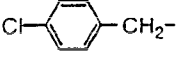
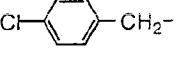
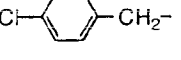
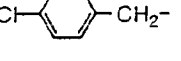
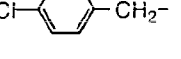
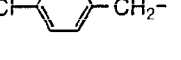
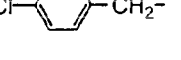
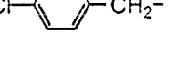
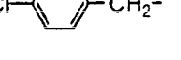
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
144		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-C_6H_5$
145		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-CF_3$
146		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-CH_3$
147		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-CH_2CH_3$
148		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_4-CN$
149		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_{10}H_7$
150		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_{10}H_7$
151		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F_2$
152		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F_2$
153		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F_2$
154		1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-C_6H_3F_2$

Table 1.15

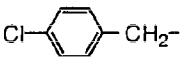
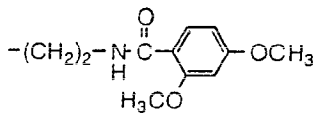
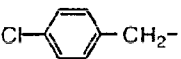
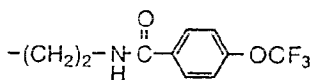
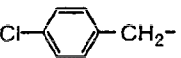
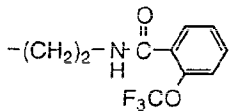
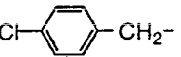
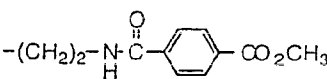
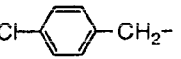
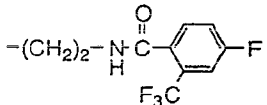
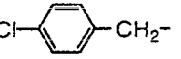
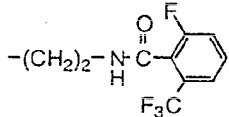
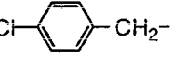
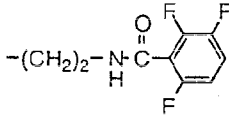
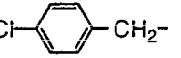
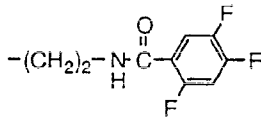
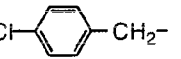
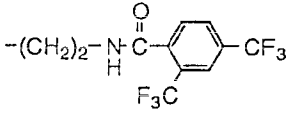
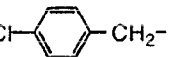
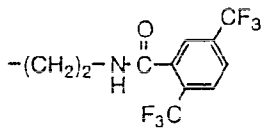
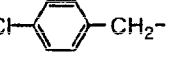
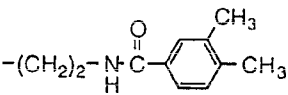
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
155		1	2	0	R	H	
156		1	2	0	R	H	
157		1	2	0	R	H	
158		1	2	0	R	H	
159		1	2	0	R	H	
160		1	2	0	R	H	
161		1	2	0	R	H	
162		1	2	0	R	H	
163		1	2	0	R	H	
164		1	2	0	R	H	
165		1	2	0	R	H	

Table 1.16

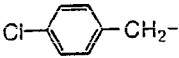
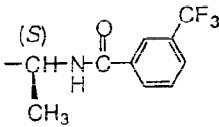
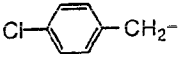
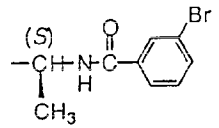
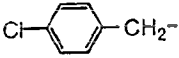
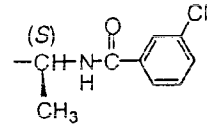
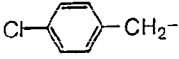
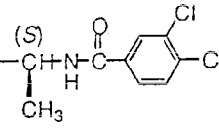
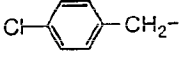
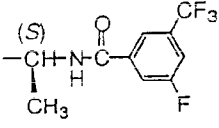
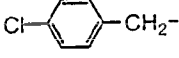
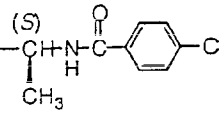
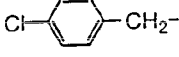
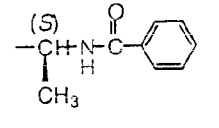
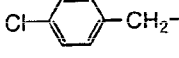
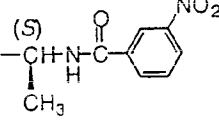
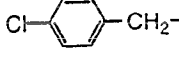
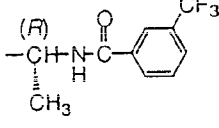
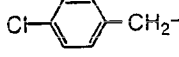
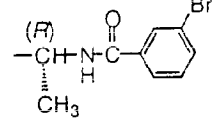
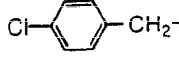
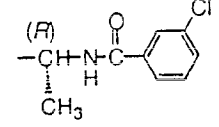
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q G-R^6$
166		1	2	0	R	H	
167		1	2	0	R	H	
168		1	2	0	R	H	
169		1	2	0	R	H	
170		1	2	0	R	H	
171		1	2	0	R	H	
172		1	2	0	R	H	
173		1	2	0	R	H	
174		1	2	0	R	H	
175		1	2	0	R	H	
176		1	2	0	R	H	

Table 1.17

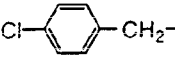
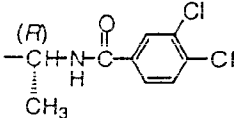
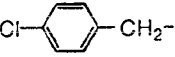
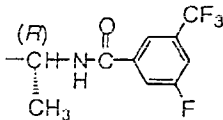
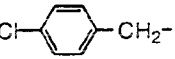
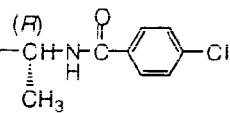
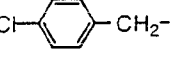
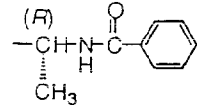
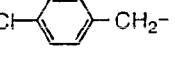
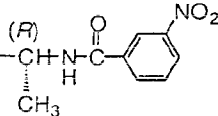
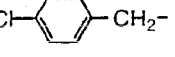
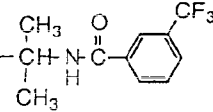
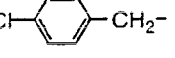
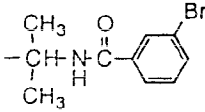
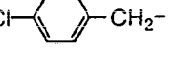
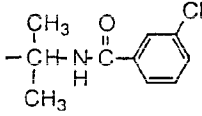
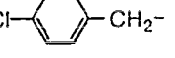
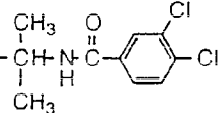
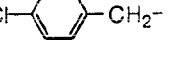
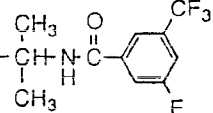
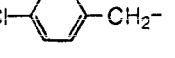
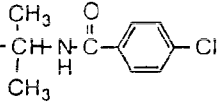
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
177		1	2	0	R	H	
178		1	2	0	R	H	
179		1	2	0	R	H	
180		1	2	0	R	H	
181		1	2	0	R	H	
182		1	2	0	R	H	
183		1	2	0	R	H	
184		1	2	0	R	H	
185		1	2	0	R	H	
186		1	2	0	R	H	
187		1	2	0	R	H	

Table 1.18

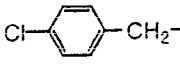
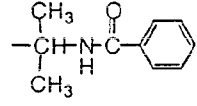
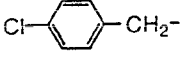
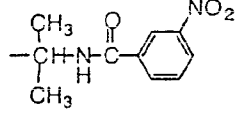
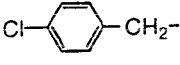
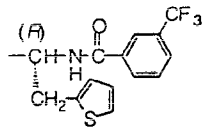
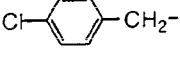
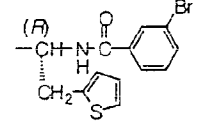
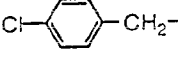
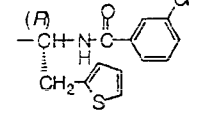
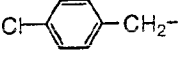
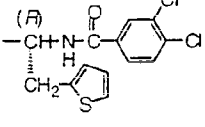
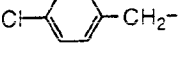
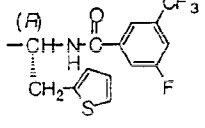
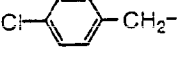
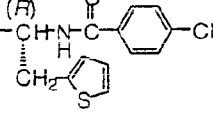
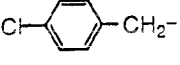
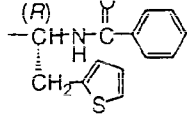
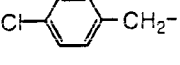
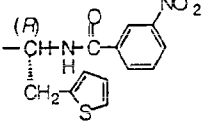
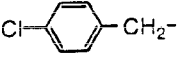
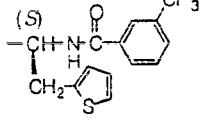
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
188		1	2	0	R	H	
189		1	2	0	R	H	
190		1	2	0	R	H	
191		1	2	0	R	H	
192		1	2	0	R	H	
193		1	2	0	R	H	
194		1	2	0	R	H	
195		1	2	0	R	H	
196		1	2	0	R	H	
197		1	2	0	R	H	
198		1	2	0	R	H	

Table 1.19

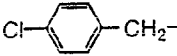
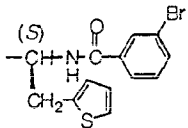
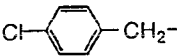
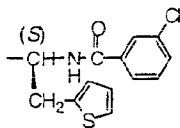
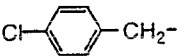
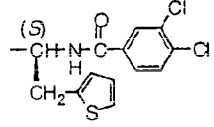
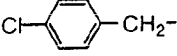
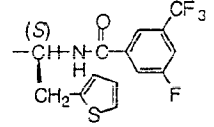
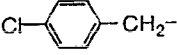
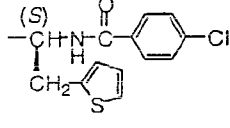
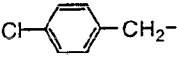
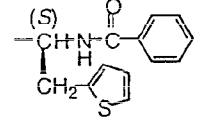
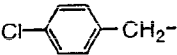
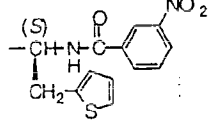
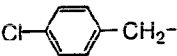
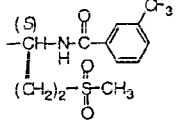
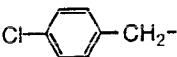
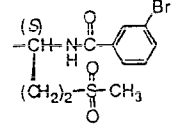
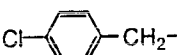
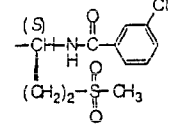
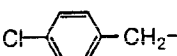
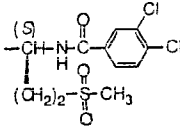
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
199		1	2	0	R	H	
200		1	2	0	R	H	
201		1	2	0	R	H	
202		1	2	0	R	H	
203		1	2	0	R	H	
204		1	2	0	R	H	
205		1	2	0	R	H	
206		1	2	0	R	H	
207		1	2	0	R	H	
208		1	2	0	R	H	
209		1	2	0	R	H	

Table 1.20

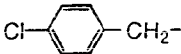
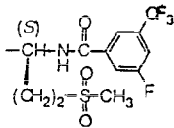
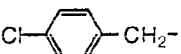
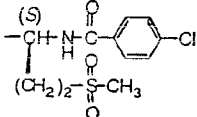
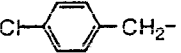
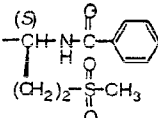
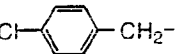
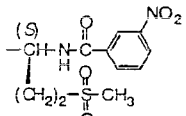
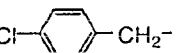
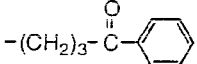
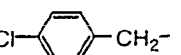
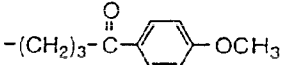
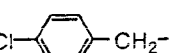
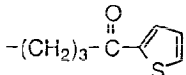
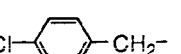
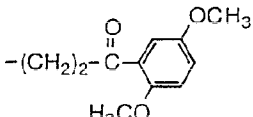
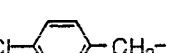
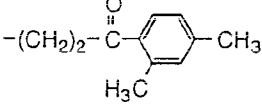

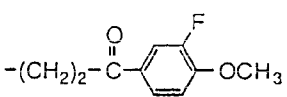
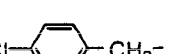
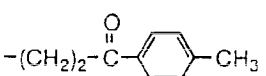
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
210		1	2	0	R	H	
211		1	2	0	R	H	
212		1	2	0	R	H	
213		1	2	0	R	H	
214		1	2	0	-	H	
215		1	2	0	-	H	
216		1	2	0	-	H	
217		1	2	0	-	H	
218		1	2	0	-	H	
219		1	2	0	-	H	
220		1	2	0	-	H	

Table 1.21

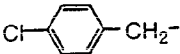
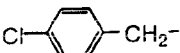
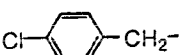
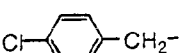
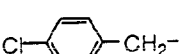
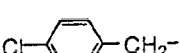
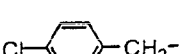
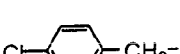



Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (\text{CH}_2)_j \text{---}$	k	m	n	chirality	R^3	$\text{---} (\text{CH}_2)_p \text{---} \begin{matrix} R^4 \\ \\ R^5 \end{matrix} \text{---} (\text{CH}_2)_q \text{---} \text{G---} R^6$
221		1	2	0	-	H	$\text{---} (\text{CH}_2)_2 \text{---} \text{C}(=\text{O}) \text{---} \text{C}_6\text{H}_5$
222		1	2	0	-	H	$\text{---} (\text{CH}_2)_2 \text{---} \text{C}(=\text{O}) \text{---} \text{C}_6\text{H}_4 \text{---} \text{Cl}$
223		1	2	0	-	H	$\text{---} (\text{CH}_2)_2 \text{---} \text{C}(=\text{O}) \text{---} \text{C}_6\text{H}_4 \text{---} \text{O}(\text{CH}_2)_3\text{CH}_3$
224		1	2	0	-	H	$\text{---} \text{CH}_2 \text{---} \text{S}(=\text{O})_2 \text{---} \text{C}_6\text{H}_4 \text{---} \text{CH}_3$
225		1	2	0	-	H	$\text{---} (\text{CH}_2)_3 \text{---} \text{C}(=\text{O}) \text{---} \text{NH} \text{---} \text{C}_6\text{H}_5$
226		1	2	0	-	H	$\text{---} (\text{CH}_2)_3 \text{---} \text{C}(=\text{O}) \text{---} \text{NH} \text{---} \text{C}_6\text{H}_4 \text{---} \text{OCH}_3$
227		1	2	0	-	H	$\text{---} (\text{CH}_2)_3 \text{---} \text{C}(=\text{O}) \text{---} \text{NH} \text{---} \text{C}_6\text{H}_4 \text{---} \text{Cl}$
228		1	2	0	-	H	$\text{---} (\text{CH}_2)_3 \text{---} \text{C}(=\text{O}) \text{---} \text{NH} \text{---} \text{C}_6\text{H}_4 \text{---} \text{OCH}_3$
229		1	2	0	-	H	$\text{---} \text{CH}_2 \text{---} \text{C}(\text{CH}_3)_2 \text{---} \text{CH}_2 \text{---} \text{C}(=\text{O}) \text{---} \text{NH} \text{---} \text{C}_6\text{H}_4 \text{---} \text{CH}_3$
230		1	2	0	-	H	$\text{---} \text{CH}_2 \text{---} \text{C}(\text{CH}_2\text{---} \text{C}_5\text{H}_9) \text{---} \text{CH}_2 \text{---} \text{C}(=\text{O}) \text{---} \text{NH} \text{---} \text{C}_6\text{H}_4 \text{---} \text{F}$
231		1	2	0	-	H	$\text{---} (\text{CH}_2)_3 \text{---} \text{C}(=\text{O}) \text{---} \text{NH} \text{---} \text{C}_6\text{H}_4 \text{---} \text{C}(=\text{O}) \text{---} \text{CH}_3$

Table 1.2.2

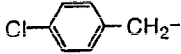
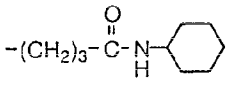
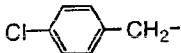
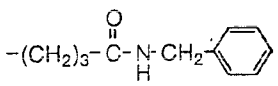
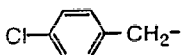
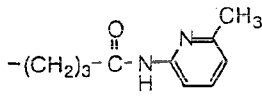
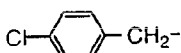
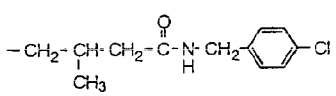
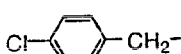
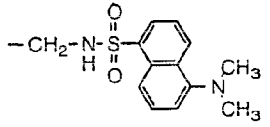
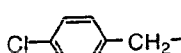
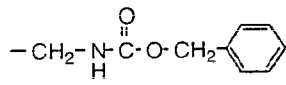
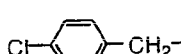
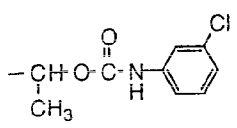
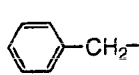
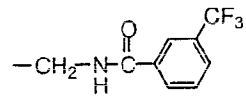
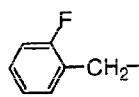
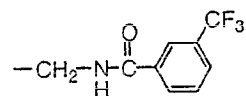
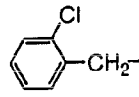
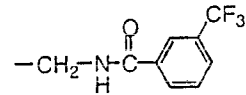
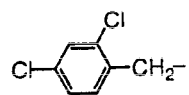
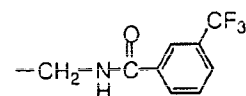
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
232		1	2	0	-	H	
233		1	2	0	-	H	
234		1	2	0	-	H	
235		1	2	0	-	H	
236		1	2	0	-	H	
237		1	2	0	-	H	
238		1	2	0	-	H	
239		1	2	0	S	H	
240		1	2	0	S	H	
241		1	2	0	S	H	
242		1	2	0	S	H	

Table 1.23

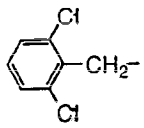
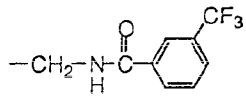
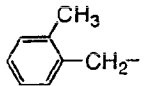
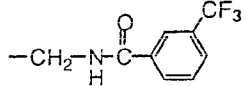
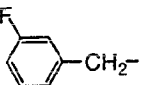
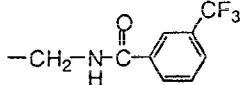
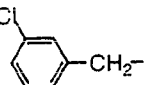
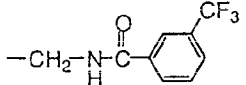
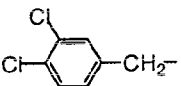
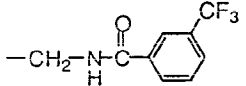
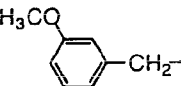
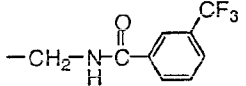
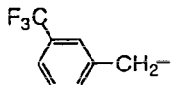
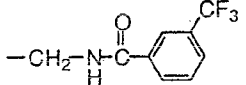
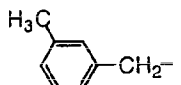
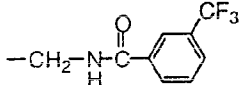
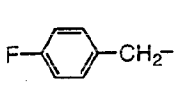
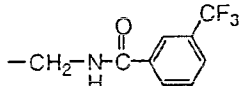
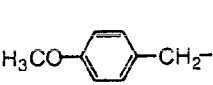
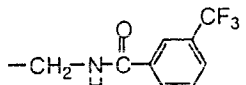
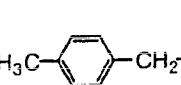
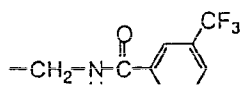
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_k \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
243		1	2	0	S	H	
244		1	2	0	S	H	
245		1	2	0	S	H	
246		1	2	0	S	H	
247		1	2	0	S	H	
248		1	2	0	S	H	
249		1	2	0	S	H	
250		1	2	0	S	H	
251		1	2	0	S	H	
252		1	2	0	S	H	
253		1	2	0	S	H	

Table 1.24

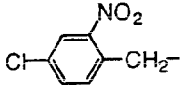
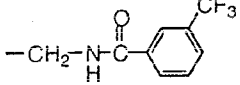
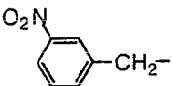
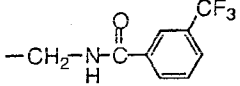

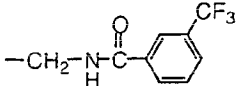
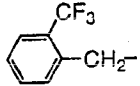
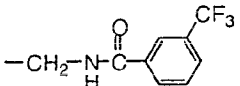
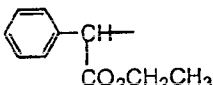
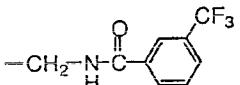
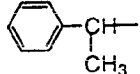
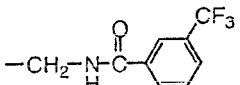
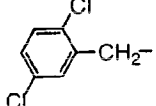
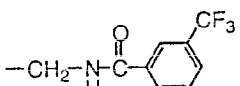
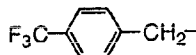
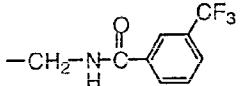
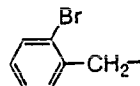
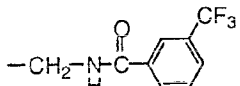
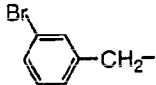
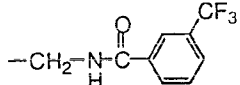
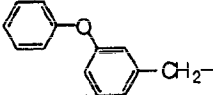
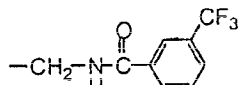
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R ³	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
254		1	2	0	S	H	
255		1	2	0	S	H	
256		1	2	0	S	H	
257		1	2	0	S	H	
258		1	2	0	S	H	
259		1	2	0	S	H	
260		1	2	0	S	H	
261		1	2	0	S	H	
262		1	2	0	S	H	
263		1	2	0	S	H	
264		1	2	0	S	H	

Table 1.25

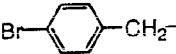
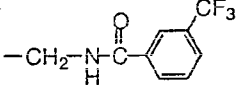
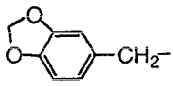
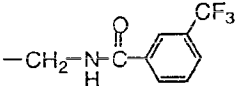
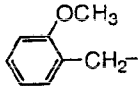
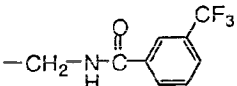
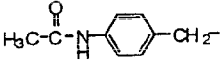
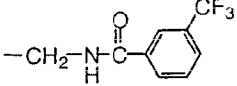
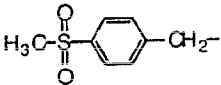
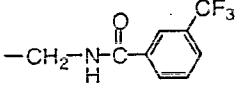
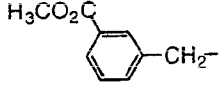
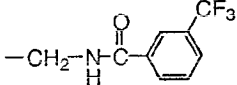
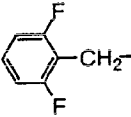
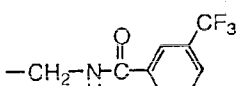
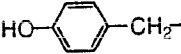
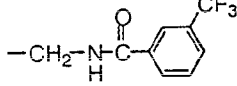
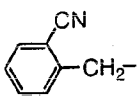
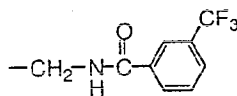
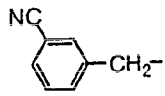
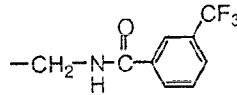
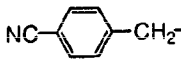
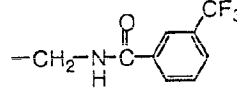
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
265		1	2	0	S	H	
266		1	2	0	S	H	
267		1	2	0	S	H	
268		1	2	0	S	H	
269		1	2	0	S	H	
270		1	2	0	S	H	
271		1	2	0	S	H	
272		1	2	0	S	H	
273		1	2	0	S	H	
274		1	2	0	S	H	
275		1	2	0	S	H	

Table 1.26

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
276		1	2	0	S	H	
277		1	2	0	S	H	
278		1	2	0	S	H	
279		1	2	0	S	H	
280		1	2	0	S	H	
281		1	2	0	S	H	
282		1	2	0	S	H	
283		1	2	0	S	H	
284		1	2	0	S	H	
285		1	2	0	R	H	
286		1	2	0	R	H	

Table 1.27

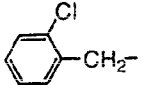
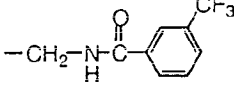
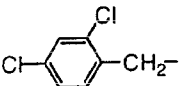
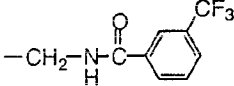
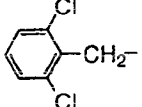
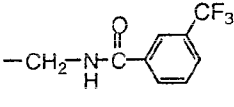
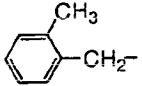
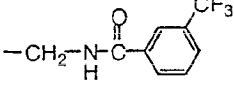
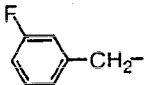
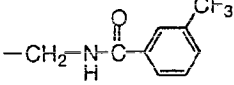
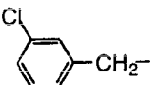
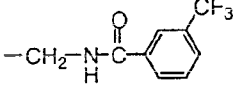
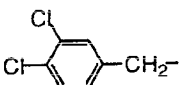
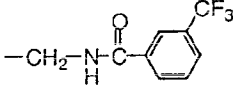
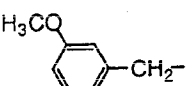
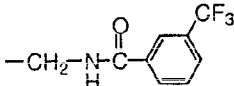
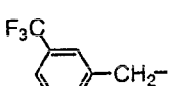
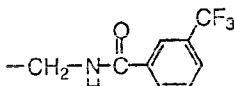
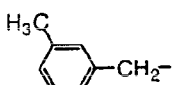
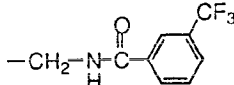
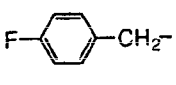
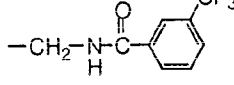
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
287		1	2	0	R	H	
288		1	2	0	R	H	
289		1	2	0	R	H	
290		1	2	0	R	H	
291		1	2	0	R	H	
292		1	2	0	R	H	
293		1	2	0	R	H	
294		1	2	0	R	H	
295		1	2	0	R	H	
296		1	2	0	R	H	
297		1	2	0	R	H	

Table 1.28

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
298		1	2	0	R	H	
299		1	2	0	R	H	
300		1	2	0	R	H	
301		1	2	0	R	H	
302		1	2	0	R	H	
303		1	2	0	R	H	
304		1	2	0	R	H	
305		1	2	0	R	H	
306		1	2	0	R	H	
307		1	2	0	R	H	
308		1	2	0	R	H	

Table 1.29

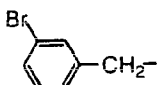
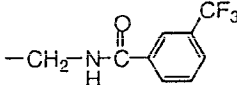
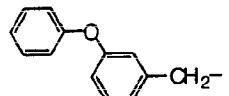
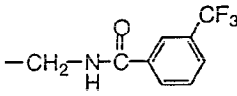
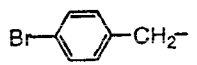
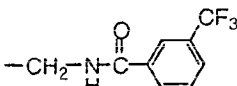
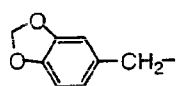
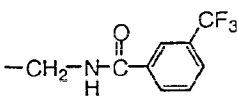
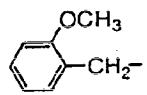
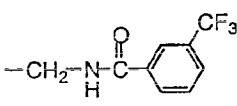
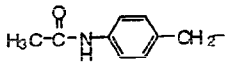
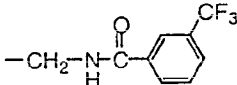
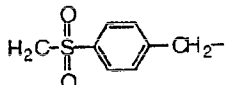
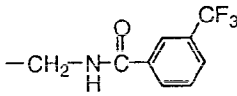
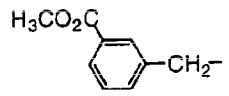
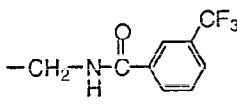
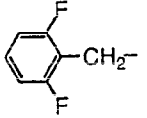
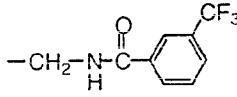
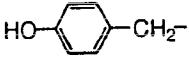
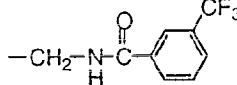
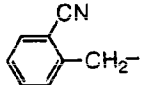
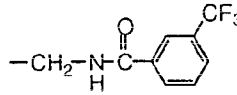
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
309		1	2	0	R	H	
310		1	2	0	R	H	
311		1	2	0	R	H	
312		1	2	0	R	H	
313		1	2	0	R	H	
314		1	2	0	R	H	
315		1	2	0	R	H	
316		1	2	0	R	H	
317		1	2	0	R	H	
318		1	2	0	R	H	
319		1	2	0	R	H	

Table 1.30

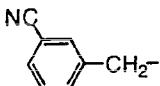
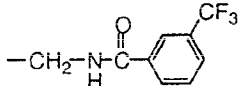
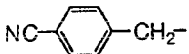
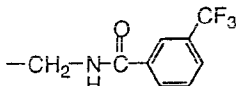
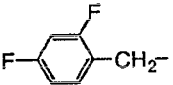
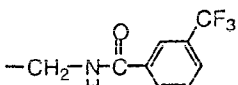
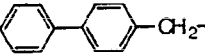
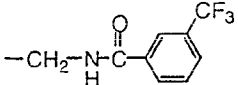
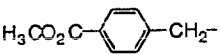
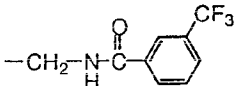

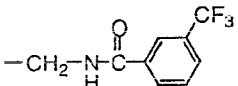
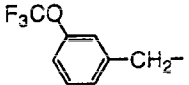
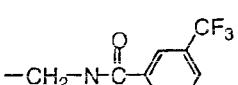

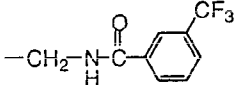
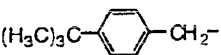
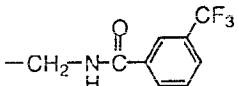
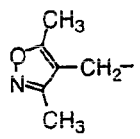
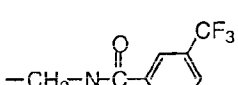
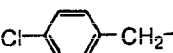
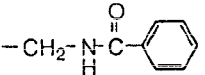
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
320		1	2	0	R	H	
321		1	2	0	R	H	
322		1	2	0	R	H	
323		1	2	0	R	H	
324		1	2	0	R	H	
325		1	2	0	R	H	
326		1	2	0	R	H	
327		1	2	0	R	H	
328		1	2	0	R	H	
329		1	2	0	R	H	
330		0	3	1	-	H	

Table 1.31

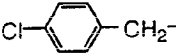
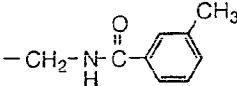
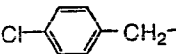
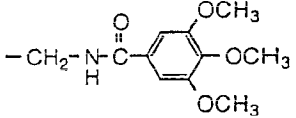
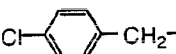
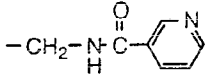
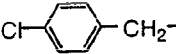
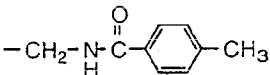
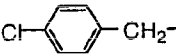
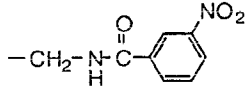
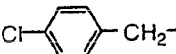
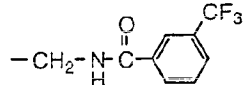
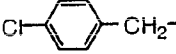
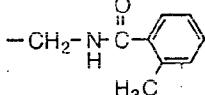
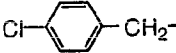
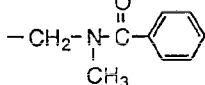
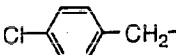
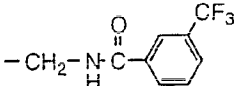
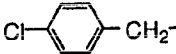
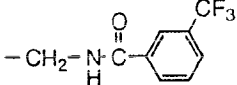
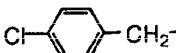
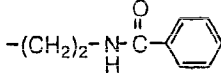
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
331		0	3	1	-	H	
332		0	3	1	-	H	
333		0	3	1	-	H	
334		0	3	1	-	H	
335		0	3	1	-	H	
336		0	3	1	-	H	
337		0	3	1	-	H	
338		0	3	1	-	H	
339		0	3	1	R	H	
340		0	3	1	S	H	
341		0	3	1	-	H	

Table 1.32

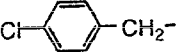
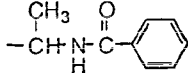
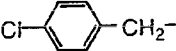
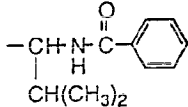
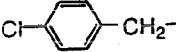
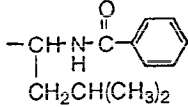
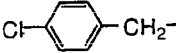
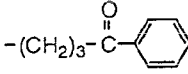
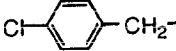
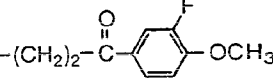
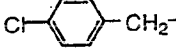
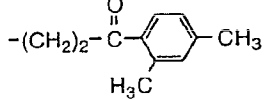
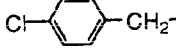
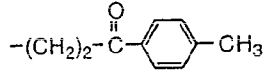
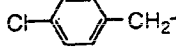
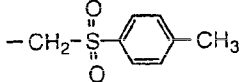
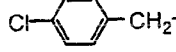
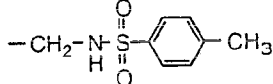
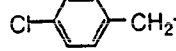
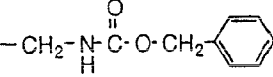

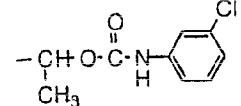
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
342		0	3	1	-	H	
343		0	3	1	-	H	
344		0	3	1	-	H	
345		0	3	1	-	H	
346		0	3	1	-	H	
347		0	3	1	-	H	
348		0	3	1	-	H	
349		0	3	1	-	H	
350		0	3	1	-	H	
351		0	3	1	-	H	
352		0	3	1	-	H	

Table 1.33

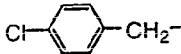
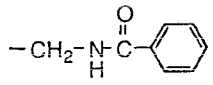
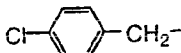
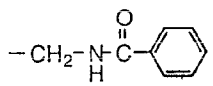
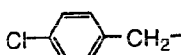
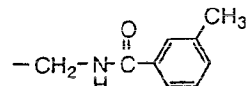
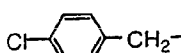
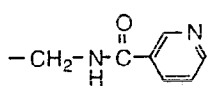
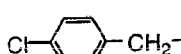
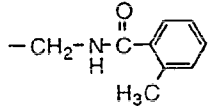
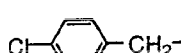
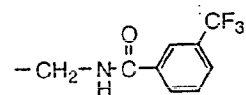
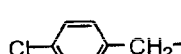
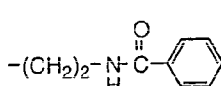
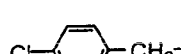
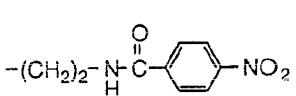

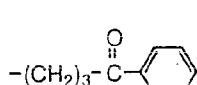

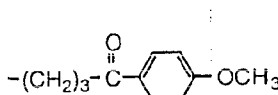

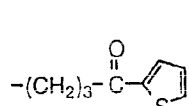
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
353		1	2	1	-	H	
354		1	3	0	-	H	
355		1	3	0	-	H	
356		1	3	0	-	H	
357		1	3	0	-	H	
358		1	3	0	-	H	
359		1	3	0	-	H	
360		1	3	0	-	H	
361		1	3	0	-	H	
362		1	3	0	-	H	
363		1	3	0	-	H	

Table 1.3.4

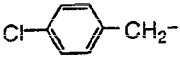
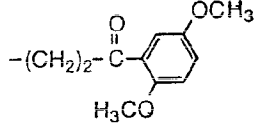
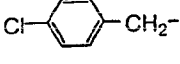
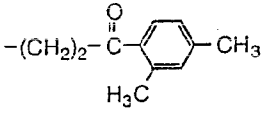
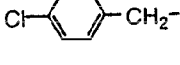
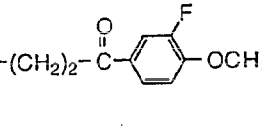
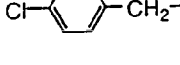
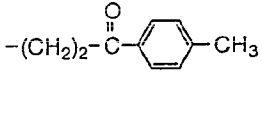
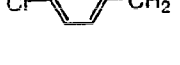
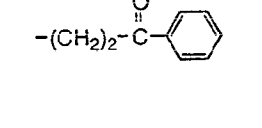
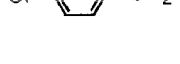
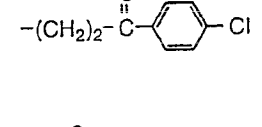

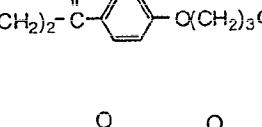

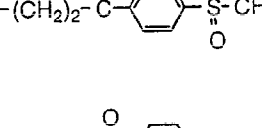

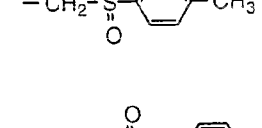

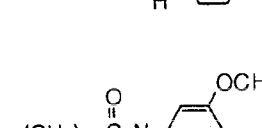

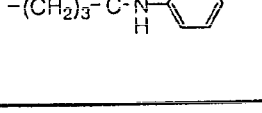
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
364		1	3	0	-	H	
365		1	3	0	-	H	
366		1	3	0	-	H	
367		1	3	0	-	H	
368		1	3	0	-	H	
369		1	3	0	-	H	
370		1	3	0	-	H	
371		1	3	0	-	H	
372		1	3	0	-	H	
373		1	3	0	-	H	
374		1	3	0	-	H	

Table 1.35

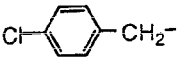
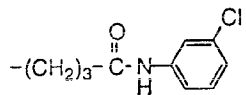
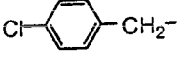
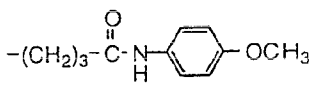
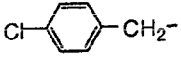
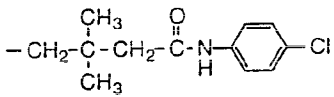
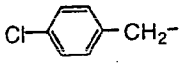
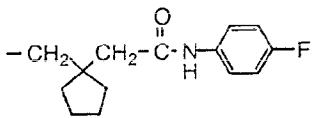
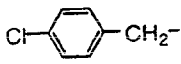
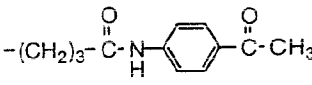
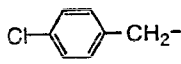
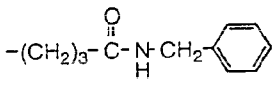
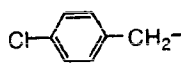
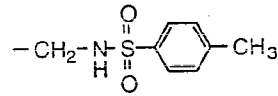
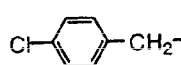
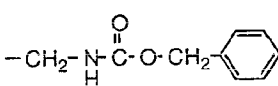
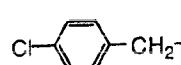
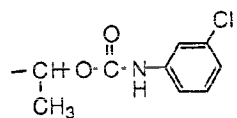
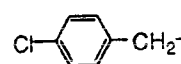
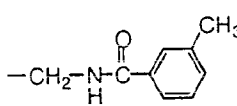
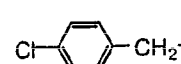
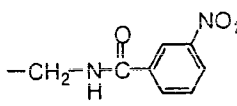
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
375		1	3	0	-	H	
376		1	3	0	-	H	
377		1	3	0	-	H	
378		1	3	0	-	H	
379		1	3	0	-	H	
380		1	3	0	-	H	
381		1	3	0	-	H	
382		1	3	0	-	H	
383		1	3	0	-	H	
384		2	2	0	-	H	
385		2	2	0	-	H	

Table 1.3.6

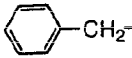
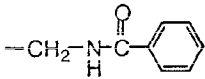
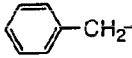
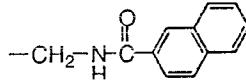
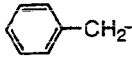
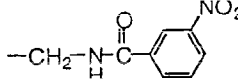
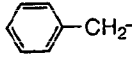
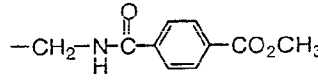
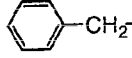
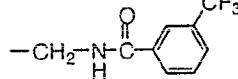
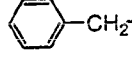
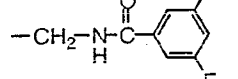
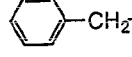
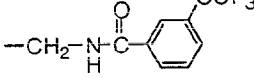
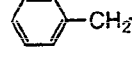
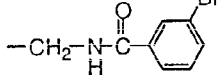
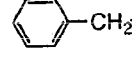
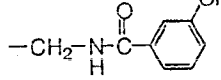
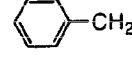
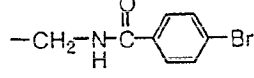
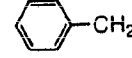
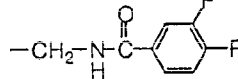
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_k \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R ³	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
386		2	2	0	-	H	
387		2	2	0	-	H	
388		2	2	0	-	H	
389		2	2	0	-	H	
390		2	2	0	-	H	
391		2	2	0	-	H	
392		2	2	0	-	H	
393		2	2	0	-	H	
394		2	2	0	-	H	
395		2	2	0	-	H	
396		2	2	0	-	H	

Table 1.37

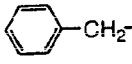
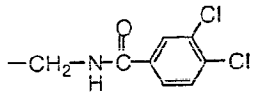
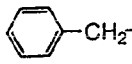
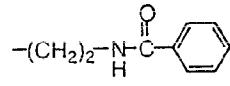
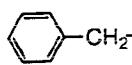
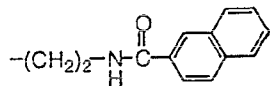
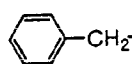
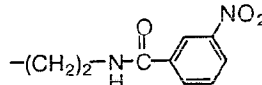
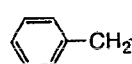
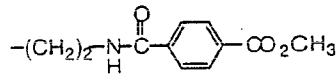
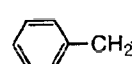
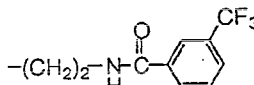
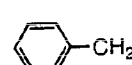
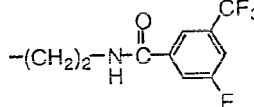
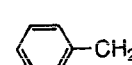
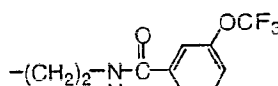
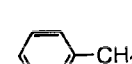
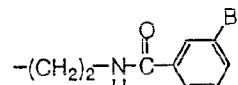
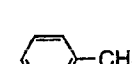
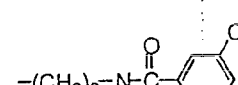

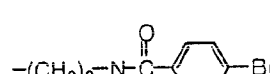
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
397		2	2	0	-	H	
398		2	2	0	-	H	
399		2	2	0	-	H	
400		2	2	0	-	H	
401		2	2	0	-	H	
402		2	2	0	-	H	
403		2	2	0	-	H	
404		2	2	0	-	H	
405		2	2	0	-	H	
406		2	2	0	-	H	
407		2	2	0	-	H	

Table 1.38

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\begin{array}{c} R^4 \\ \\ -C- \\ \\ R^5 \end{array}-(CH_2)_q-G-R^6$
408		2	2	0	-	H	$-(CH_2)_2-NH-C(=O)-\text{2,4-difluorophenyl}$
409		2	2	0	-	H	$-(CH_2)_2-NH-C(=O)-\text{2,4-dichlorophenyl}$
410		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{phenyl}]_n-$
411		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{naphthalen-1-yl}]_n-$
412		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{4-nitrophenyl}]_n-$
413		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{4-methoxycarbonylphenyl}]_n-$
414		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{4-(trifluoromethyl)phenyl}]_n-$
415		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{2-fluoro-4-(trifluoromethyl)phenyl}]_n-$
416		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{4-(trifluoromethoxy)phenyl}]_n-$
417		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{4-bromophenyl}]_n-$
418		2	2	0	-	H	$-(S)-[CH(CH_2CH(CH_3)_2)-NH-C(=O)-\text{4-chlorophenyl}]_n-$

Table 1.39

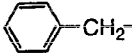
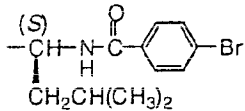
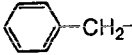
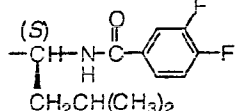
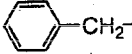
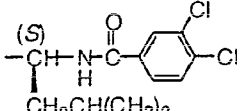
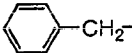
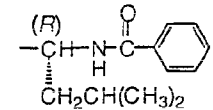
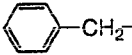
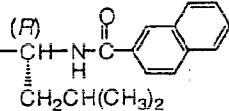
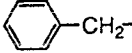
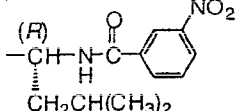
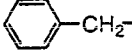
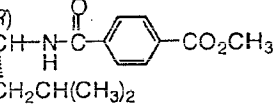
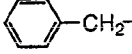
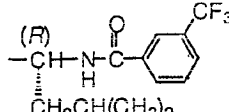
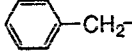
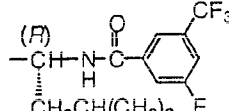
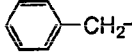
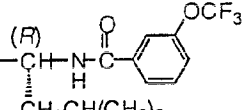
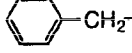
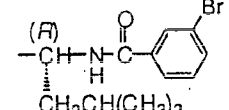
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
419	 -CH ₂ -	2	2	0	-	H	
420	 -CH ₂ -	2	2	0	-	H	
421	 -CH ₂ -	2	2	0	-	H	
422	 -CH ₂ -	2	2	0	-	H	
423	 -CH ₂ -	2	2	0	-	H	
424	 -CH ₂ -	2	2	0	-	H	
425	 -CH ₂ -	2	2	0	-	H	
426	 -CH ₂ -	2	2	0	-	H	
427	 -CH ₂ -	2	2	0	-	H	
428	 -CH ₂ -	2	2	0	-	H	
429	 -CH ₂ -	2	2	0	-	H	

Table 1.40

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q -G-R^6$
430		2	2	0	-	H	
431		2	2	0	-	H	
432		2	2	0	-	H	
433		2	2	0	-	H	
434		1	3	1	-	H	
435		1	3	1	-	H	
436		1	3	1	-	H	
437		1	3	1	-	H	
438		1	3	1	-	H	
439		1	3	1	-	H	
440		1	3	1	-	H	

Table 1.41

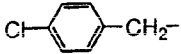
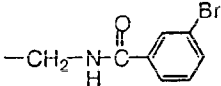
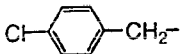
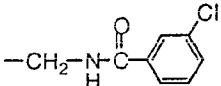
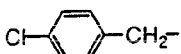
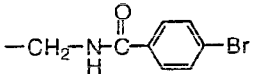
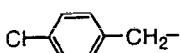
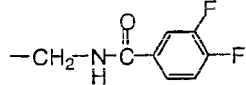
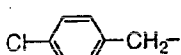
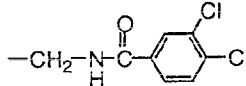
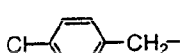
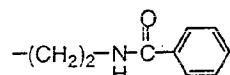
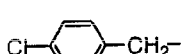
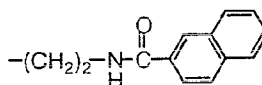
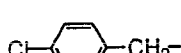
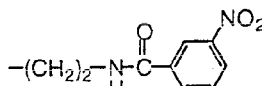

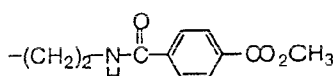

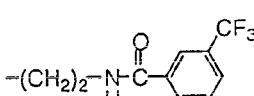

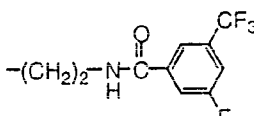
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
441		1	3	1	-	H	
442		1	3	1	-	H	
443		1	3	1	-	H	
444		1	3	1	-	H	
445		1	3	1	-	H	
446		1	3	1	-	H	
447		1	3	1	-	H	
448		1	3	1	-	H	
449		1	3	1	-	H	
450		1	3	1	-	H	
451		1	3	1	-	H	

Table 1.42

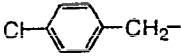
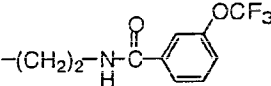
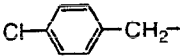
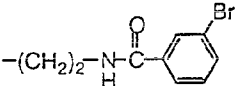
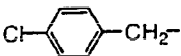
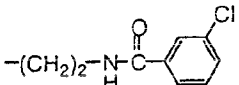
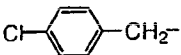
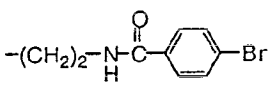
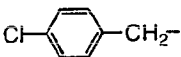
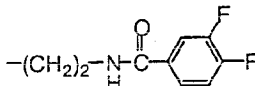
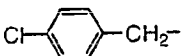
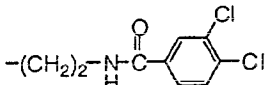
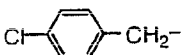
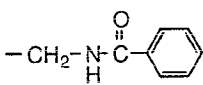
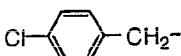
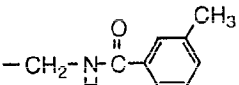
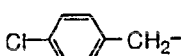
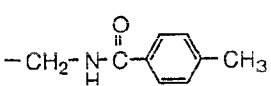
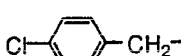
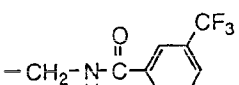
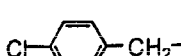
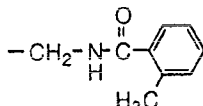
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
452		1	3	1	-	H	
453		1	3	1	-	H	
454		1	3	1	-	H	
455		1	3	1	-	H	
456		1	3	1	-	H	
457		1	3	1	-	H	
458		2	2	1	-	H	
459		2	2	1	-	H	
460		2	2	1	-	H	
461		2	2	1	-	H	
462		2	2	1	-	H	

Table 1.43

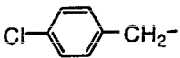
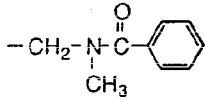
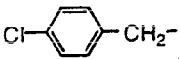
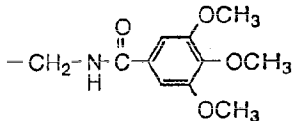
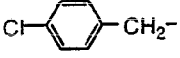
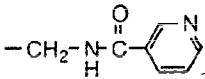
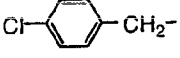
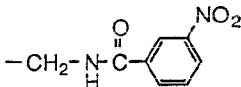
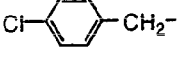
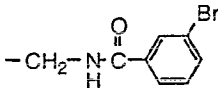
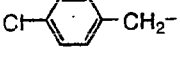
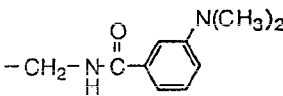
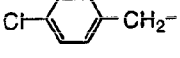
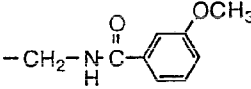
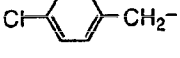
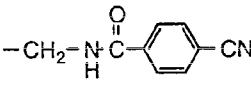
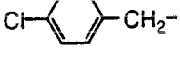
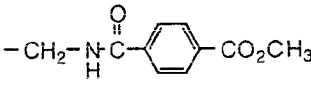
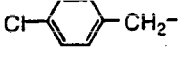
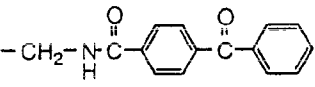
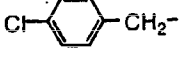
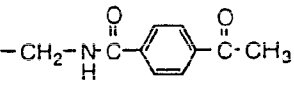
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
463		2	2	1	-	H	
464		2	2	1	-	H	
465		2	2	1	-	H	
466		2	2	1	-	H	
467		2	2	1	-	H	
468		2	2	1	-	H	
469		2	2	1	-	H	
470		2	2	1	-	H	
471		2	2	1	-	H	
472		2	2	1	-	H	
473		2	2	1	-	H	

Table 1.44

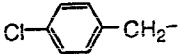
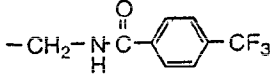
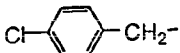
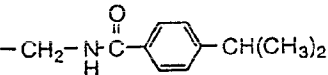
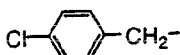
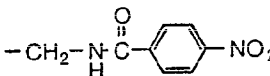
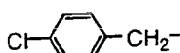
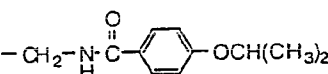
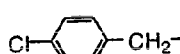
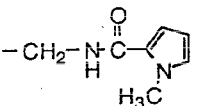
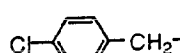
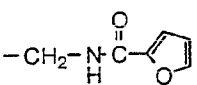
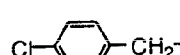
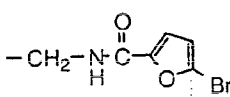

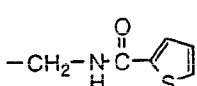

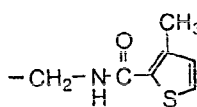

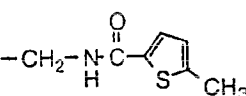

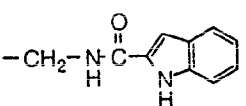
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
474		2	2	1	-	H	
475		2	2	1	-	H	
476		2	2	1	-	H	
477		2	2	1	-	H	
478		2	2	1	-	H	
479		2	2	1	-	H	
480		2	2	1	-	H	
481		2	2	1	-	H	
482		2	2	1	-	H	
483		2	2	1	-	H	
484		2	2	1	-	H	

Table 1.45

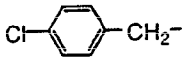
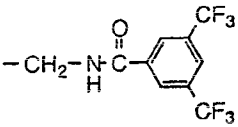
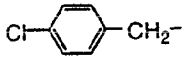
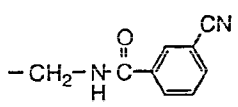
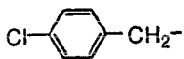
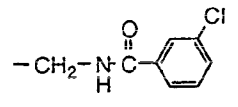
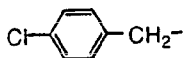
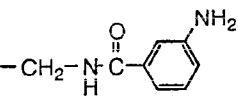
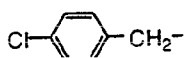
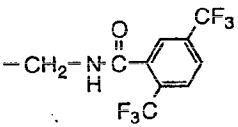
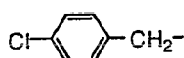
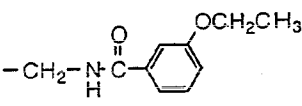
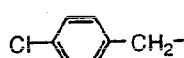
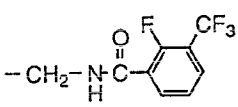
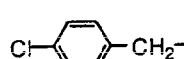
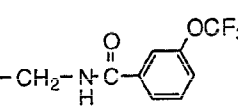
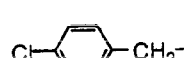
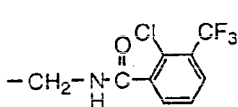
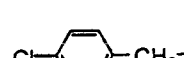
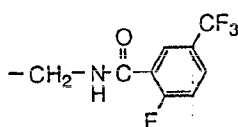

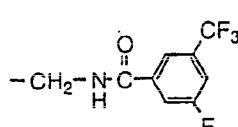
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
485		2	2	1	-	H	
486		2	2	1	-	H	
487		2	2	1	-	H	
488		2	2	1	-	H	
489		2	2	1	-	H	
490		2	2	1	-	H	
491		2	2	1	-	H	
492		2	2	1	-	H	
493		2	2	1	-	H	
494		2	2	1	-	H	
495		2	2	1	-	H	

Table 1.46

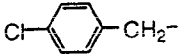
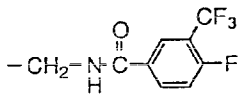
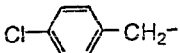
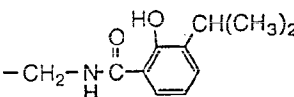
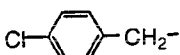
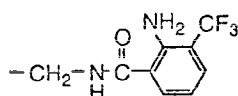
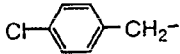
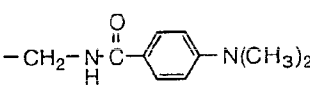
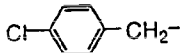
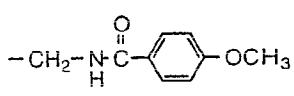
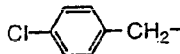
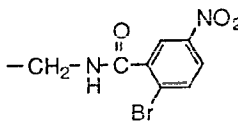
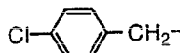
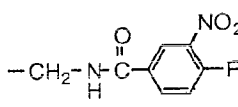
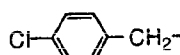
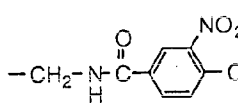
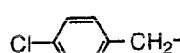
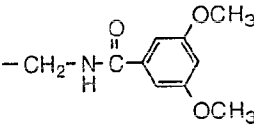
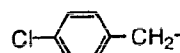
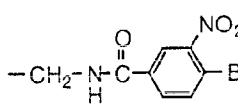
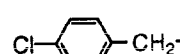
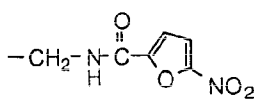
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
496		2	2	1	-	H	
497		2	2	1	-	H	
498		2	2	1	-	H	
499		2	2	1	-	H	
500		2	2	1	-	H	
501		2	2	1	-	H	
502		2	2	1	-	H	
503		2	2	1	-	H	
504		2	2	1	-	H	
505		2	2	1	-	H	
506		2	2	1	-	H	

Table 1.47

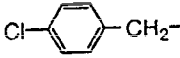
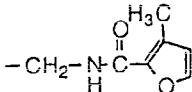
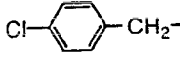
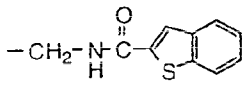
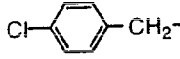
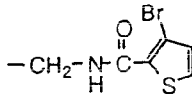
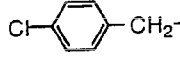
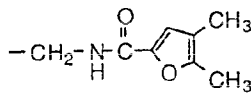
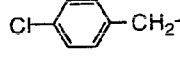
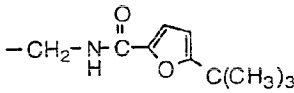
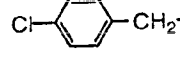
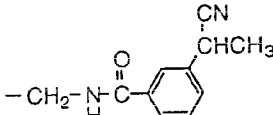
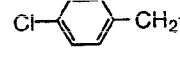
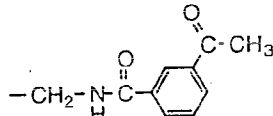
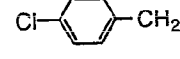
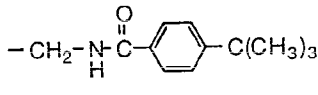

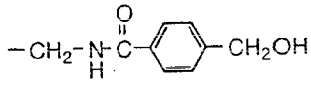
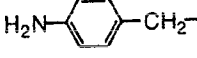
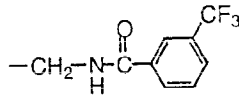
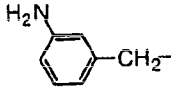
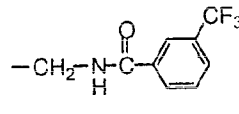
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
507		2	2	1	-	H	
508		2	2	1	-	H	
509		2	2	1	-	H	
510		2	2	1	-	H	
511		2	2	1	-	H	
512		2	2	1	-	H	
513		2	2	1	-	H	
514		2	2	1	-	H	
515		2	2	1	-	H	
516		2	2	1	-	H	
517		2	2	1	-	H	

Table 1.48

Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_l$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
518		2	2	1	-	H	
519		2	2	1	-	H	
520		2	2	1	-	-CH ₃	
521		2	2	1	-		
522		2	2	1	-		
523		2	2	1	-		
524		2	2	1	-		
525		2	2	1	-	H	
526		2	2	1	-	H	
527		2	2	1	-	H	
528		2	2	1	-	H	

Table 1.49

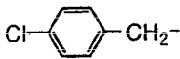
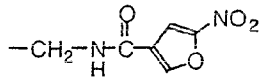
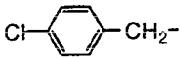
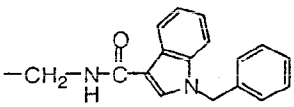
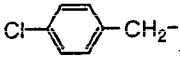
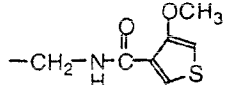
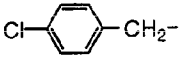
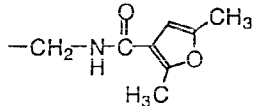
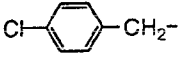
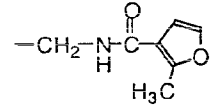
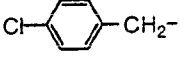
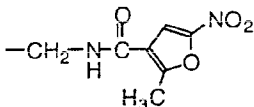
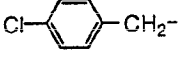
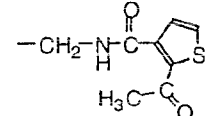
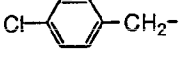
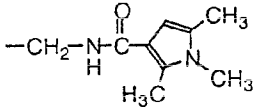
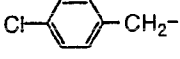
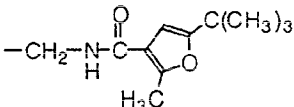
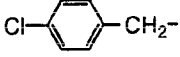
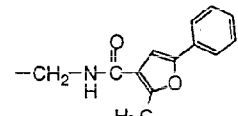
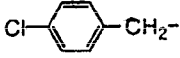
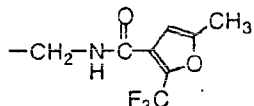
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q G-R^6$
529		2	2	1	-	H	
530		2	2	1	-	H	
531		2	2	1	-	H	
532		2	2	1	-	H	
533		2	2	1	-	H	
534		2	2	1	-	H	
535		2	2	1	-	H	
536		2	2	1	-	H	
537		2	2	1	-	H	
538		2	2	1	-	H	
539		2	2	1	-	H	

Table 1.50

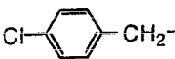
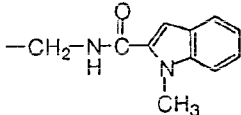
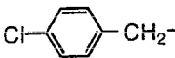
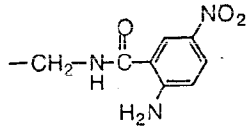
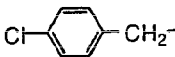
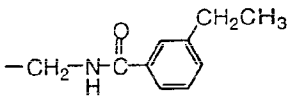
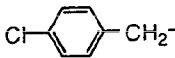
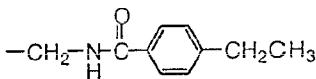
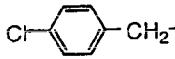
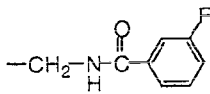
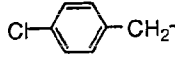
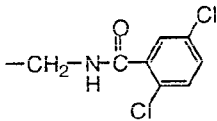
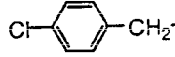
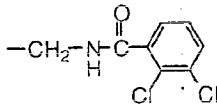
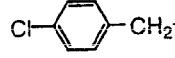
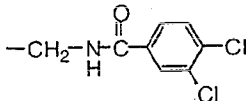
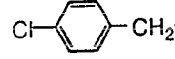
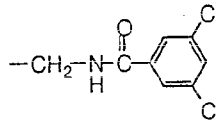

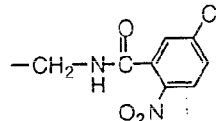

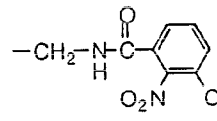
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ C \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
540		2	2	1	-	H	
541		2	2	1	-	H	
542		2	2	1	-	H	
543		2	2	1	-	H	
544		2	2	1	-	H	
545		2	2	1	-	H	
546		2	2	1	-	H	
547		2	2	1	-	H	
548		2	2	1	-	H	
549		2	2	1	-	H	
550		2	2	1	-	H	

Table 1.51

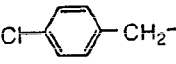
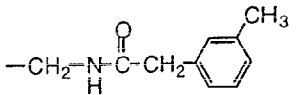
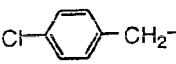
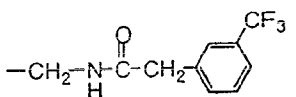
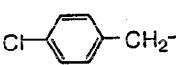
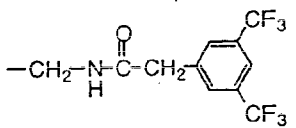
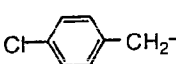
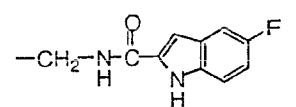
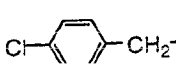
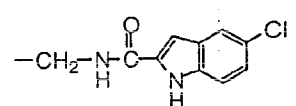
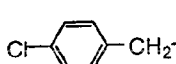
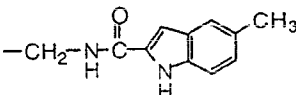
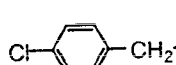
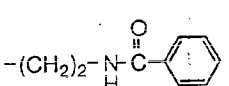
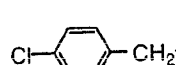
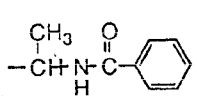
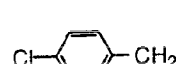
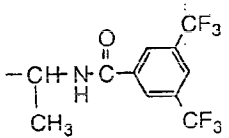

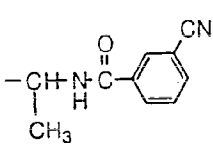

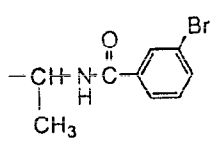
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
551		2	2	1	-	H	
552		2	2	1	-	H	
553		2	2	1	-	H	
554		2	2	1	-	H	
555		2	2	1	-	H	
556		2	2	1	-	H	
557		2	2	1	-	H	
558		2	2	1	-	H	
559		2	2	1	-	H	
560		2	2	1	-	H	
561		2	2	1	-	H	

Table 1.52

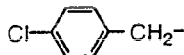
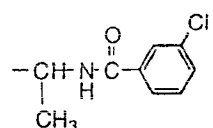
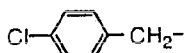
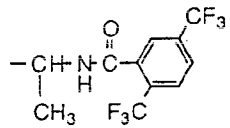
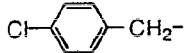
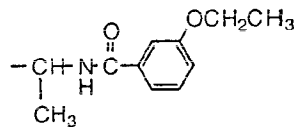
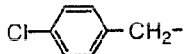
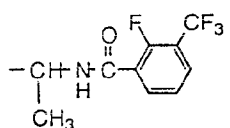
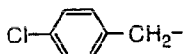
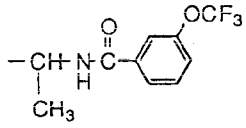
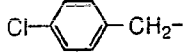
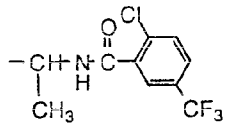
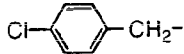
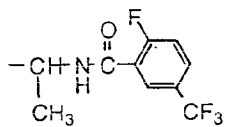
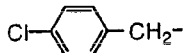
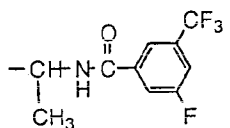
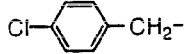
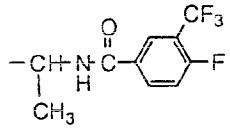
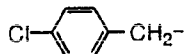
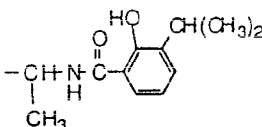
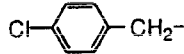
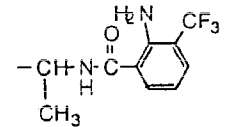
Compd. No.	$\begin{array}{c} R^1 \\ \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
562		2	2	1	-	H	
563		2	2	1	-	H	
564		2	2	1	-	H	
565		2	2	1	-	H	
566		2	2	1	-	H	
567		2	2	1	-	H	
568		2	2	1	-	H	
569		2	2	1	-	H	
570		2	2	1	-	H	
571		2	2	1	-	H	
572		2	2	1	-	H	

Table 1.53

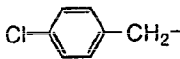
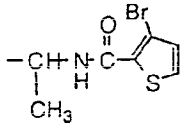
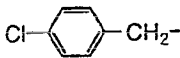
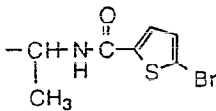
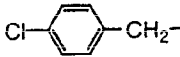
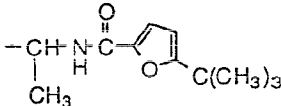
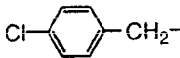
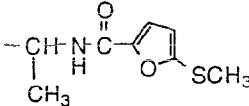
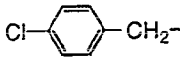
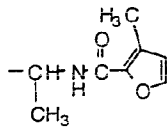
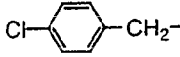
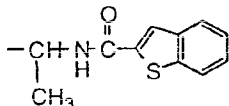
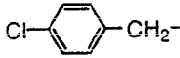
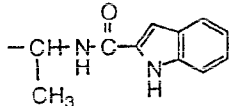
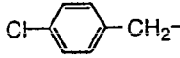
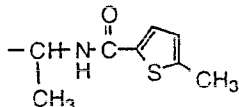
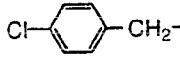
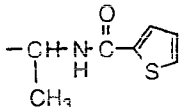
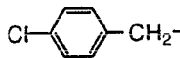
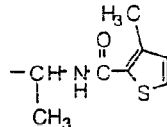
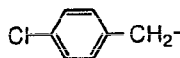
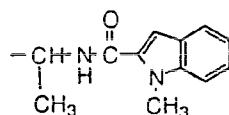
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
573		2	2	1	-	H	
574		2	2	1	-	H	
575		2	2	1	-	H	
576		2	2	1	-	H	
577		2	2	1	-	H	
578		2	2	1	-	H	
579		2	2	1	-	H	
580		2	2	1	-	H	
581		2	2	1	-	H	
582		2	2	1	-	H	
583		2	2	1	-	H	

Table 1.5 4

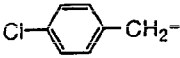
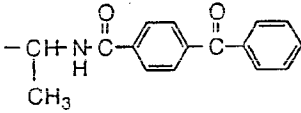
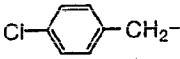
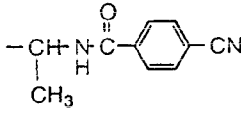
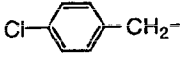
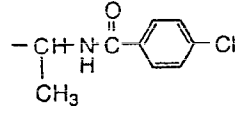
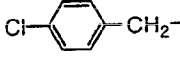
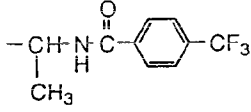
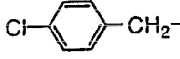
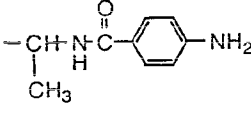
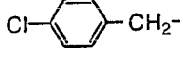
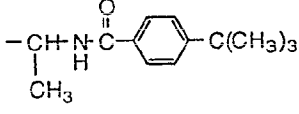
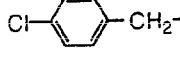
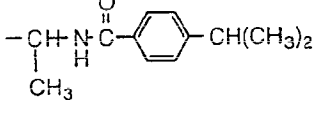
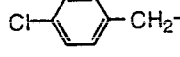
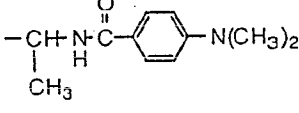
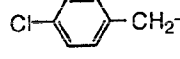
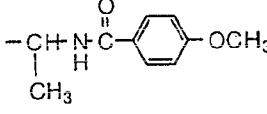
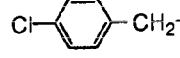
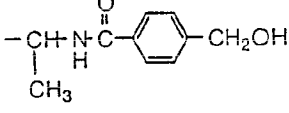
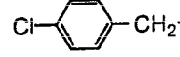
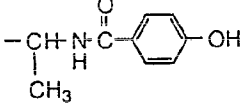
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_f \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
584		2	2	1	-	H	
585		2	2	1	-	H	
586		2	2	1	-	H	
587		2	2	1	-	H	
588		2	2	1	-	H	
589		2	2	1	-	H	
590		2	2	1	-	H	
591		2	2	1	-	H	
592		2	2	1	-	H	
593		2	2	1	-	H	
594		2	2	1	-	H	

Table 1.55

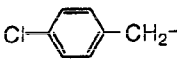
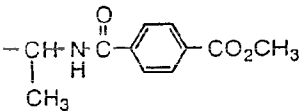
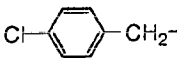
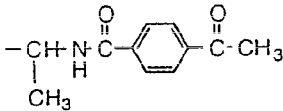
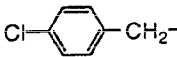
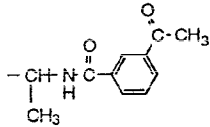
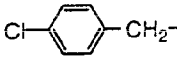
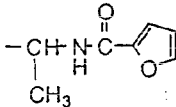
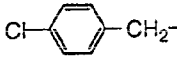
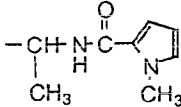
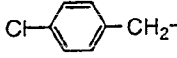
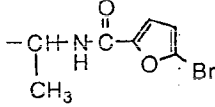
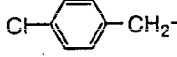
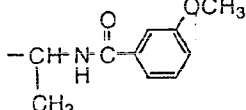
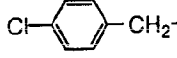
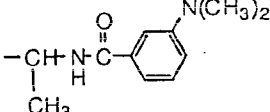
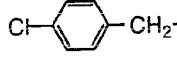
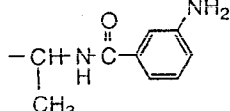
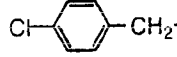
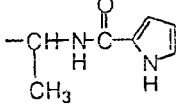
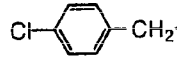
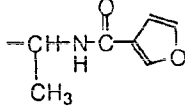
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
595		2	2	1	-	H	
596		2	2	1	-	H	
597		2	2	1	-	H	
598		2	2	1	-	H	
599		2	2	1	-	H	
600		2	2	1	-	H	
601		2	2	1	-	H	
602		2	2	1	-	H	
603		2	2	1	-	H	
604		2	2	1	-	H	
605		2	2	1	-	H	

Table 1.56

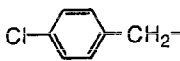
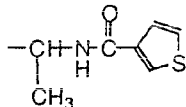
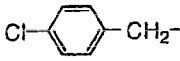
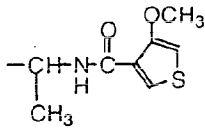
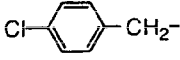
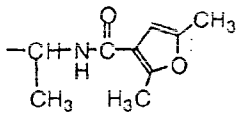
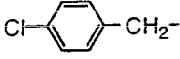
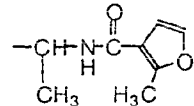
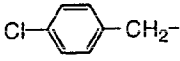
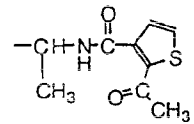
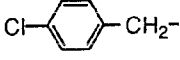
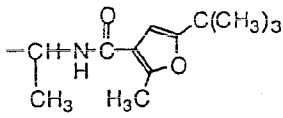
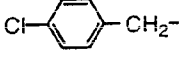
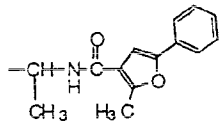
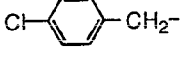
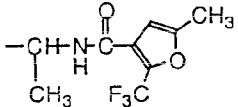
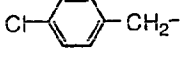
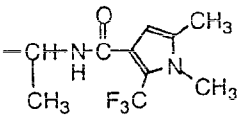
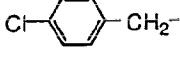
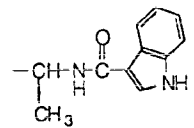
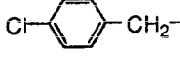
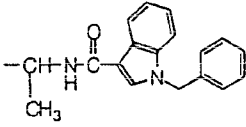
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
606		2	2	1	-	H	
607		2	2	1	-	H	
608		2	2	1	-	H	
609		2	2	1	-	H	
610		2	2	1	-	H	
611		2	2	1	-	H	
612		2	2	1	-	H	
613		2	2	1	-	H	
614		2	2	1	-	H	
615		2	2	1	-	H	
616		2	2	1	-	H	

Table 1.57

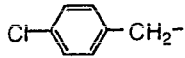
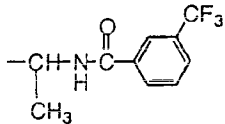
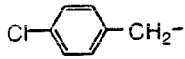
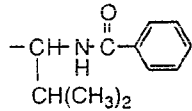
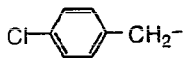
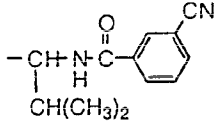
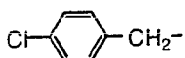
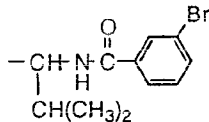
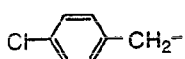
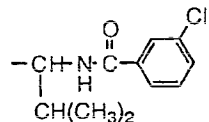
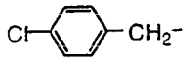
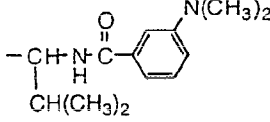
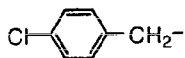
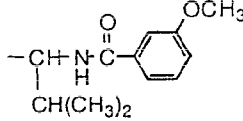
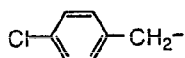
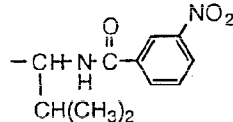
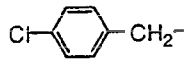
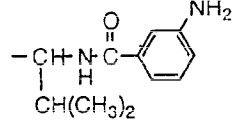
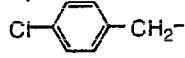
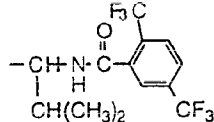
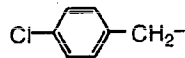
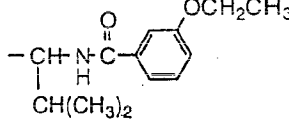
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
617		2	2	1	-	H	
618		2	2	1	-	H	
619		2	2	1	-	H	
620		2	2	1	-	H	
621		2	2	1	-	H	
622		2	2	1	-	H	
623		2	2	1	-	H	
624		2	2	1	-	H	
625		2	2	1	-	H	
626		2	2	1	-	H	
627		2	2	1	-	H	

Table 1.58

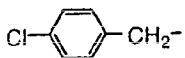
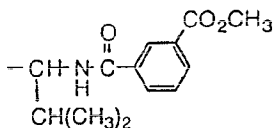
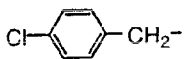
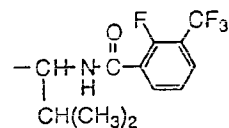
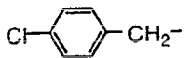
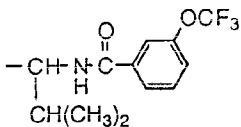
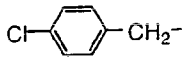
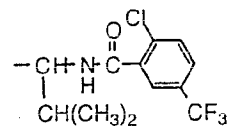
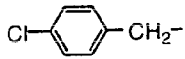
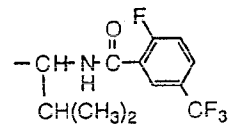
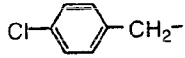
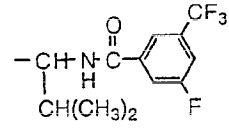
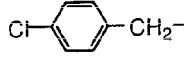
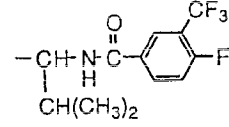
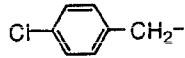
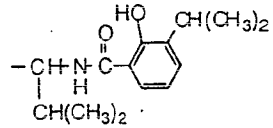
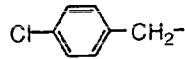
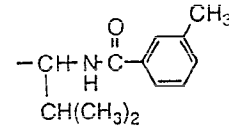
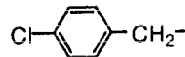
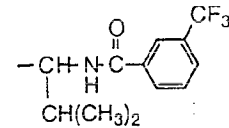
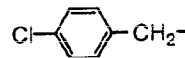
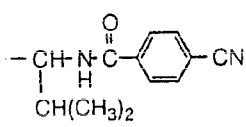
Compd. No.	$\begin{array}{c} R^1 \\ \\ R^2 - (CH_2)_f - \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p - \begin{array}{c} R^4 \\ \\ R^5 \end{array} - (CH_2)_q - G - R^6$
628		2	2	1	-	H	
629		2	2	1	-	H	
630		2	2	1	-	H	
631		2	2	1	-	H	
632		2	2	1	-	H	
633		2	2	1	-	H	
634		2	2	1	-	H	
635		2	2	1	-	H	
636		2	2	1	-	H	
637		2	2	1	-	H	
638		2	2	1	-	H	

Table 1.59


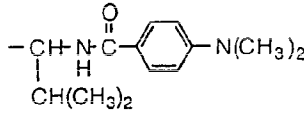
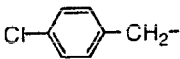
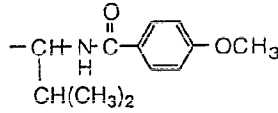
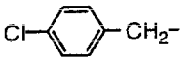
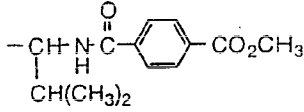
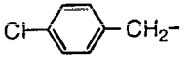
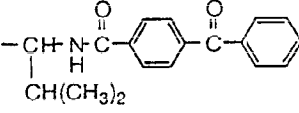
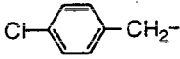

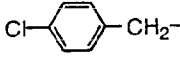
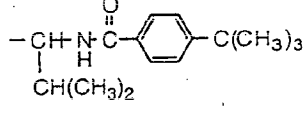
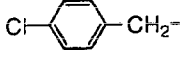
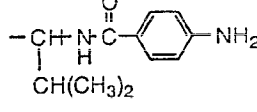
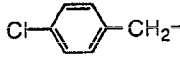
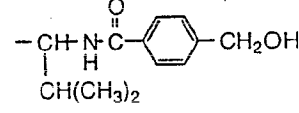
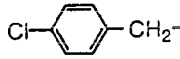
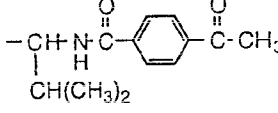
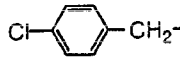
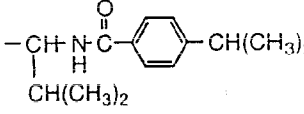
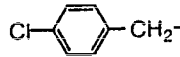
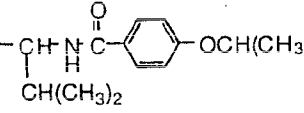
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\begin{array}{c} R^4 \\ \\ C \\ \\ R^5 \end{array}-(CH_2)_q-G-R^6$
639		2	2	1	-	H	
640		2	2	1	-	H	
641		2	2	1	-	H	
642		2	2	1	-	H	
643		2	2	1	-	H	
644		2	2	1	-	H	
645		2	2	1	-	H	
646		2	2	1	-	H	
647		2	2	1	-	H	
648		2	2	1	-	H	
649		2	2	1	-	H	

Table 1.60

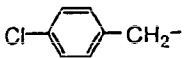
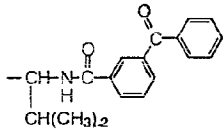
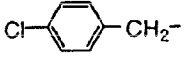
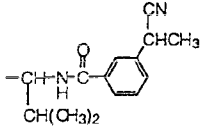
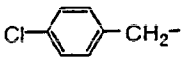
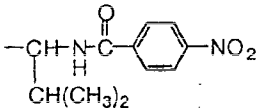
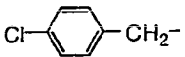
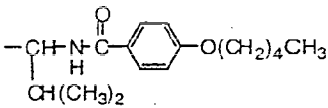
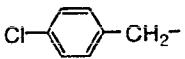
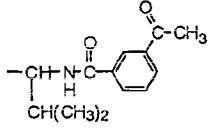
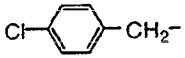
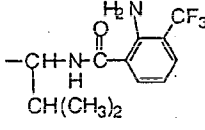
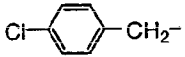
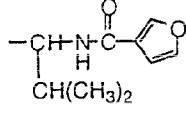
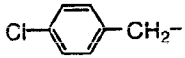
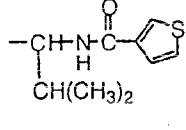
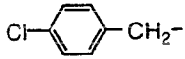
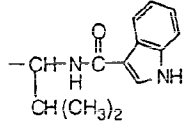
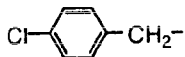
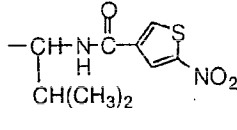
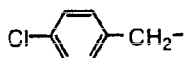
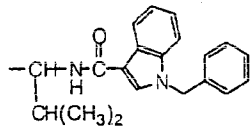
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
650		2	2	1	-	H	
651		2	2	1	-	H	
652		2	2	1	-	H	
653		2	2	1	-	H	
654		2	2	1	-	H	
655		2	2	1	-	H	
656		2	2	1	-	H	
657		2	2	1	-	H	
658		2	2	1	-	H	
659		2	2	1	-	H	
660		2	2	1	-	H	

Table 1.6 1

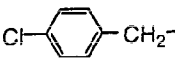
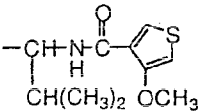
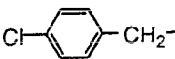
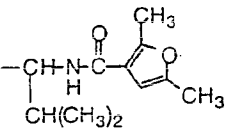
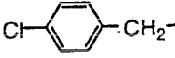
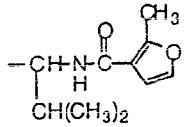
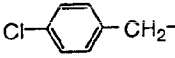
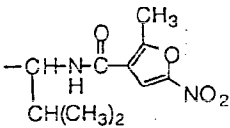
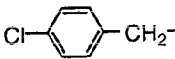
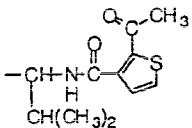
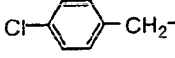
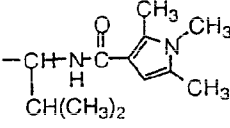
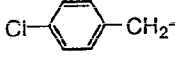
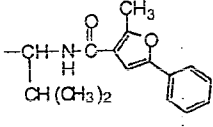
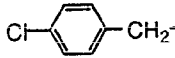
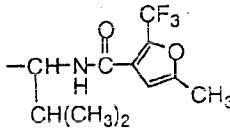
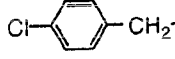
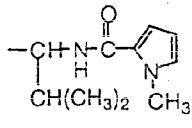
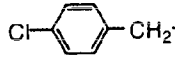
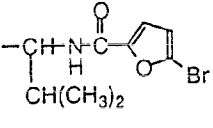
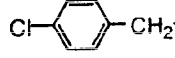
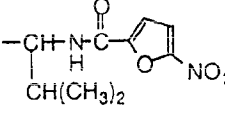
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ -C- \\ \\ R^5 \end{array} (CH_2)_q -G-R^6$
661		2	2	1	-	H	
662		2	2	1	-	H	
663		2	2	1	-	H	
664		2	2	1	-	H	
665		2	2	1	-	H	
666		2	2	1	-	H	
667		2	2	1	-	H	
668		2	2	1	-	H	
669		2	2	1	-	H	
670		2	2	1	-	H	
671		2	2	1	-	H	

Table 1.62

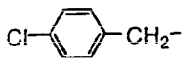
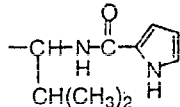
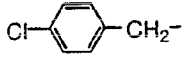
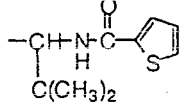
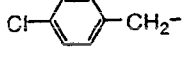
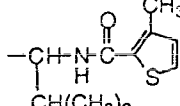
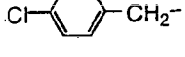
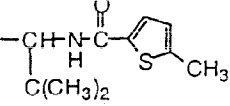
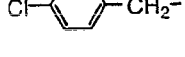
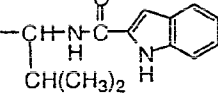
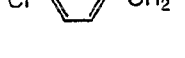
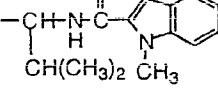
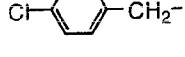
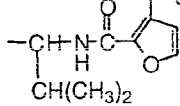
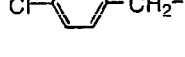
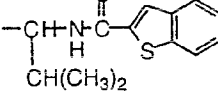
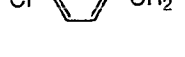
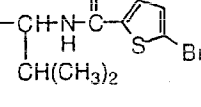
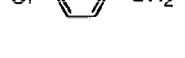
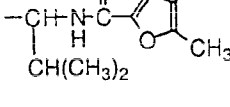
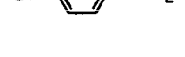
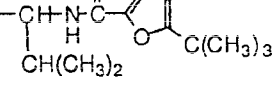
Compd. No.	$\begin{array}{c} R^1 \\ \\ R^2 \end{array} \text{---} (\text{CH}_2)_j \text{---}$	k	m	n	chirality	R^3	$-(\text{CH}_2)_p \text{---} \begin{array}{c} R^4 \\ \\ R^5 \end{array} (\text{CH}_2)_q \text{---} G \text{---} R^6$
672		2	2	1	-	H	
673		2	2	1	-	H	
674		2	2	1	-	H	
675		2	2	1	-	H	
676		2	2	1	-	H	
677		2	2	1	-	H	
678		2	2	1	-	H	
679		2	2	1	-	H	
680		2	2	1	-	H	
681		2	2	1	-	H	
682		2	2	1	-	H	

Table 1.63

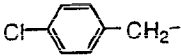
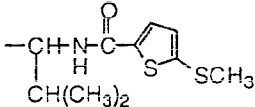
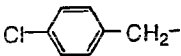
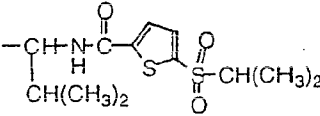
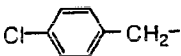
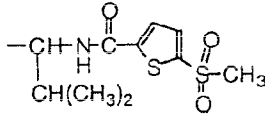
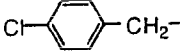
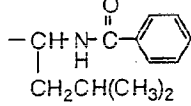
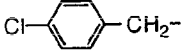
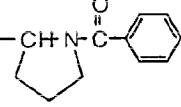
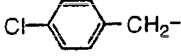
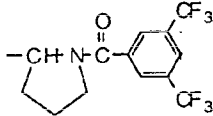
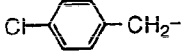
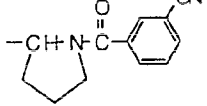
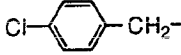
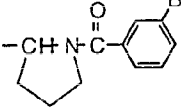
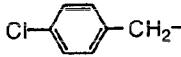
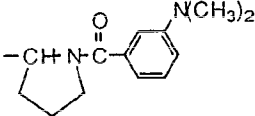
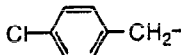
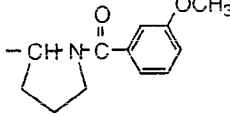
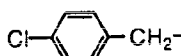
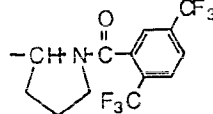
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
683		2	2	1	-	H	
684		2	2	1	-	H	
685		2	2	1	-	H	
686		2	2	1	-	H	
687		2	2	1	-	H	
688		2	2	1	-	H	
689		2	2	1	-	H	
690		2	2	1	-	H	
691		2	2	1	-	H	
692		2	2	1	-	H	
693		2	2	1	-	H	

Table 1.64

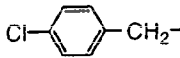
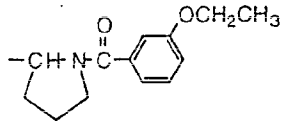
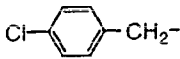
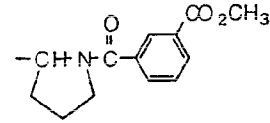
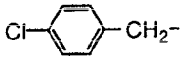
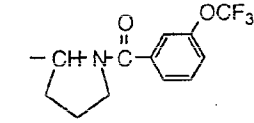
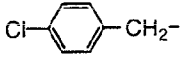
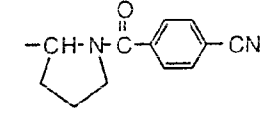
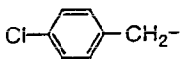
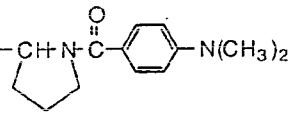
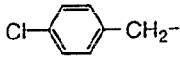
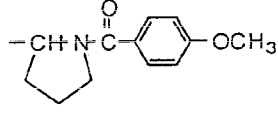
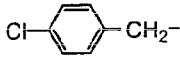
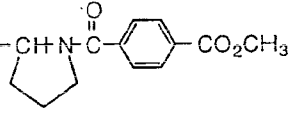
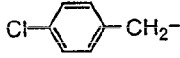
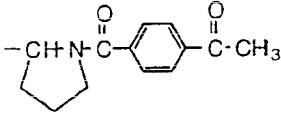
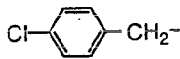
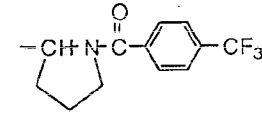
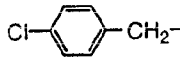
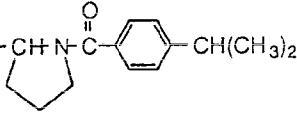
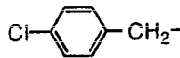
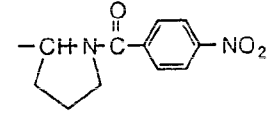
Compd. No.	$\begin{array}{c} R^1 \\ \\ R^2 \end{array} (CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
694		2	2	1	-	H	
695		2	2	1	-	H	
696		2	2	1	-	H	
697		2	2	1	-	H	
698		2	2	1	-	H	
699		2	2	1	-	H	
700		2	2	1	-	H	
701		2	2	1	-	H	
702		2	2	1	-	H	
703		2	2	1	-	H	
704		2	2	1	-	H	

Table 1.65

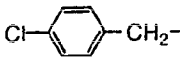
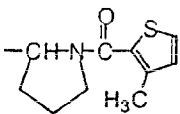
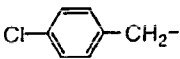
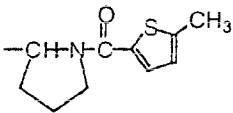
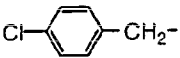
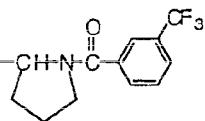
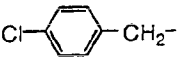
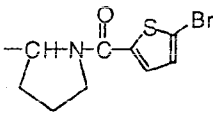
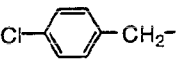
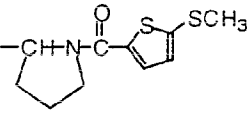
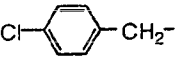
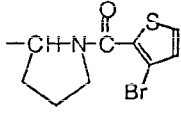
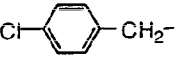
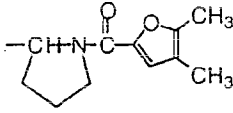
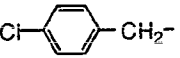
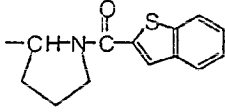
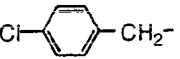
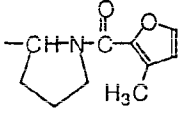
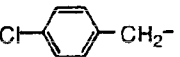
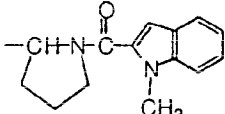
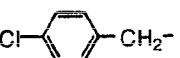
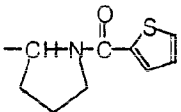
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
705		2	2	1	-	H	
706		2	2	1	-	H	
707		2	2	1	-	H	
708		2	2	1	-	H	
709		2	2	1	-	H	
710		2	2	1	-	H	
711		2	2	1	-	H	
712		2	2	1	-	H	
713		2	2	1	-	H	
714		2	2	1	-	H	
715		2	2	1	-	H	

Table 1.66

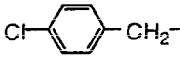
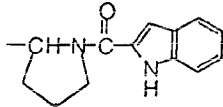
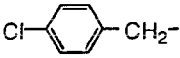
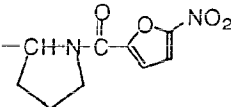
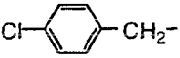
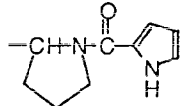
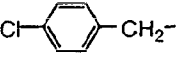
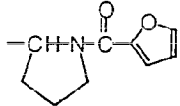
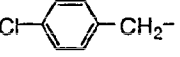
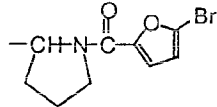
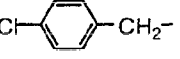
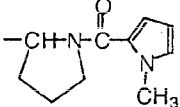
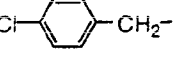
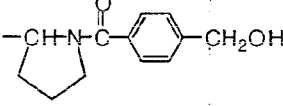
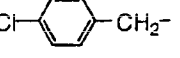
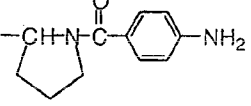
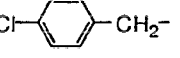
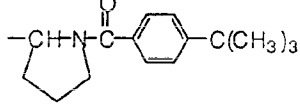
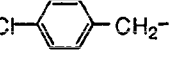
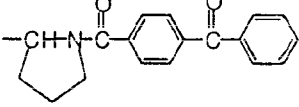
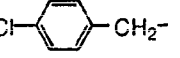
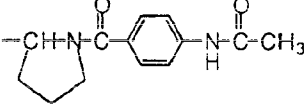
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
716		2	2	1	-	H	
717		2	2	1	-	H	
718		2	2	1	-	H	
719		2	2	1	-	H	
720		2	2	1	-	H	
721		2	2	1	-	H	
722		2	2	1	-	H	
723		2	2	1	-	H	
724		2	2	1	-	H	
725		2	2	1	-	H	
726		2	2	1	-	H	

Table 1.67

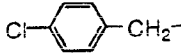
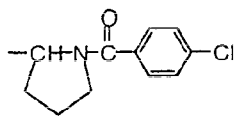
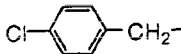
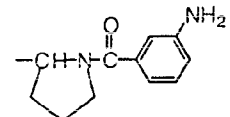
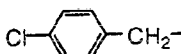
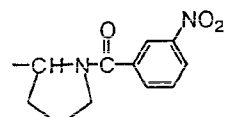
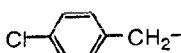
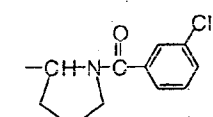
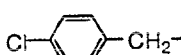
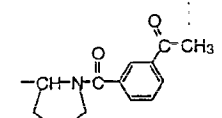
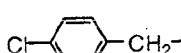
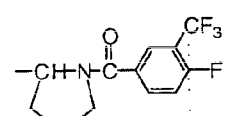
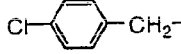
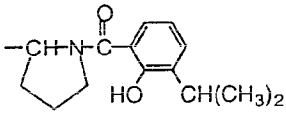
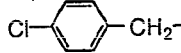
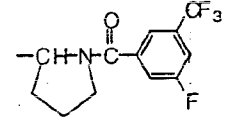
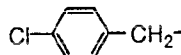
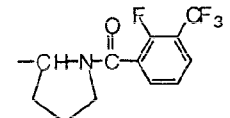
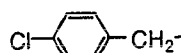
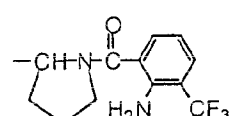
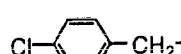
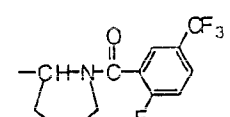
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
727		2	2	1	-	H	
728		2	2	1	-	H	
729		2	2	1	-	H	
730		2	2	1	-	H	
731		2	2	1	-	H	
732		2	2	1	-	H	
733		2	2	1	-	H	
734		2	2	1	-	H	
735		2	2	1	-	H	
736		2	2	1	-	H	
737		2	2	1	-	H	

Table 1.68

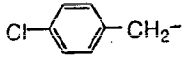
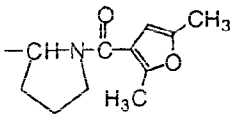
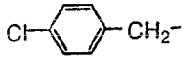
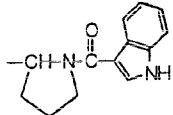
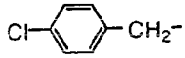
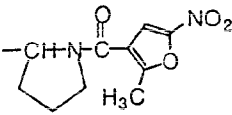
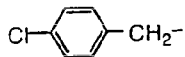
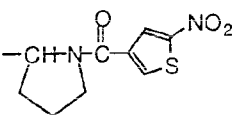
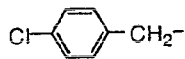
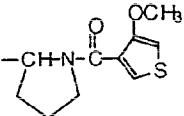
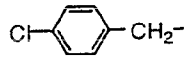
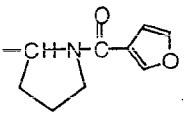
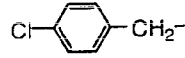
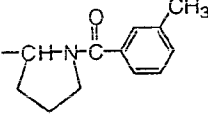
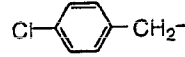
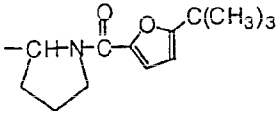
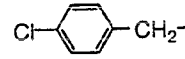
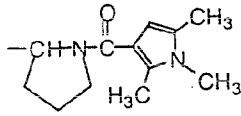
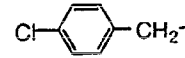
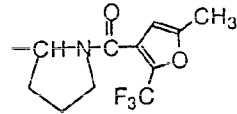
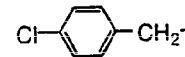
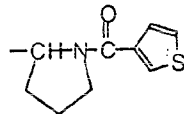
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
738		2	2	1	-	H	
739		2	2	1	-	H	
740		2	2	1	-	H	
741		2	2	1	-	H	
742		2	2	1	-	H	
743		2	2	1	-	H	
744		2	2	1	-	H	
745		2	2	1	-	H	
746		2	2	1	-	H	
747		2	2	1	-	H	
748		2	2	1	-	H	

Table 1.69

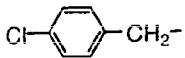
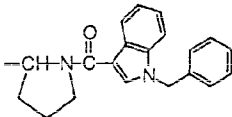
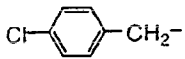
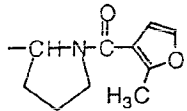
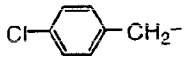
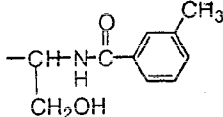
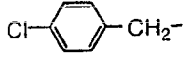
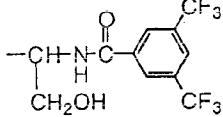
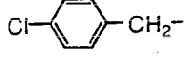
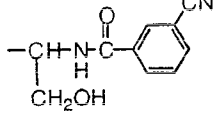
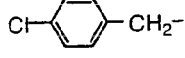
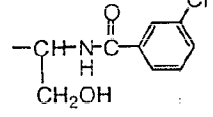
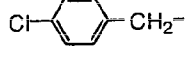
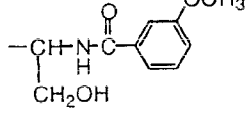
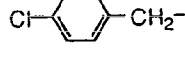
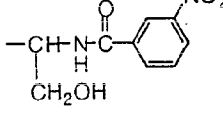
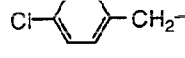
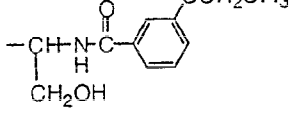
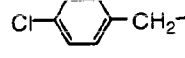
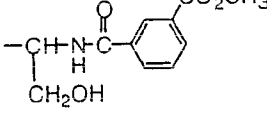
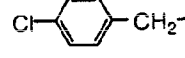
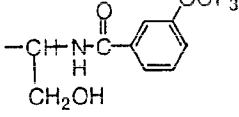
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
749		2	2	1	-	H	
750		2	2	1	-	H	
751		2	2	1	-	H	
752		2	2	1	-	H	
753		2	2	1	-	H	
754		2	2	1	-	H	
755		2	2	1	-	H	
756		2	2	1	-	H	
757		2	2	1	-	H	
758		2	2	1	-	H	
759		2	2	1	-	H	

Table 1.70

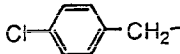
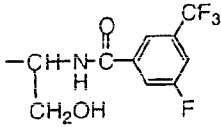
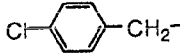
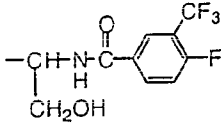
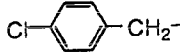
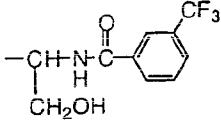
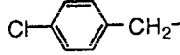
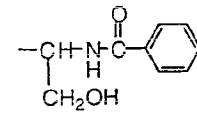
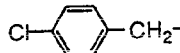
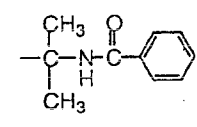
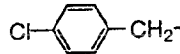
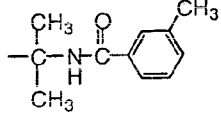
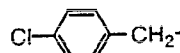
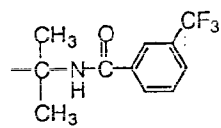
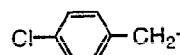
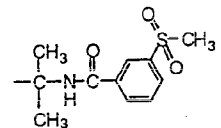
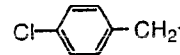
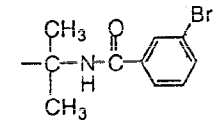

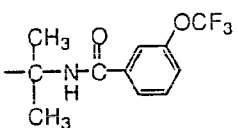

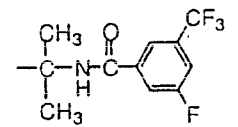
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\begin{array}{c} R^4 \\ \\ R^5 \end{array}-(CH_2)_q-G-R^6$
760		2	2	1	-	H	
761		2	2	1	-	H	
762		2	2	1	-	H	
763		2	2	1	-	H	
764		2	2	1	-	H	
765		2	2	1	-	H	
766		2	2	1	-	H	
767		2	2	1	-	H	
768		2	2	1	-	H	
769		2	2	1	-	H	
770		2	2	1	-	H	

Table 1.71

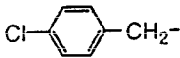
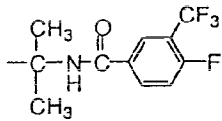
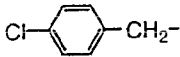
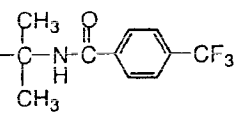
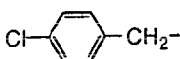
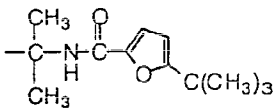
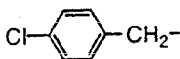
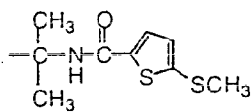
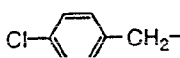
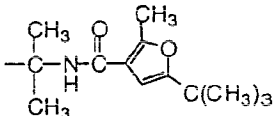
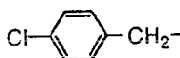
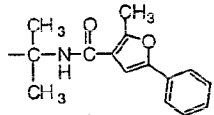
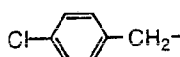
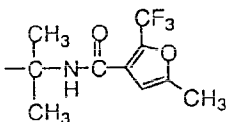
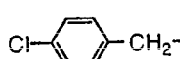
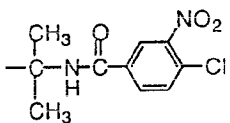
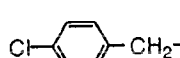
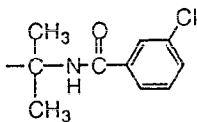
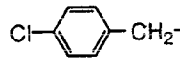
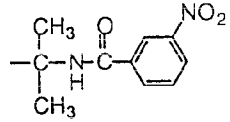
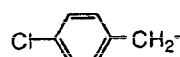
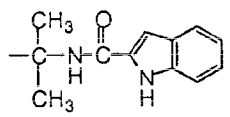
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
771		2	2	1	-	H	
772		2	2	1	-	H	
773		2	2	1	-	H	
774		2	2	1	-	H	
775		2	2	1	-	H	
776		2	2	1	-	H	
777		2	2	1	-	H	
778		2	2	1	-	H	
779		2	2	1	-	H	
780		2	2	1	-	H	
781		2	2	1	-	H	

Table 1.72

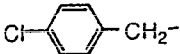
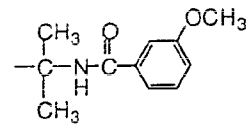
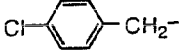
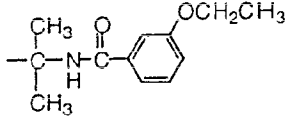
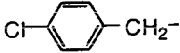
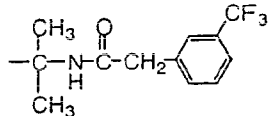
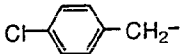
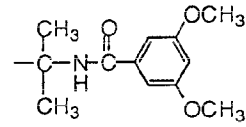
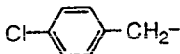
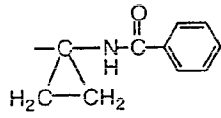
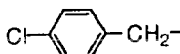
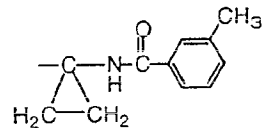
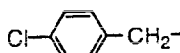
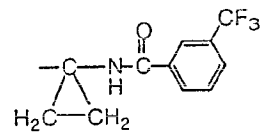
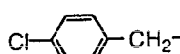
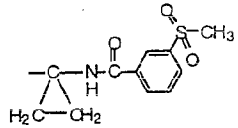
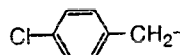
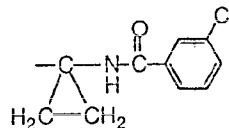
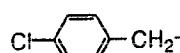
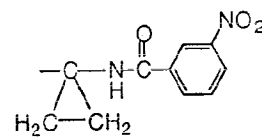
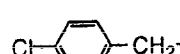
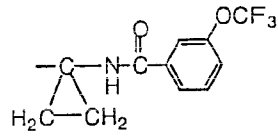
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
782		2	2	1	-	H	
783		2	2	1	-	H	
784		2	2	1	-	H	
785		2	2	1	-	H	
786		2	2	1	-	H	
787		2	2	1	-	H	
788		2	2	1	-	H	
789		2	2	1	-	H	
790		2	2	1	-	H	
791		2	2	1	-	H	
792		2	2	1	-	H	

Table 1.73

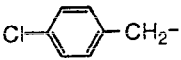
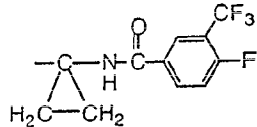
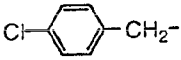
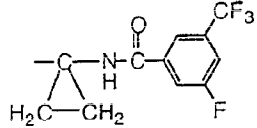
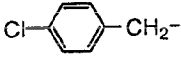
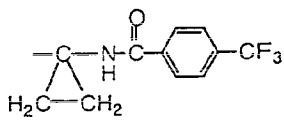
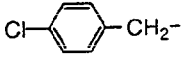
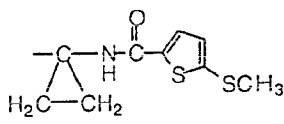
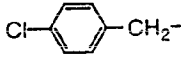
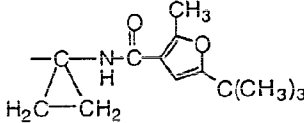
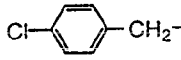
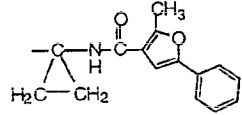
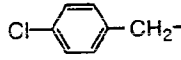
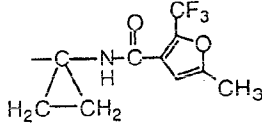
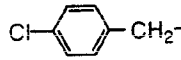
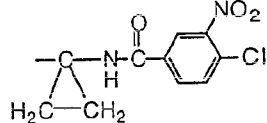
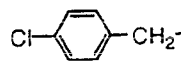
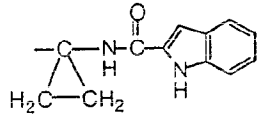
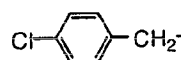
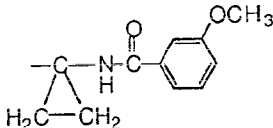
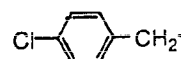
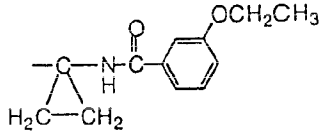
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\begin{array}{c} R^4 \\ \\ C \\ \\ R^5 \end{array}-(CH_2)_q-G-R^6$
793		2	2	1	-	H	
794		2	2	1	-	H	
795		2	2	1	-	H	
796		2	2	1	-	H	
797		2	2	1	-	H	
798		2	2	1	-	H	
799		2	2	1	-	H	
800		2	2	1	-	H	
801		2	2	1	-	H	
802		2	2	1	-	H	
803		2	2	1	-	H	

Table 1.74

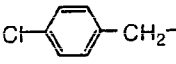
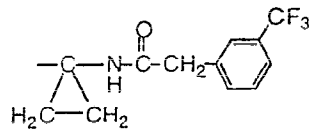
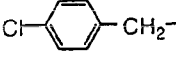
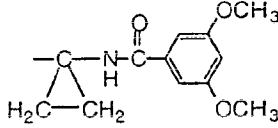
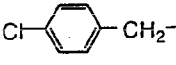
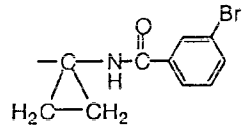
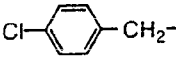
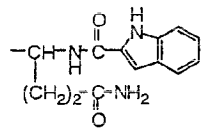
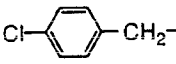
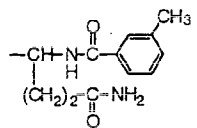
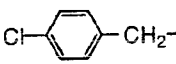
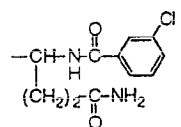
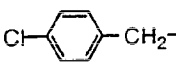
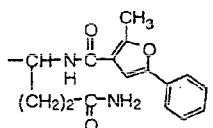
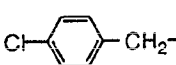
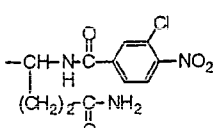
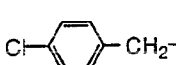
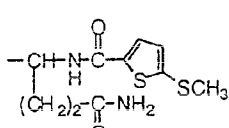
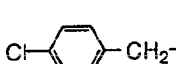
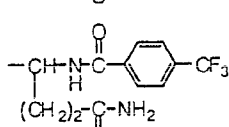
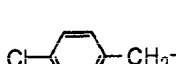
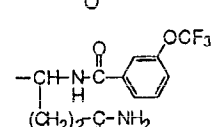
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
804		2	2	1	-	H	
805		2	2	1	-	H	
806		2	2	1	-	H	
807		2	2	1	-	H	
808		2	2	1	-	H	
809		2	2	1	-	H	
810		2	2	1	-	H	
811		2	2	1	-	H	
812		2	2	1	-	H	
813		2	2	1	-	H	
814		2	2	1	-	H	

Table 1.75

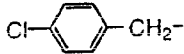
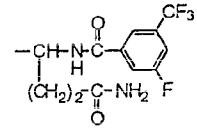
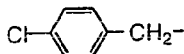
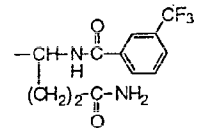
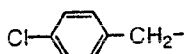
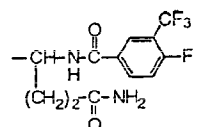
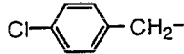
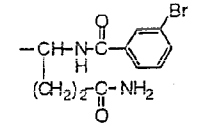
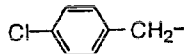
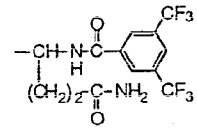
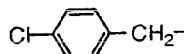
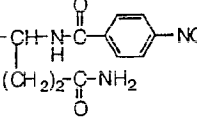
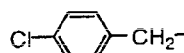
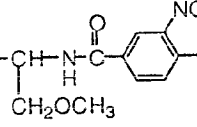
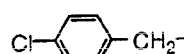
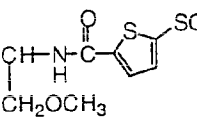
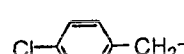
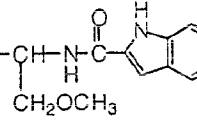
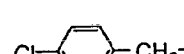
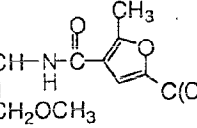
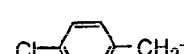
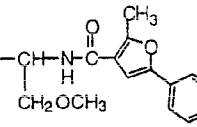
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
815		2	2	1	-	H	
816		2	2	1	-	H	
817		2	2	1	-	H	
818		2	2	1	-	H	
819		2	2	1	-	H	
820		2	2	1	-	H	
821		2	2	1	-	H	
822		2	2	1	-	H	
823		2	2	1	-	H	
824		2	2	1	-	H	
825		2	2	1	-	H	

Table 1.76

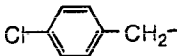
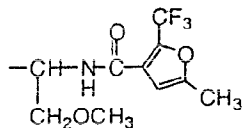
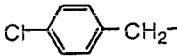
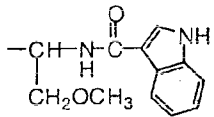
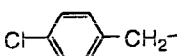
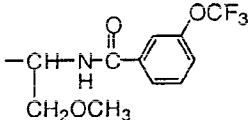
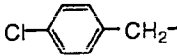
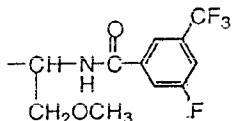
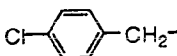
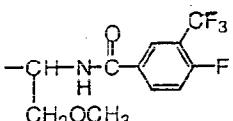
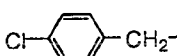
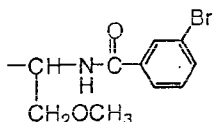
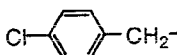
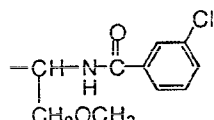
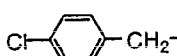
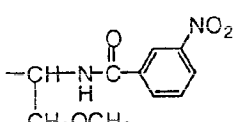
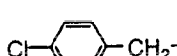
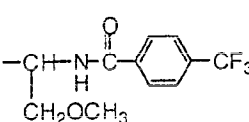
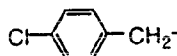
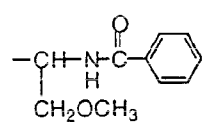
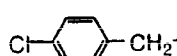
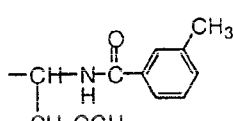
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
826		2	2	1	-	H	
827		2	2	1	-	H	
828		2	2	1	-	H	
829		2	2	1	-	H	
830		2	2	1	-	H	
831		2	2	1	-	H	
832		2	2	1	-	H	
833		2	2	1	-	H	
834		2	2	1	-	H	
835		2	2	1	-	H	
836		2	2	1	-	H	

Table 1.77

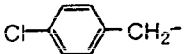
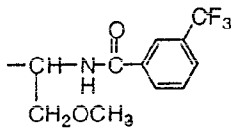
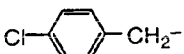
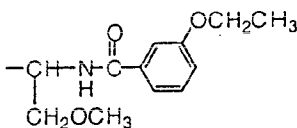
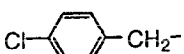
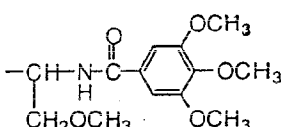
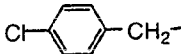
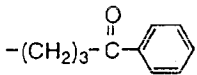
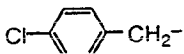
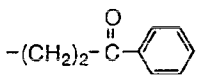
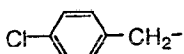
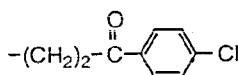
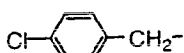
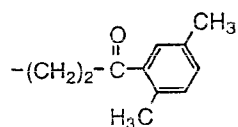
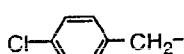
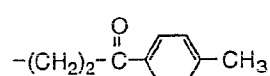
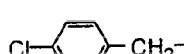
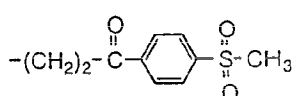
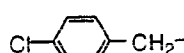
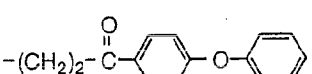
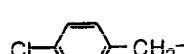
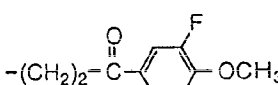
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
837		2	2	1	-	H	
838		2	2	1	-	H	
839		2	2	1	-	H	
840		2	2	1	-	H	
841		2	2	1	-	H	
842		2	2	1	-	H	
843		2	2	1	-	H	
844		2	2	1	-	H	
845		2	2	1	-	H	
846		2	2	1	-	H	
847		2	2	1	-	H	

Table 1.78

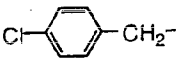
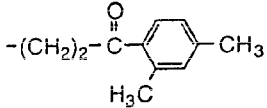
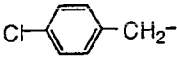
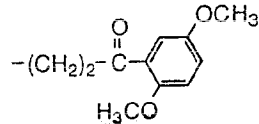
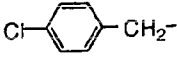
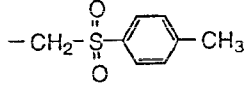
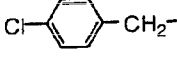
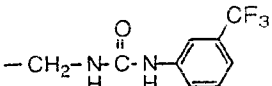
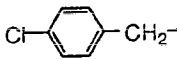
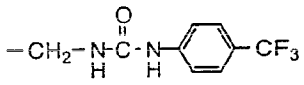
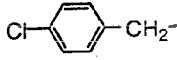
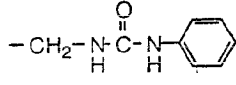
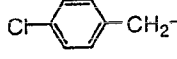
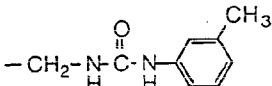
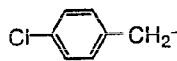
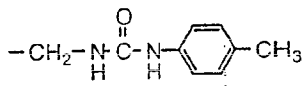
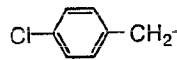
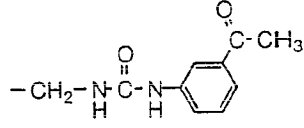
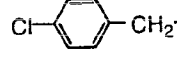
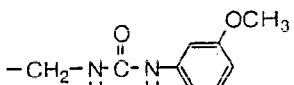
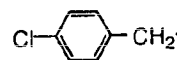
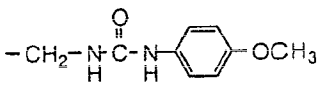
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
848		2	2	1	-	H	
849		2	2	1	-	H	
850		2	2	1	-	H	
851		2	2	1	-	H	
852		2	2	1	-	H	
853		2	2	1	-	H	
854		2	2	1	-	H	
855		2	2	1	-	H	
856		2	2	1	-	H	
857		2	2	1	-	H	
858		2	2	1	-	H	

Table 1.79

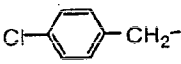
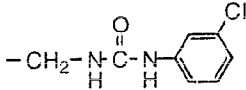
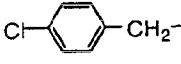
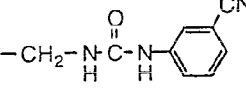
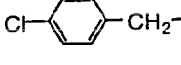
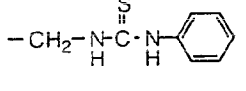
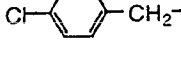
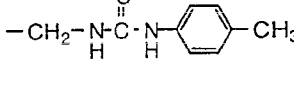
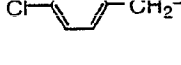
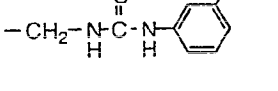
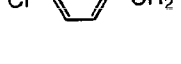
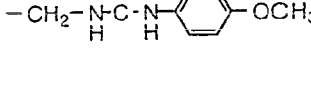

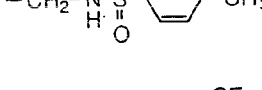

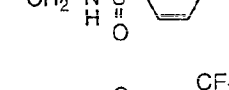

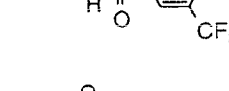

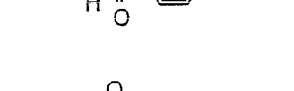

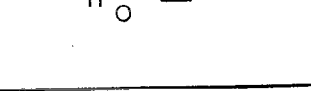
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
859		2	2	1	-	H	
860		2	2	1	-	H	
861		2	2	1	-	H	
862		2	2	1	-	H	
863		2	2	1	-	H	
864		2	2	1	-	H	
865		2	2	1	-	H	
866		2	2	1	-	H	
867		2	2	1	-	H	
868		2	2	1	-	H	
869		2	2	1	-	H	

Table 1.80

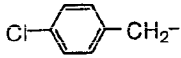
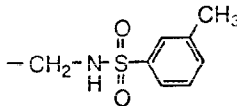
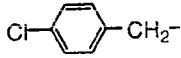
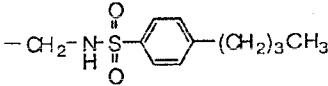
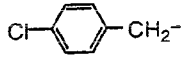
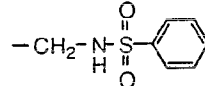
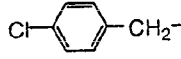
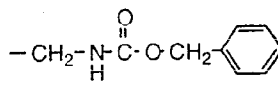
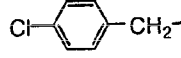
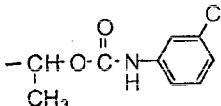
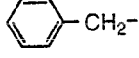
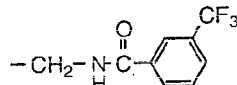
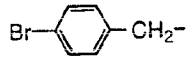
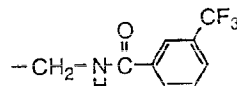
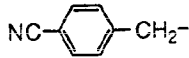
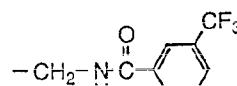

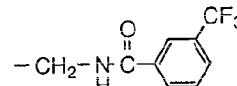
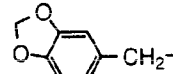
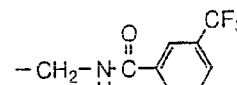
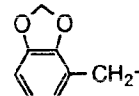
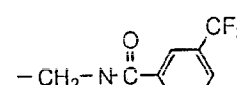
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
870		2	2	1	-	H	
871		2	2	1	-	H	
872		2	2	1	-	H	
873		2	2	1	-	H	
874		2	2	1	-	H	
875		2	2	1	-	H	
876		2	2	1	-	H	
877		2	2	1	-	H	
878		2	2	1	-	H	
879		2	2	1	-	H	
880		2	2	1	-	H	

Table 1.81

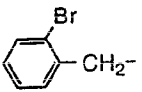
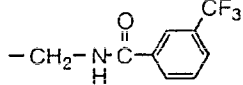
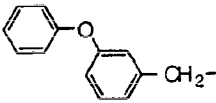
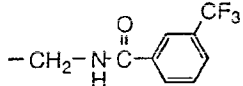
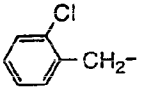
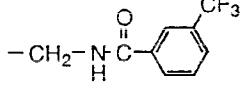
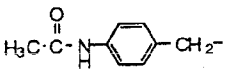
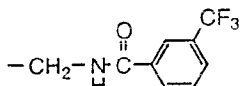
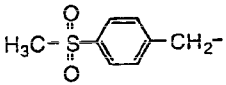
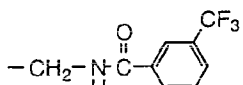
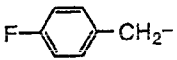
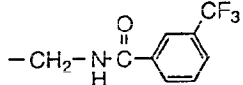
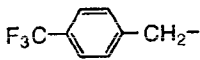
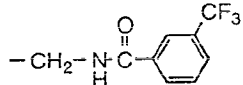
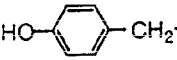
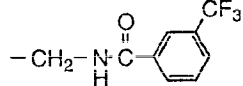
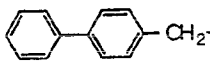
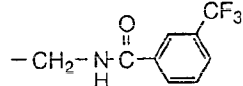
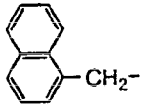
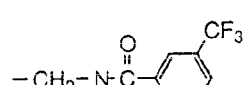
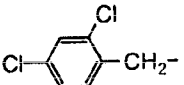
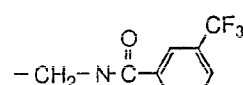
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
881		2	2	1	-	H	
882		2	2	1	-	H	
883		2	2	1	-	H	
884		2	2	1	-	H	
885		2	2	1	-	H	
886		2	2	1	-	H	
887		2	2	1	-	H	
888		2	2	1	-	H	
889		2	2	1	-	H	
890		2	2	1	-	H	
891		2	2	1	-	H	

Table 1.82

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
892		2	2	1	-	H	
893		2	2	1	-	H	
894		2	2	1	-	H	
895		2	2	1	-	H	
896		2	2	1	-	H	
897		2	2	1	-	H	
898		2	2	1	-	H	
899		2	2	1	-	H	
900		2	2	1	-	H	
901		2	2	1	-	H	
902		2	2	1	-	H	

Table 1.83

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
903		2	2	1	-	H	
904		2	2	1	-	H	
905		2	2	1	-	H	
906		2	2	1	-	H	
907		2	2	1	-	H	
908		2	2	1	-	H	
909		2	2	1	-	H	
910		2	2	1	-	H	
911		2	2	1	-	H	
912		2	2	1	-	H	
913		2	2	1	-	H	

Table 1.84

Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
914		2	2	1	-	H	
915		2	2	1	-	H	
916		2	2	1	-	H	
917		2	2	1	-	H	
918		2	2	1	-	H	
919		2	2	1	-	H	
920		2	2	1	-	H	
921		2	2	1	-	H	
922		2	2	1	-	H	
923		2	2	1	-	H	
924		2	2	1	-	H	

Table 1.85

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
925		2	2	1	-	H	
926		2	2	1	-	H	
927		2	2	1	;	H	
928		2	2	1	-	H	
929		2	2	1	-	H	
930		2	2	1	-	H	
931		2	2	1	-	H	
932		2	2	1	-	H	
933		2	2	1	-	H	
934		2	2	1	-	H	
935		2	2	1	-	H	

Table 1.86

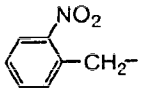
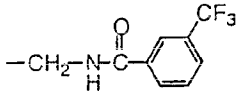
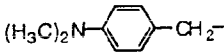
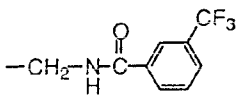
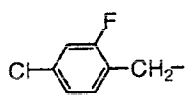
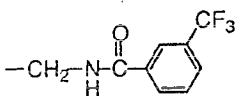
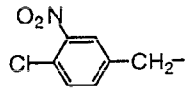
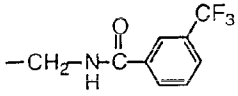
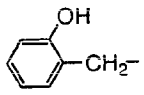
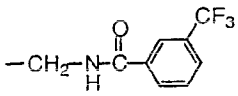
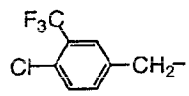
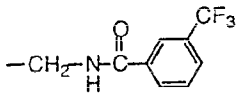
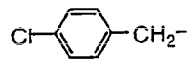
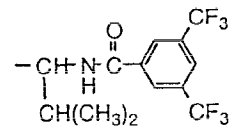
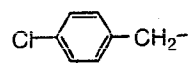
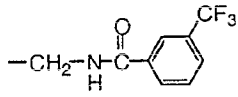
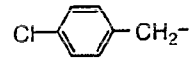
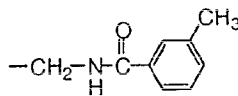
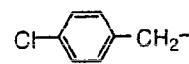
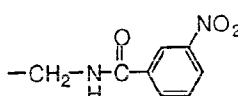
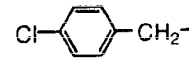
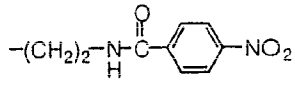
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
936		2	2	1	-	H	
937		2	2	1	-	H	
938		2	2	1	-	H	
939		2	2	1	-	H	
940		2	2	1	-	H	
941		2	2	1	-	H	
942		2	2	1	-	H	
943		1	4	0	-	H	
944		1	4	0	-	H	
945		1	4	0	-	H	
946		1	4	0	-	H	

Table 1.87

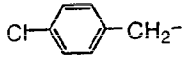
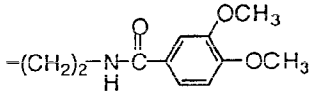
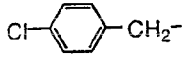
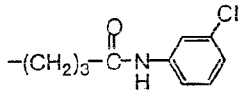
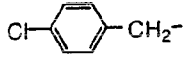
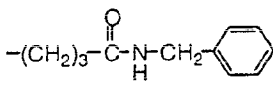
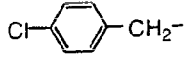
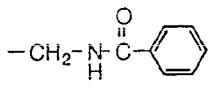
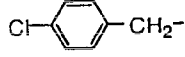
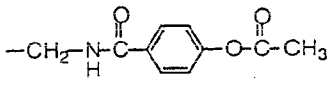
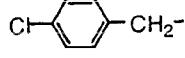
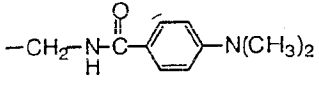
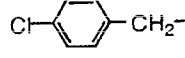
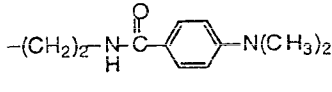
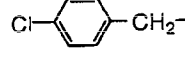
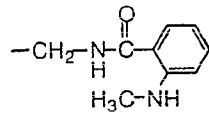
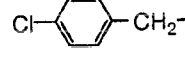
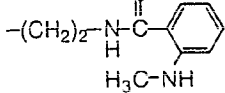
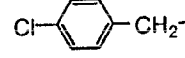
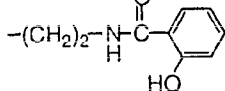
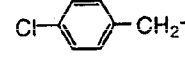
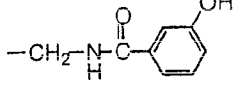
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_f \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
947		1	4	0	-	H	
948		1	4	0	-	H	
949		1	4	0	-	H	
950		0	4	1	-	H	
951		1	2	0	R	H	
952		1	2	0	R	H	
953		1	2	0	R	H	
954		1	2	0	R	H	
955		1	2	0	R	H	
956		1	2	0	R	H	
957		1	2	0	R	H	

Table 1.88

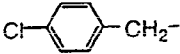
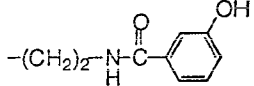
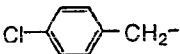
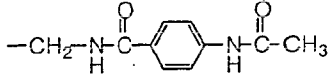
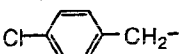
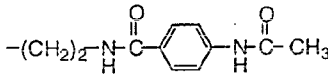
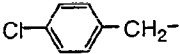
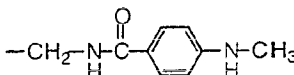
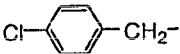
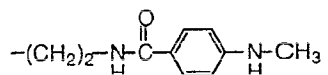
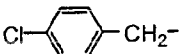
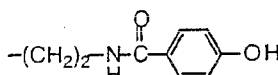
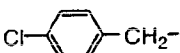
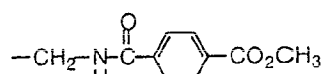
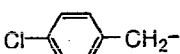
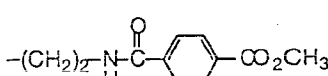
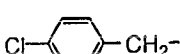
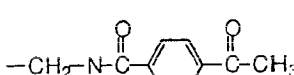
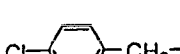
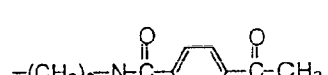

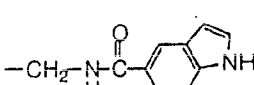
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ C \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
958		1	2	0	R	H	
959		1	2	0	R	H	
960		1	2	0	R	H	
961		1	2	0	R	H	
962		1	2	0	R	H	
963		1	2	0	R	H	
964		1	2	0	R	H	
965		1	2	0	R	H	
966		1	2	0	R	H	
967		1	2	0	R	H	
968		1	2	0	R	H	

Table 1.89

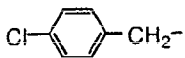
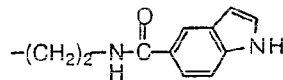
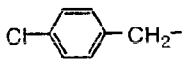
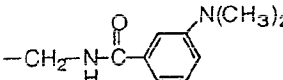
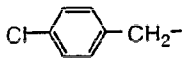
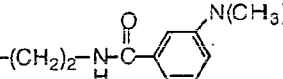
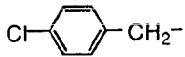
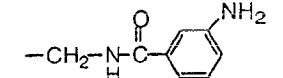
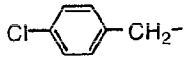
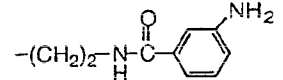
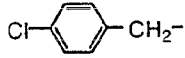
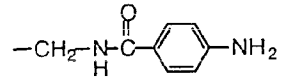
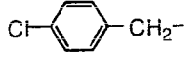
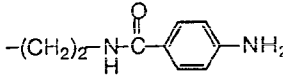
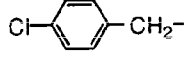
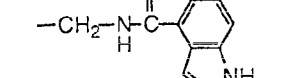
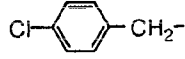
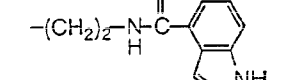
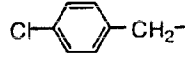
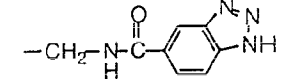
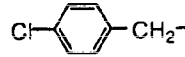
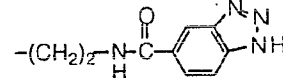
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
969		1	2	0	R	H	
970		1	2	0	R	H	
971		1	2	0	R	H	
972		1	2	0	R	H	
973		1	2	0	R	H	
974		1	2	0	R	H	
975		1	2	0	R	H	
976		1	2	0	R	H	
977		1	2	0	R	H	
978		1	2	0	R	H	
979		1	2	0	R	H	

Table 1.90

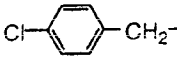
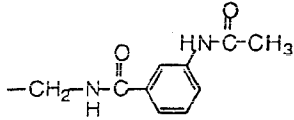
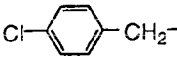
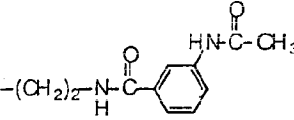
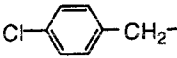
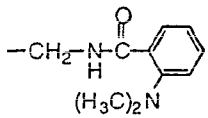
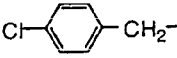
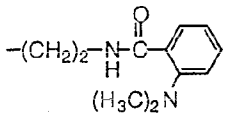
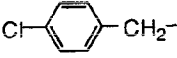
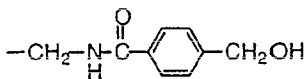
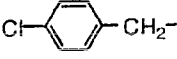
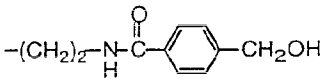
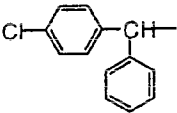
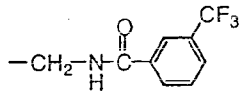
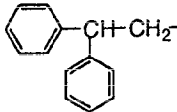
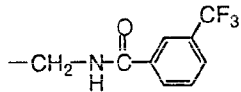
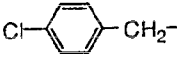
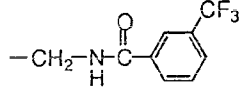
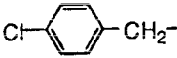
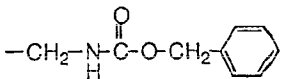
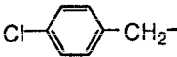
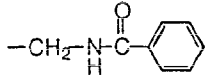
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
980		1	2	0	R	H	
981		1	2	0	R	H	
982		1	2	0	R	H	
983		1	2	0	R	H	
984		1	2	0	R	H	
985		1	2	0	R	H	
986		1	2	0	R	H	
987		2	2	1	-	H	
988		1	4	0	-	H	
989		1	4	0	-	H	
990		1	4	0	-	H	

Table 1.91

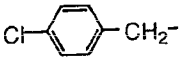
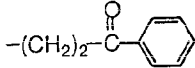
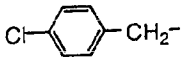
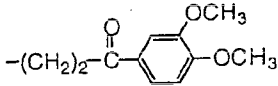
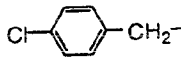
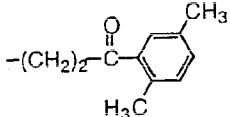
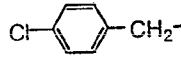
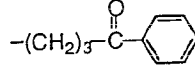
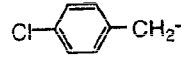
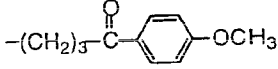
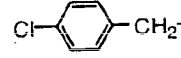
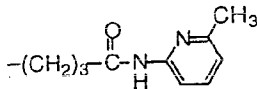
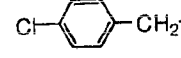
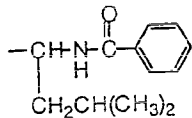
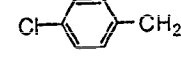
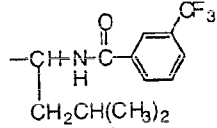
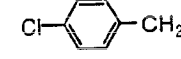
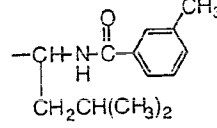
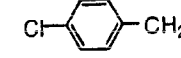
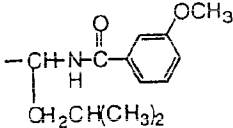
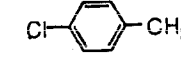
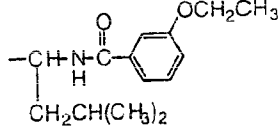
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
991		1	4	0	-	H	
992		1	4	0	-	H	
993		1	4	0	-	H	
994		1	4	0	-	H	
995		1	4	0	-	H	
996		1	4	0	-	H	
997		2	2	1	-	H	
998		2	2	1	-	H	
999		2	2	1	-	H	
1000		2	2	1	-	H	
1001		2	2	1	-	H	

Table 1.92

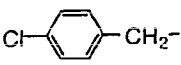
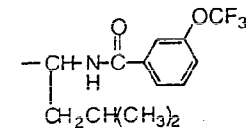
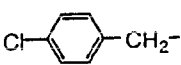
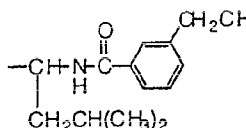
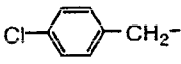
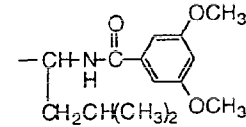
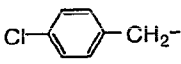
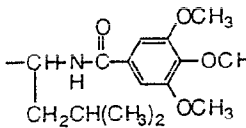
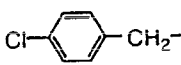
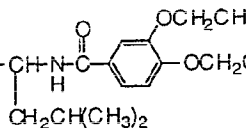
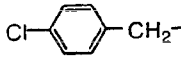
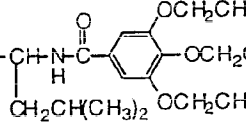
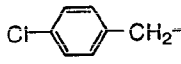
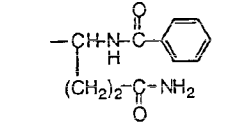
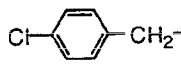
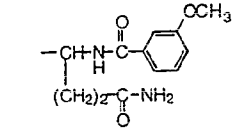
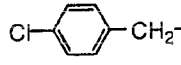
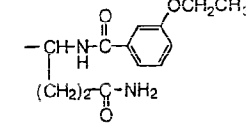
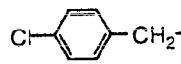
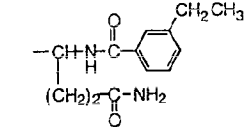
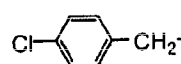
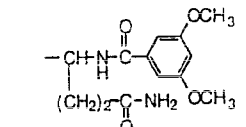
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1002		2	2	1	-	H	
1003		2	2	1	-	H	
1004		2	2	1	-	H	
1005		2	2	1	-	H	
1006		2	2	1	-	H	
1007		2	2	1	-	H	
1008		2	2	1	-	H	
1009		2	2	1	-	H	
1010		2	2	1	-	H	
1011		2	2	1	-	H	
1012		2	2	1	-	H	

Table 1.93

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\begin{array}{c} R^4 \\ \\ -C- \\ \\ R^5 \end{array}-(CH_2)_q-G-R^6$
1013		2	2	1	-	H	
1014		2	2	1	-	H	
1015		2	2	1	-	H	
1016		2	2	0	-	H	
1017		2	2	0	-	H	
1018		2	2	1	-	H	
1019		2	2	1	-	H	
1020		2	2	1	-	H	
1021		2	2	1	-	H	
1022		2	2	1	-	H	
1023		2	2	1	-	H	

Table 1.94

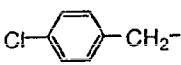
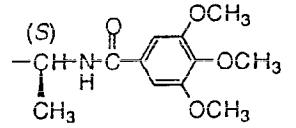
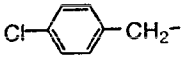
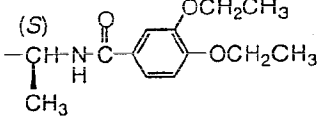
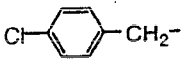
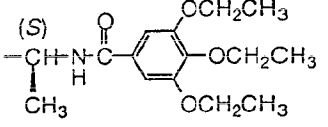
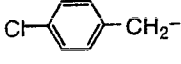
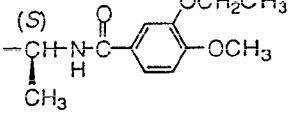
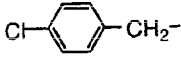
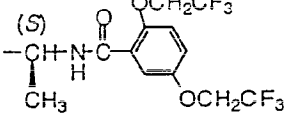
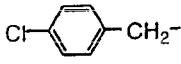
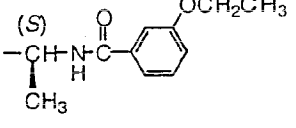
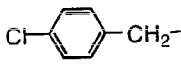
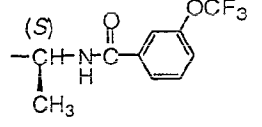
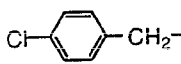
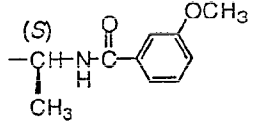
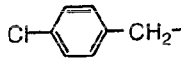
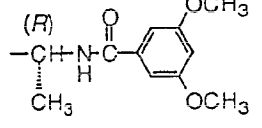
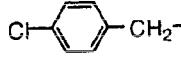
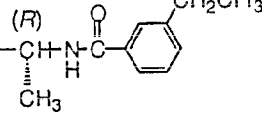
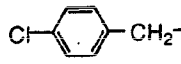
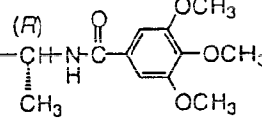
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1024		2	2	1	-	H	
1025		2	2	1	-	H	
1026		2	2	1	-	H	
1027		2	2	1	-	H	
1028		2	2	1	-	H	
1029		2	2	1	-	H	
1030		2	2	1	-	H	
1031		2	2	1	-	H	
1032		2	2	1	-	H	
1033		2	2	1	-	H	
1034		2	2	1	-	H	

Table 1.95

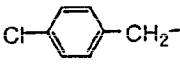
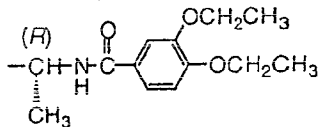
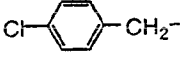
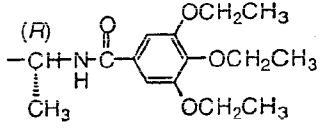
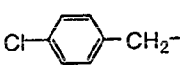
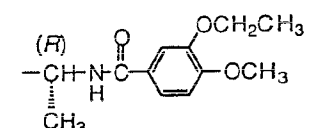
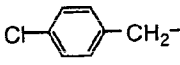
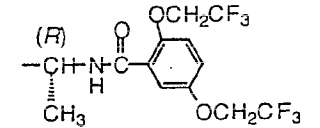
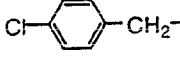
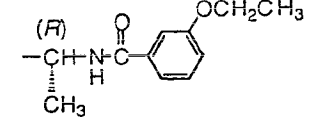
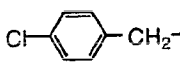
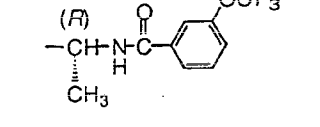
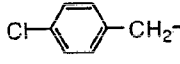
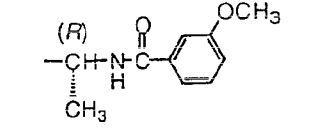
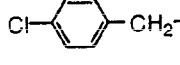
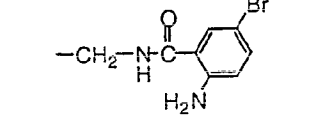
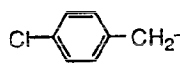
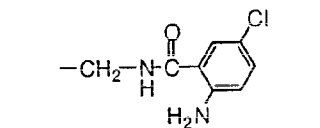
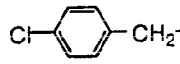
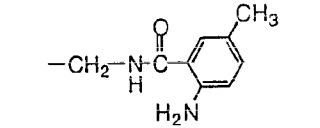
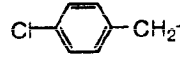
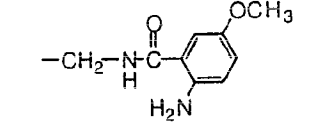
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ C \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1035		2	2	1	-	H	
1036		2	2	1	-	H	
1037		2	2	1	-	H	
1038		2	2	1	-	H	
1039		2	2	1	-	H	
1040		2	2	1	-	H	
1041		2	2	1	-	H	
1042		2	2	1	-	H	
1043		2	2	1	-	H	
1044		2	2	1	-	H	
1045		2	2	1	-	H	

Table 1.96

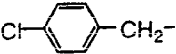
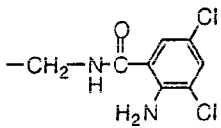
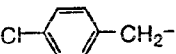
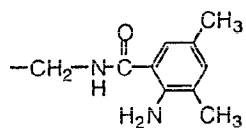
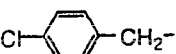
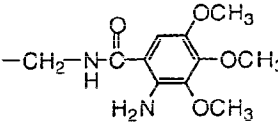
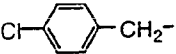
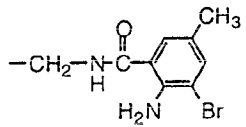
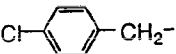
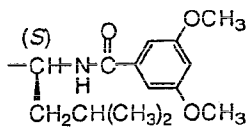
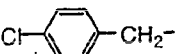
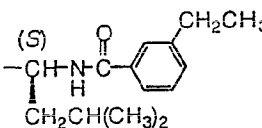
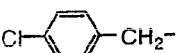
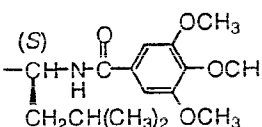
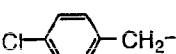
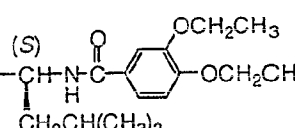
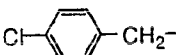
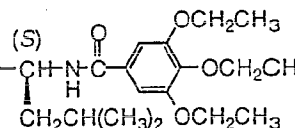
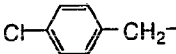
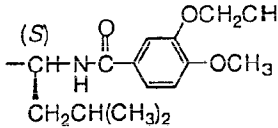
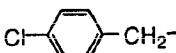
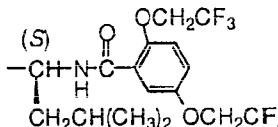
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1046		2	2	1	-	H	
1047		2	2	1	-	H	
1048		2	2	1	-	H	
1049		2	2	1	-	H	
1050		2	2	1	-	H	
1051		2	2	1	-	H	
1052		2	2	1	-	H	
1053		2	2	1	-	H	
1054		2	2	1	-	H	
1055		2	2	1	-	H	
1056		2	2	1	-	H	

Table 1.97

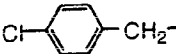
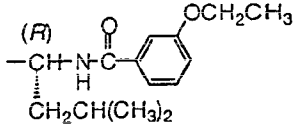
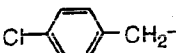
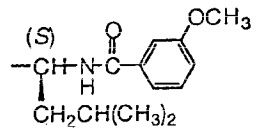
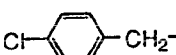
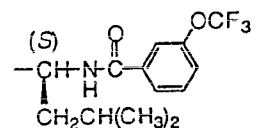
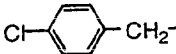
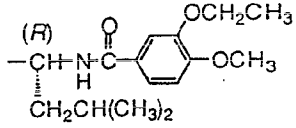
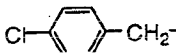
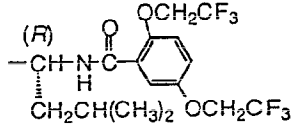
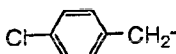
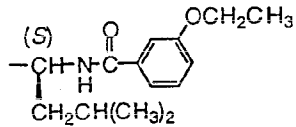
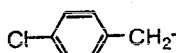
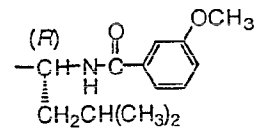
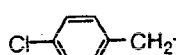
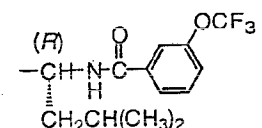
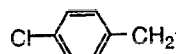
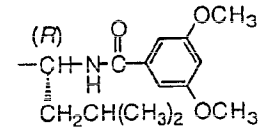
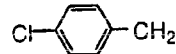
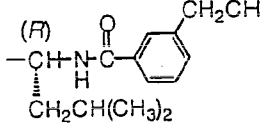

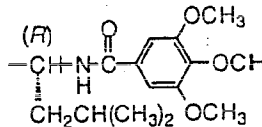
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1057		2	2	1	-	H	
1058		2	2	1	-	H	
1059		2	2	1	-	H	
1060		2	2	1	-	H	
1061		2	2	1	-	H	
1062		2	2	1	-	H	
1063		2	2	1	-	H	
1064		2	2	1	-	H	
1065		2	2	1	-	H	
1066		2	2	1	-	H	
1067		2	2	1	-	H	

Table 1.98

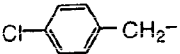
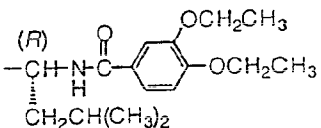
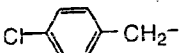
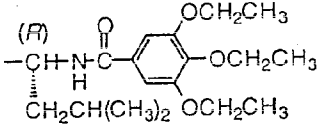
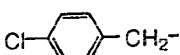
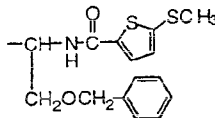
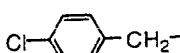
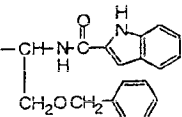
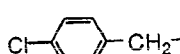
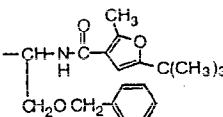
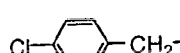
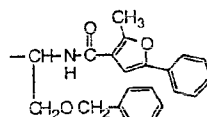
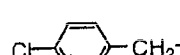
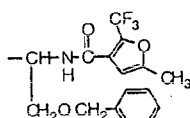
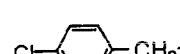
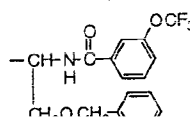

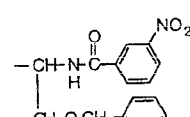

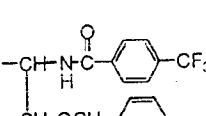

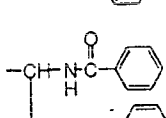
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1068		2	2	1	-	H	
1069		2	2	1	-	H	
1070		2	2	1	-	H	
1071		2	2	1	-	H	
1072		2	2	1	-	H	
1073		2	2	1	-	H	
1074		2	2	1	-	H	
1075		2	2	1	-	H	
1076		2	2	1	-	H	
1077		2	2	1	-	H	
1078		2	2	1	-	H	

Table 1.99

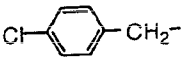
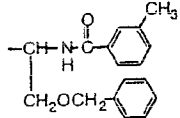
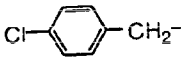
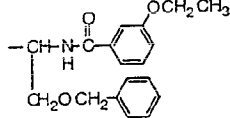
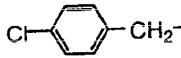
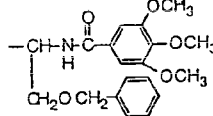
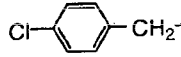
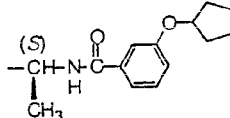
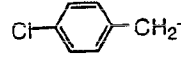
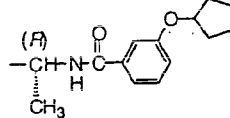
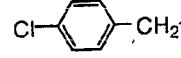
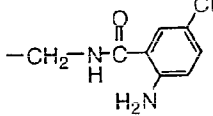
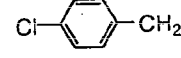
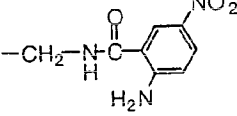

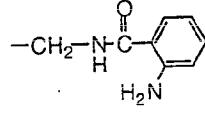
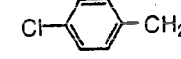
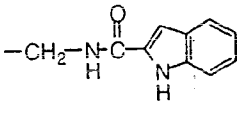
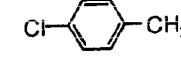
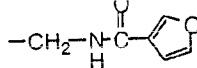
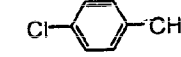
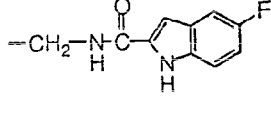
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1079		2	2	1	-	H	
1080		2	2	1	-	H	
1081		2	2	1	-	H	
1082		2	2	1	-	H	
1083		2	2	1	-	H	
1084		1	2	0	R	H	
1085		1	2	0	R	H	
1086		1	2	0	R	H	
1087		1	2	0	R	H	
1088		1	2	0	R	H	
1089		1	2	0	R	H	

Table 1.100

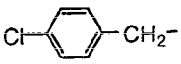
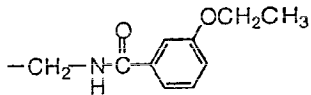
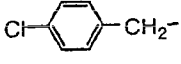
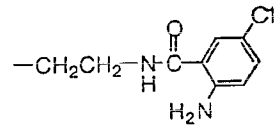
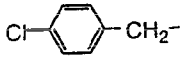
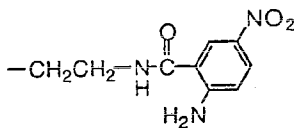
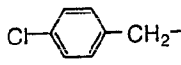
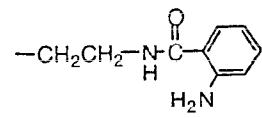
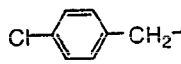
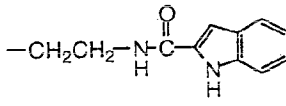
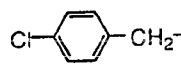
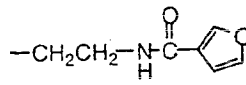
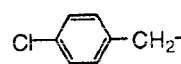
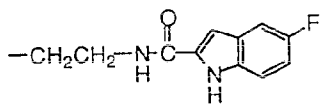
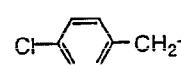
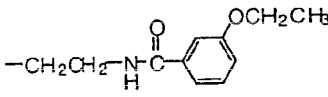
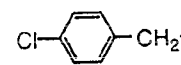
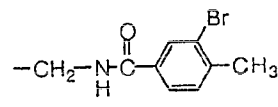
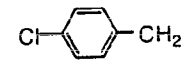
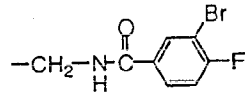
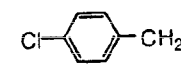
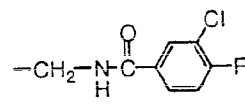
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1090		1	2	0	R	H	
1091		1	2	0	R	H	
1092		1	2	0	R	H	
1093		1	2	0	R	H	
1094		1	2	0	R	H	
1095		1	2	0	R	H	
1096		1	2	0	R	H	
1097		1	2	0	R	H	
1098		1	2	0	R	H	
1099		1	2	0	R	H	
1100		1	2	0	R	H	

Table 1.101


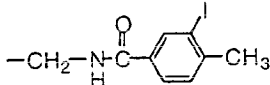
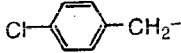
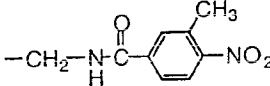

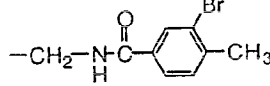

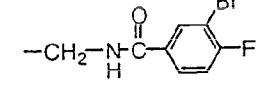
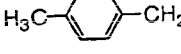
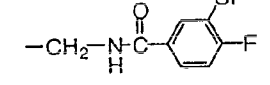
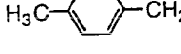
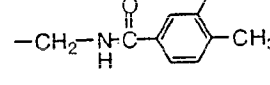
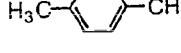
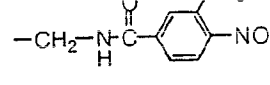
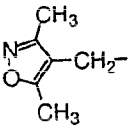
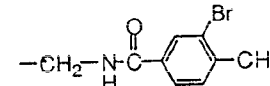
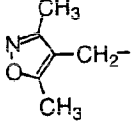
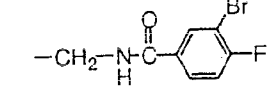
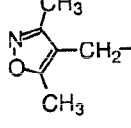
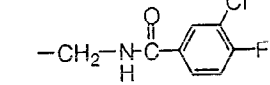
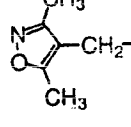
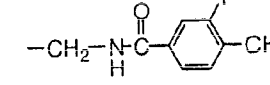
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1101		1	2	0	R	H	
1102		1	2	0	R	H	
1103		1	2	0	R	H	
1104		1	2	0	R	H	
1105		1	2	0	R	H	
1106		1	2	0	R	H	
1107		1	2	0	R	H	
1108		1	2	0	R	H	
1109		1	2	0	R	H	
1110		1	2	0	R	H	
1111		1	2	0	R	H	

Table 1.102

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1112		1	2	0	R	H	
1113		2	2	1	-	H	
1114		2	2	1	-	H	
1115		2	2	1	-	H	
1116		2	2	1	-	H	
1117		2	2	1	-	H	
1118		1	2	0	R	H	
1119		1	2	0	R	H	
1120		1	2	0	R	H	
1121		1	2	0	R	H	
1122		1	2	0	R	H	

Table 1.103

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q G-R^6$
1123		1	2	0	R	H	
1124		1	2	0	R	H	
1125		2	2	1	-	H	
1126		2	2	1	-	H	
1127		2	2	1	-	H	
1128		2	2	1	-	H	
1129		2	2	1	-	H	
1130		2	2	1	-	H	
1131		2	2	1	-	H	
1132		2	2	1	-	H	
1133		1	2	0	R	H	

Table 1.104

Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1134		1	2	0	R	H	
1135		1	2	0	R	H	
1136		1	2	0	R	H	
1137		1	2	0	R	H	
1138		1	2	0	R	H	
1139		1	2	0	R	H	
1140		1	2	0	R	H	
1141		1	2	0	R	H	
1142		1	2	0	R	H	
1143		1	2	0	R	H	
1144		1	2	0	R	H	

Table 1.105

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1145		1	2	0	R	H	
1146		1	2	0	R	H	
1147		1	2	0	R	H	
1148		1	2	0	R	H	
1149		1	2	0	R	H	
1150		1	2	0	R	H	
1151		1	2	0	R	H	
1152		1	2	0	R	H	
1153		1	2	0	R	H	
1154		1	2	0	R	H	
1155		1	2	0	R	H	

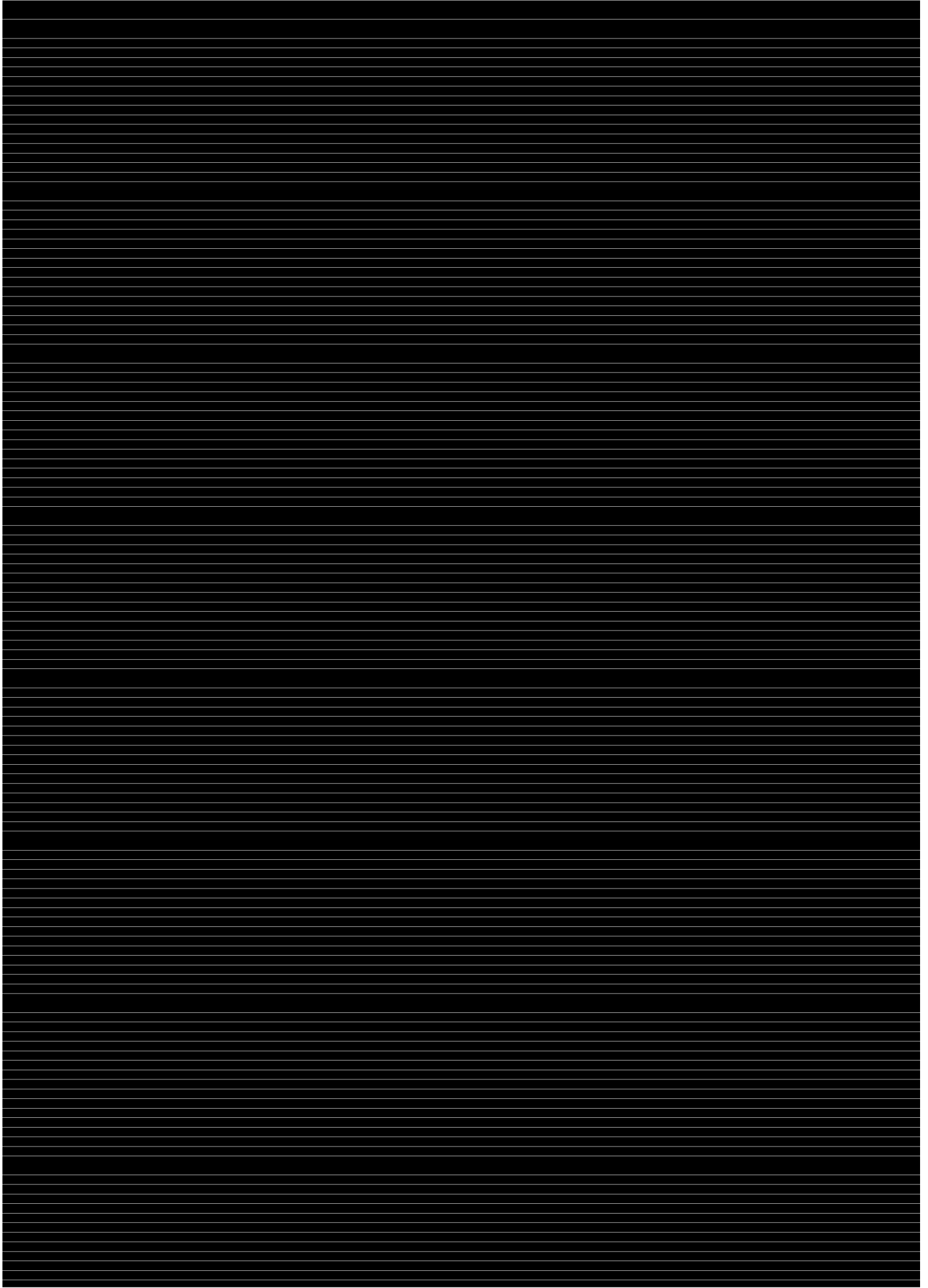


Table 1.107

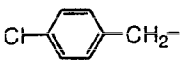
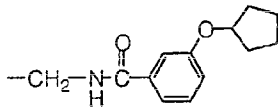
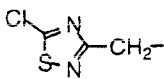
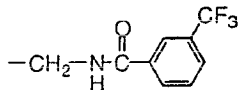
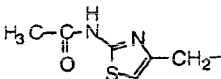
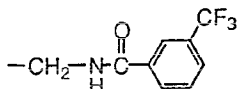
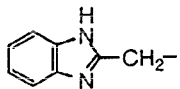
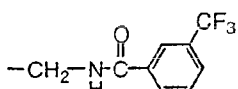
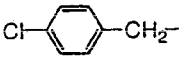
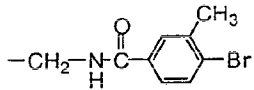
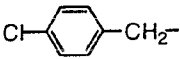
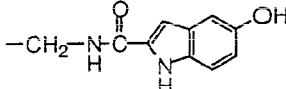
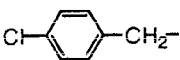
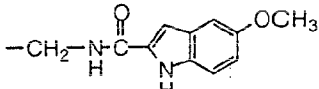
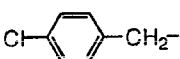
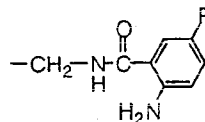
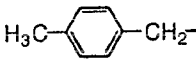
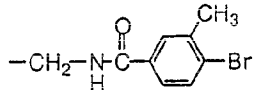
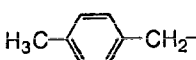
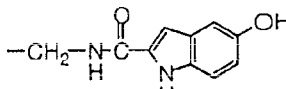
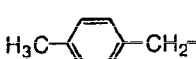
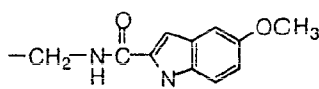
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1167		2	2	1	-	H	
1168		1	2	0	R	H	
1169		1	2	0	R	H	
1170		1	2	0	R	H	
1171		1	2	0	R	H	
1172		1	2	0	R	H	
1173		1	2	0	R	H	
1174		1	2	0	R	H	
1175		1	2	0	R	H	
1176		1	2	0	R	H	
1177		1	2	0	R	H	

Table 1.108

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1178		1	2	0	R	H	
1179		1	2	0	R	H	
1180		1	2	0	R	H	
1181		1	2	0	R	H	
1182		1	2	0	R	H	
1183		1	2	0	R	H	
1184		1	2	0	R	H	
1185		1	2	0	R	H	
1186		1	2	0	R	H	
1187		2	2	1	-	H	
1188		2	2	1	-	H	

Table 1.109

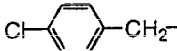
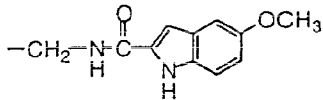
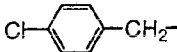
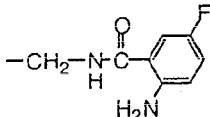
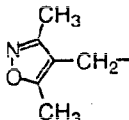
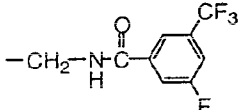
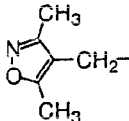
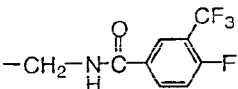
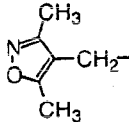
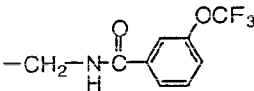
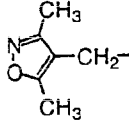
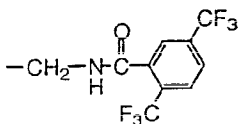
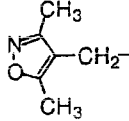
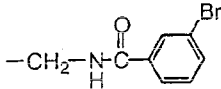
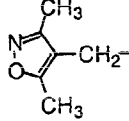
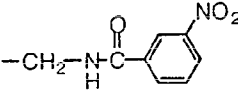
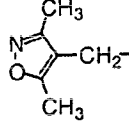
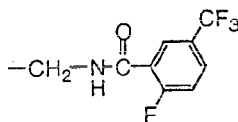
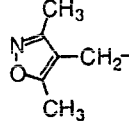
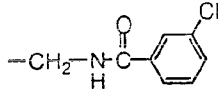
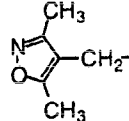
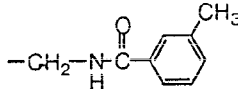
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1189		2	2	1	-	H	
1190		2	2	1	-	H	
1191		1	2	0	R	H	
1192		1	2	0	R	H	
1193		1	2	0	R	H	
1194		1	2	0	R	H	
1195		1	2	0	R	H	
1196		1	2	0	R	H	
1197		1	2	0	R	H	
1198		1	2	0	R	H	
1199		1	2	0	R	H	

Table 1.110

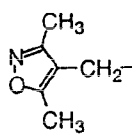
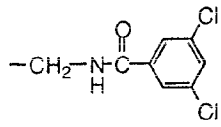
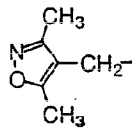
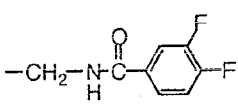
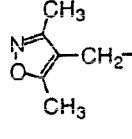
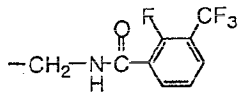
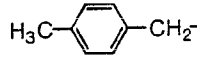
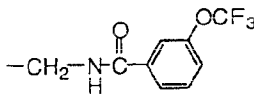
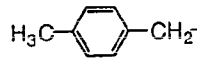
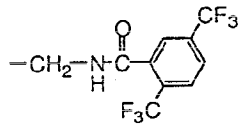
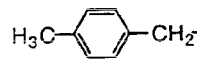
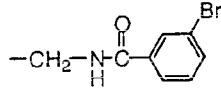
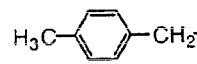
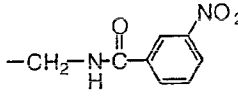
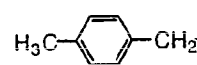
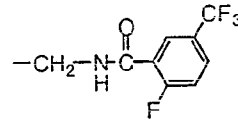
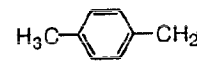
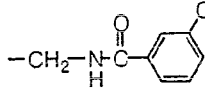
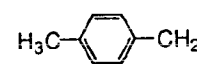
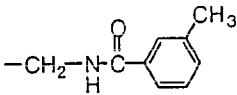
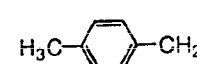
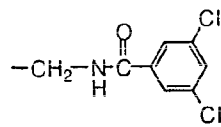
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1200		1	2	0	R	H	
1201		1	2	0	R	H	
1202		1	2	0	R	H	
1203		1	2	0	R	H	
1204		1	2	0	R	H	
1205		1	2	0	R	H	
1206		1	2	0	R	H	
1207		1	2	0	R	H	
1208		1	2	0	R	H	
1209		1	2	0	R	H	
1210		1	2	0	R	H	

Table 1.111

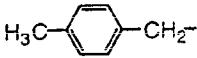
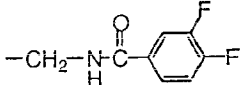
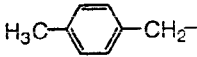
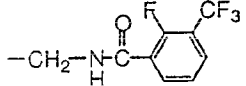
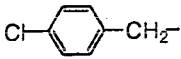
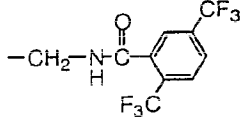
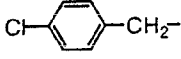
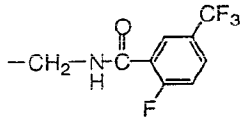
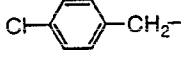
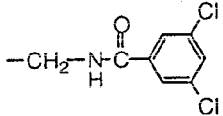
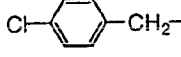
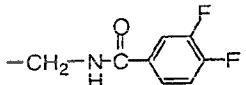
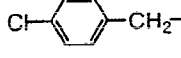
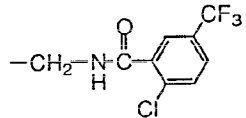
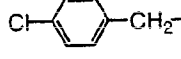
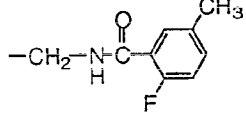
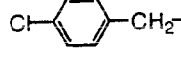
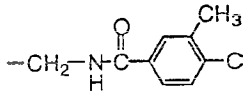
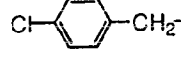
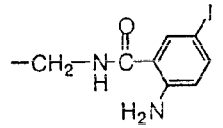
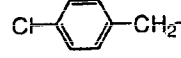
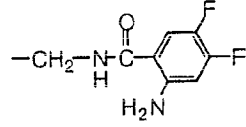
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1211		1	2	0	R	H	
1212		1	2	0	R	H	
1213		2	2	1	-	H	
1214		2	2	1	-	H	
1215		2	2	1	-	H	
1216		2	2	1	-	H	
1217		1	2	0	R	H	
1218		1	2	0	R	H	
1219		1	2	0	R	H	
1220		1	2	0	R	H	
1221		1	2	0	R	H	

Table 1.112

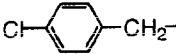
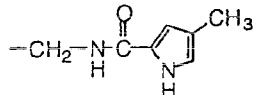
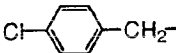
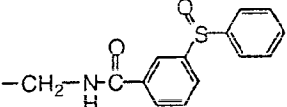
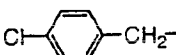
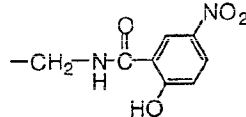

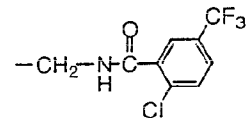

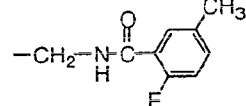

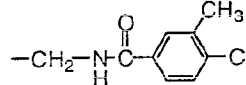

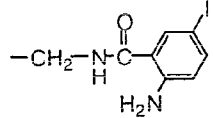

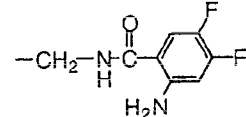

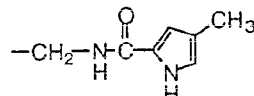

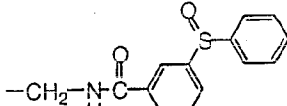

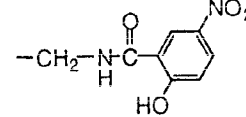
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ C \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1222		1	2	0	R	H	
1223		1	2	0	R	H	
1224		1	2	0	R	H	
1225		1	2	0	R	H	
1226		1	2	0	R	H	
1227		1	2	0	R	H	
1228		1	2	0	R	H	
1229		1	2	0	R	H	
1230		1	2	0	R	H	
1231		1	2	0	R	H	
1232		1	2	0	R	H	

Table 1.113

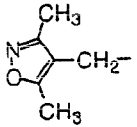
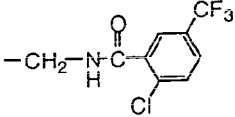
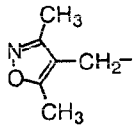
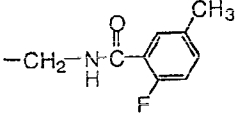
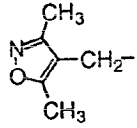
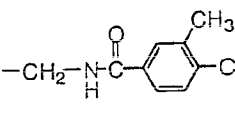
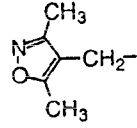
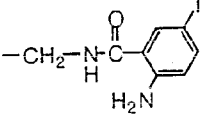
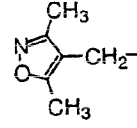
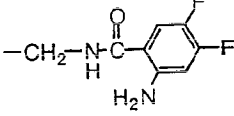
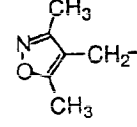
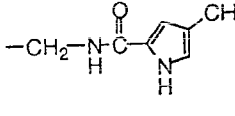
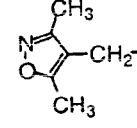
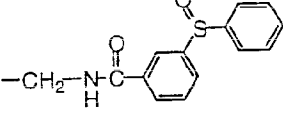
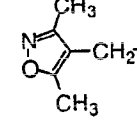
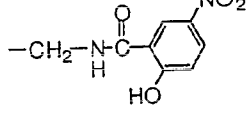
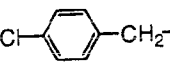
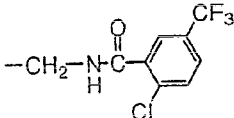
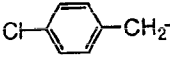
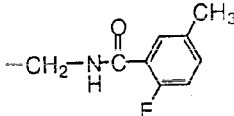
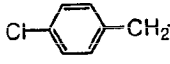
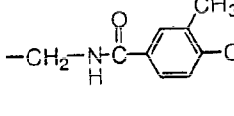
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1233		1	2	0	R	H	
1234		1	2	0	R	H	
1235		1	2	0	R	H	
1236		1	2	0	R	H	
1237		1	2	0	R	H	
1238		1	2	0	R	H	
1239		1	2	0	R	H	
1240		1	2	0	R	H	
1241		2	2	1	-	H	
1242		2	2	1	-	H	
1243		2	2	1	-	H	

Table 1.114

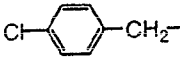
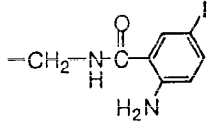
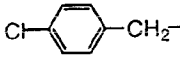
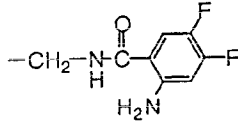
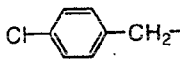
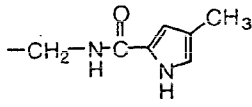
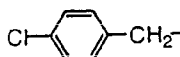
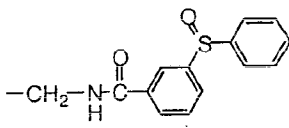
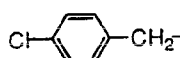
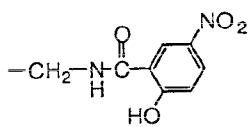
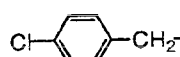
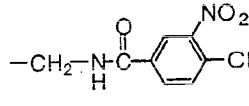
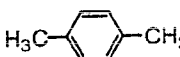
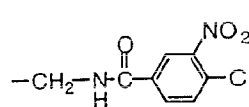
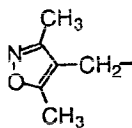
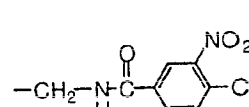

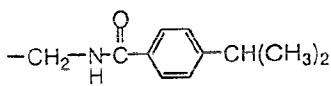
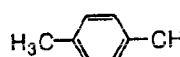
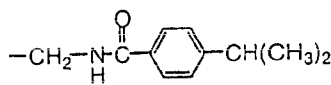
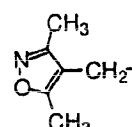
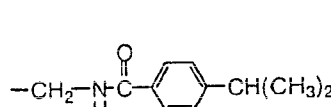
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1244		2	2	1	-	H	
1245		2	2	1	-	H	
1246		2	2	1	-	H	
1247		2	2	1	-	H	
1248		2	2	1	-	H	
1249		1	2	0	R	H	
1250		1	2	0	R	H	
1251		1	2	0	R	H	
1252		1	2	0	R	H	
1253		1	2	0	R	H	
1254		1	2	0	R	H	

Table 1.115

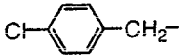
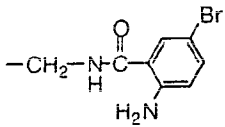
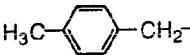
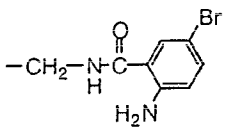
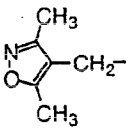
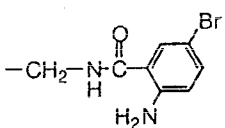
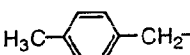
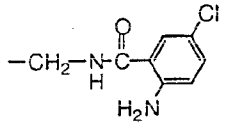
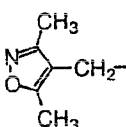
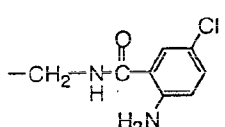

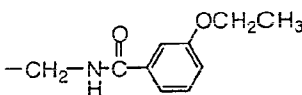
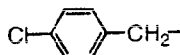
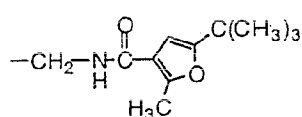

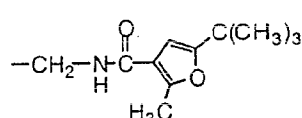
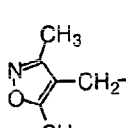
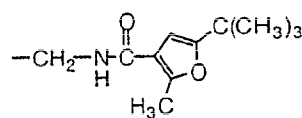
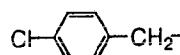
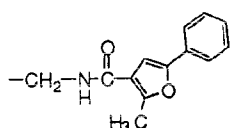

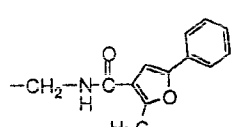
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1255		1	2	0	R	H	
1256		1	2	0	R	H	
1257		1	2	0	R	H	
1258		1	2	0	R	H	
1259		1	2	0	R	H	
1260		1	2	0	R	H	
1261		1	2	0	R	H	
1262		1	2	0	R	H	
1263		1	2	0	R	H	
1264		1	2	0	R	H	
1265		1	2	0	R	H	

Table 1.116

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1266		1	2	0	R	H	
1267		1	2	0	R	H	
1268		1	2	0	R	H	
1269		1	2	0	R	H	
1270		1	2	0	R	H	
1271		1	2	0	R	H	
1272		1	2	0	R	H	
1273		1	2	0	R	H	
1274		1	2	0	R	H	
1275		1	2	0	R	H	
1276		1	2	0	R	H	

Table 1.117

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1277		1	2	0	R	H	
1278		1	2	0	R	H	
1279		1	2	0	R	H	
1280		1	2	0	R	H	
1281		1	2	0	R	H	
1282		2	2	1	-	H	
1283		2	2	1	-	H	
1284		2	2	1	-	H	
1285		2	2	1	-	H	
1286		1	2	0	R	H	
1287		1	2	0	R	H	

Table 1.118

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1288		1	2	0	R	H	
1289		1	2	0	R	H	
1290		1	2	0	R	H	
1291		1	2	0	R	H	
1292		1	2	0	R	H	
1293		1	2	0	R	H	
1294		1	2	0	R	H	
1295		1	2	0	R	H	
1296		1	2	0	R	H	
1297		1	2	0	R	H	
1298		1	2	0	R	H	

Table 1.119

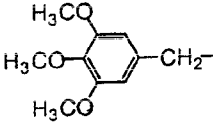
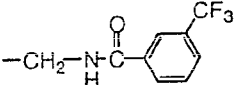
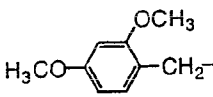
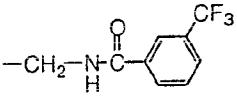
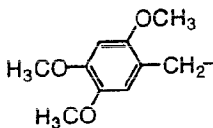
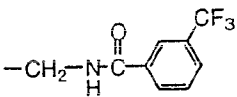
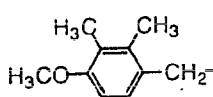
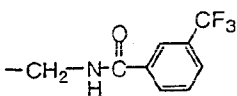
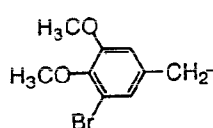
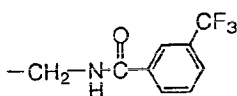
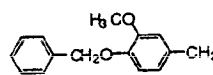
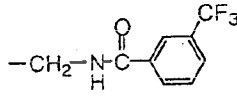
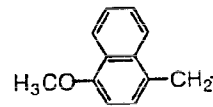
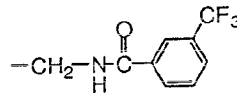
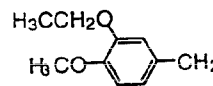
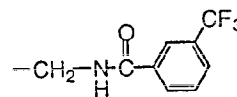
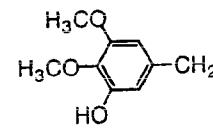
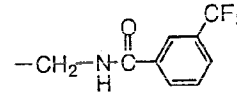
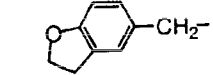
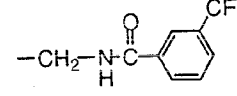
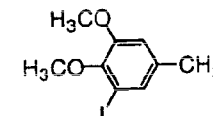
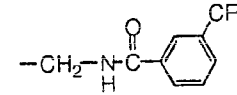
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R ³	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1299		1	2	0	R	H	
1300		1	2	0	R	H	
1301		1	2	0	R	H	
1302		1	2	0	R	H	
1303		1	2	0	R	H	
1304		1	2	0	R	H	
1305		1	2	0	R	H	
1306		1	2	0	R	H	
1307		1	2	0	R	H	
1308		1	2	0	R	H	
1309		1	2	0	R	H	

Table 1.120

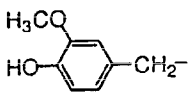
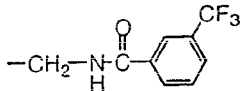
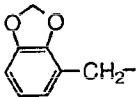
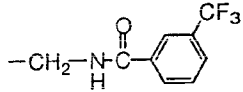
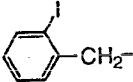
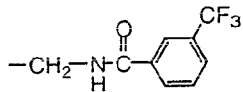
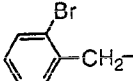
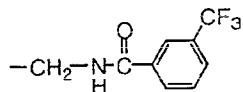
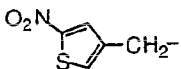
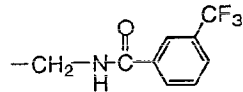
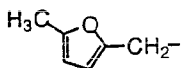
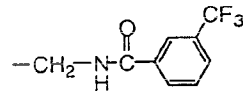
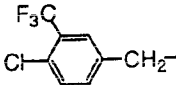
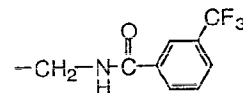
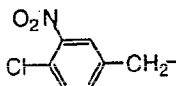
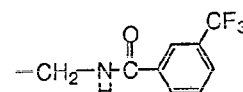
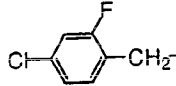
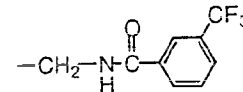
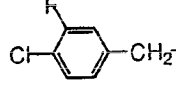
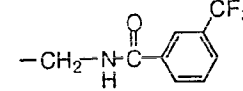
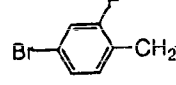
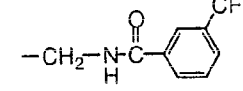
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1310		1	2	0	R	H	
1311		1	2	0	R	H	
1312		1	2	0	R	H	
1313		1	2	0	R	H	
1314		1	2	0	R	H	
1315		1	2	0	R	H	
1316		1	2	0	R	H	
1317		1	2	0	R	H	
1318		1	2	0	R	H	
1319		1	2	0	R	H	
1320		1	2	0	R	H	

Table 1.121

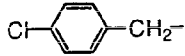
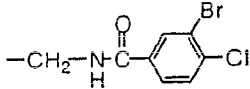
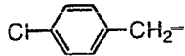
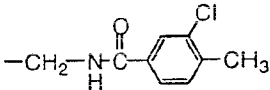
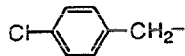
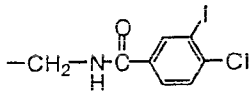
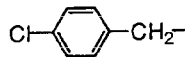
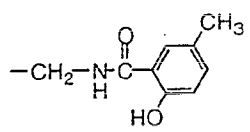
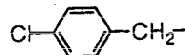
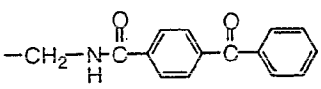
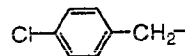
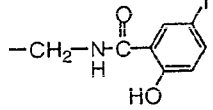
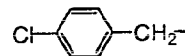
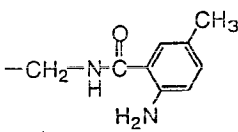

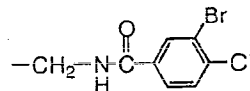
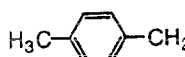
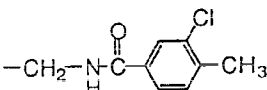
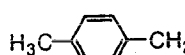
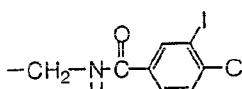
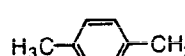
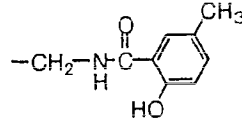
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1321		1	2	0	R	H	
1322		1	2	0	R	H	
1323		1	2	0	R	H	
1324		1	2	0	R	H	
1325		1	2	0	R	H	
1326		1	2	0	R	H	
1327		1	2	0	R	H	
1328		1	2	0	R	H	
1329		1	2	0	R	H	
1330		1	2	0	R	H	
1331		1	2	0	R	H	

Table 1.122

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1332		1	2	0	R	H	
1333		1	2	0	R	H	
1334		1	2	0	R	H	
1335		1	2	0	R	H	
1336		1	2	0	R	H	
1337		1	2	0	R	H	
1338		1	2	0	R	H	
1339		1	2	0	R	H	
1340		1	2	0	R	H	
1341		1	2	0	R	H	
1342		2	2	1	-	H	

Table 1.123

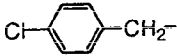
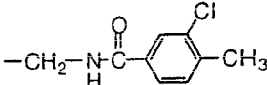
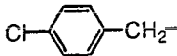
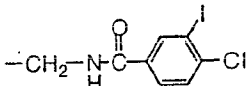
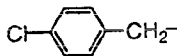
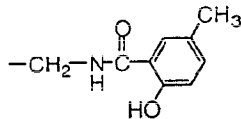
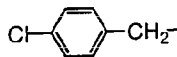
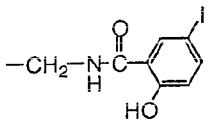
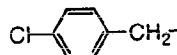
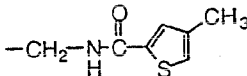
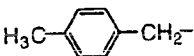
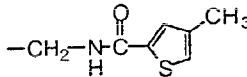
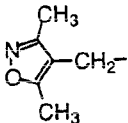
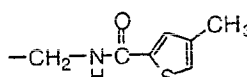

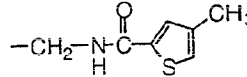
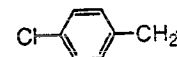
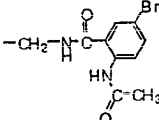

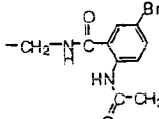
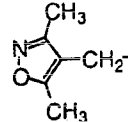
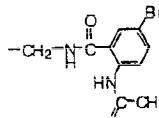
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ -C- \\ \\ R^5 \end{array} (CH_2)_q -G-R^6$
1343		2	2	1	-	H	
1344		2	2	1	-	H	
1345		2	2	1	-	H	
1346		2	2	1	-	H	
1347		1	2	0	R	H	
1348		1	2	0	R	H	
1349		1	2	0	R	H	
1350		2	2	1	-	H	
1351		1	2	0	R	H	
1352		1	2	0	R	H	
1353		1	2	0	R	H	

Table 1.124

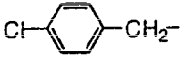
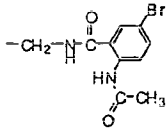
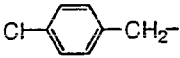
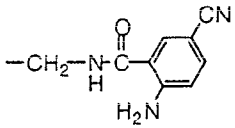
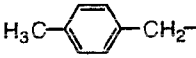
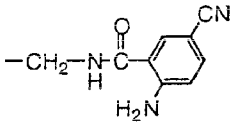
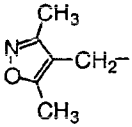
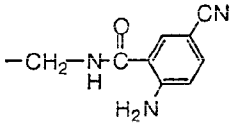
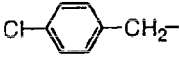
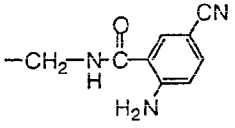
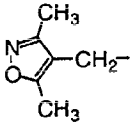
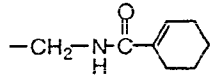
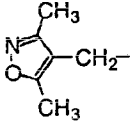
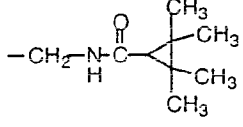
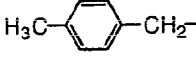
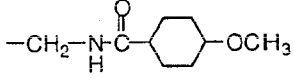
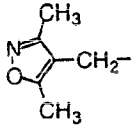
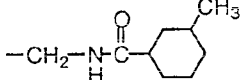
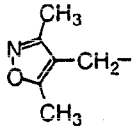
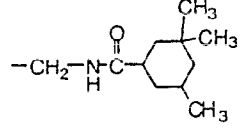

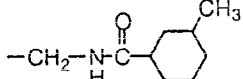
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1354		2	2	1	-	H	
1355		1	2	0	R	H	
1356		1	2	0	R	H	
1357		1	2	0	R	H	
1358		2	2	1	-	H	
1359		1	2	0	R	H	
1360		1	2	0	R	H	
1361		1	2	0	R	H	
1362		1	2	0	R	H	
1363		1	2	0	R	H	
1364		1	2	0	R	H	

Table 1.125

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1365		1	2	0	R	H	
1366		1	2	0	R	H	
1367		1	2	0	R	H	
1368		1	2	0	R	H	
1369		1	2	0	R	H	
1370		1	2	0	R	H	
1371		1	2	0	R	H	
1372		1	2	0	R	H	
1373		1	2	0	R	H	
1374		1	2	0	R	H	
1375		1	2	0	R	H	

Table 1.126

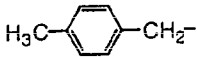
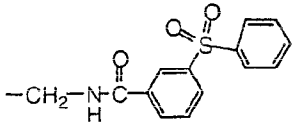
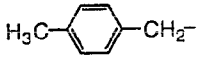
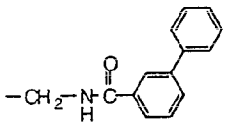
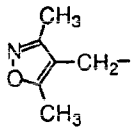
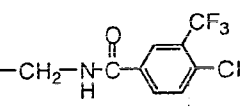
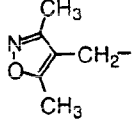
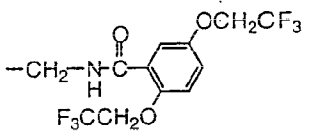
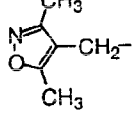
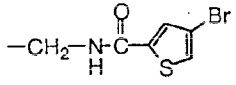
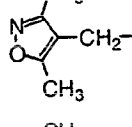
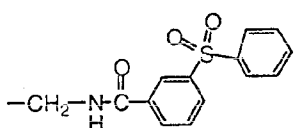
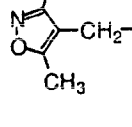
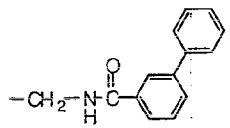
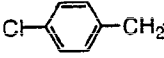
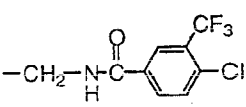
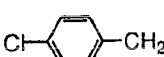
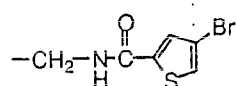
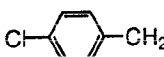
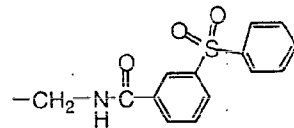
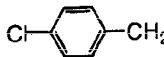
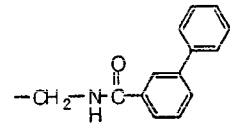
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p-\begin{matrix} R^4 \\ \\ R^5 \end{matrix}-(CH_2)_q-G-R^6$
1376		1	2	0	R	H	
1377		1	2	0	R	H	
1378		1	2	0	R	H	
1379		1	2	0	R	H	
1380		1	2	0	R	H	
1381		1	2	0	R	H	
1382		1	2	0	R	H	
1383		2	2	1	-	H	
1384		2	2	1	-	H	
1385		2	2	1	-	H	
1386		2	2	1	-	H	

Table 1.127

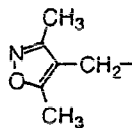
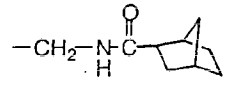
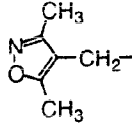
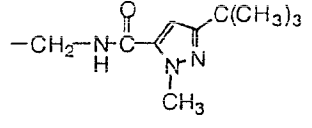
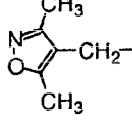
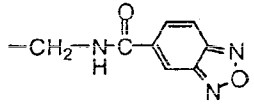
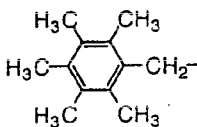
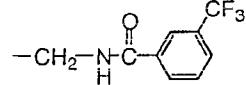
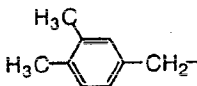
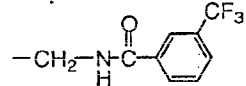
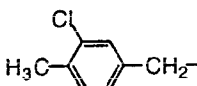
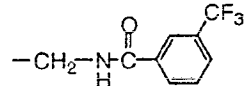
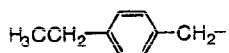
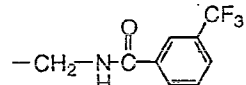
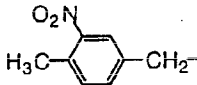
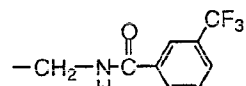
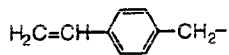
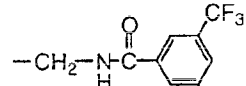
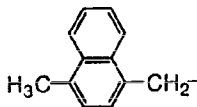
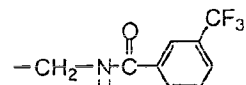
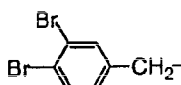
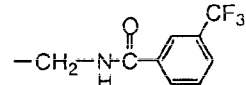
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1387		1	2	0	R	H	
1388		1	2	0	R	H	
1389		1	2	0	R	H	
1390		1	2	0	R	H	
1391		1	2	0	R	H	
1392		1	2	0	R	H	
1393		1	2	0	R	H	
1394		1	2	0	R	H	
1395		1	2	0	R	H	
1396		1	2	0	R	H	
1397		1	2	0	R	H	

Table 1.128

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1398		1	2	0	R	H	
1399		1	2	0	R	H	
1400		1	2	0	R	H	
1401		1	2	0	R	H	
1402		1	2	0	R	H	
1403		1	2	0	R	H	
1404		1	2	0	R	H	
1405		1	2	0	R	H	
1406		1	2	0	R	H	
1407		1	2	0	R	H	
1408		1	2	0	R	H	

Table 1.129

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1409		1	2	0	R	H	
1410		1	2	0	R	H	
1411		1	2	0	R	H	
1412		1	2	0	R	H	
1413		1	2	0	R	H	
1414		2	2	1	-	H	
1415		1	2	0	R	H	
1416		1	2	0	R	H	
1417		1	2	0	R	H	
1418		2	2	1	-	H	
1419		1	2	0	R	H	

Table 1.130


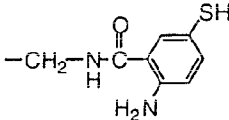
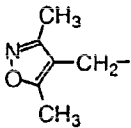
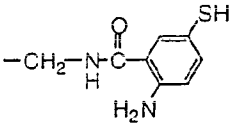
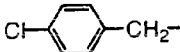
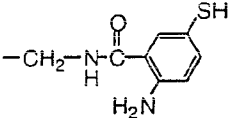
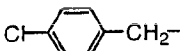
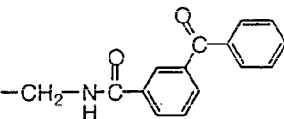

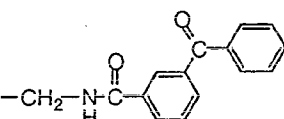
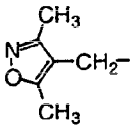
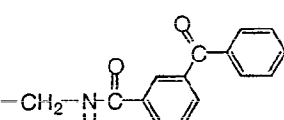
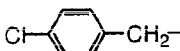
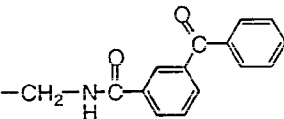
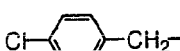
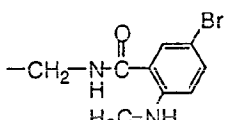
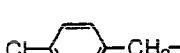
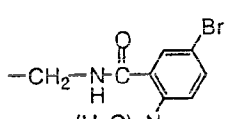
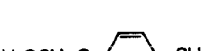
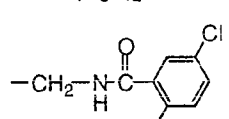
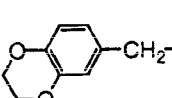
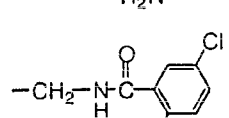
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1420		1	2	0	R	H	
1421		1	2	0	R	H	
1422		2	2	1	-	H	
1423		1	2	0	R	H	
1424		1	2	0	R	H	
1425		1	2	0	R	H	
1426		2	2	1	-	H	
1427		2	2	1	-	H	
1428		2	2	1	-	H	
1429		2	2	1	-	H	
1430		2	2	1	-	H	

Table 1.131

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_l -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1431	$H_3CCH_2O-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Br)(NH_2)-$
1432	$\text{benzodioxolene}-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Br)(NH_2)-$
1433	$H_3CCH_2O-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Cl)(NH-CH_2-C_6H_4OCH_2CH_3)-$
1434	$H_3CCH_2O-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Br)(NH-CH_2-C_6H_4OCH_2CH_3)-$
1435	$H_3CCH_2-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Cl)(NH_2)-$
1436	$(H_3C)_2CH-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Cl)(NH_2)-$
1437	$H_3C(CH_2)_2O-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Cl)(NH_2)-$
1438	$H_3CCH_2-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Br)(NH_2)-$
1439	$(H_3C)_2CH-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Br)(NH_2)-$
1440	$H_3C(CH_2)_2O-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Br)(NH_2)-$
1441	$H_3CS-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(Br)(NH_2)-$

Table 1.132

Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1442	$H_3CCH_2-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_3(Cl)-NH-CH_2-\text{C}_6H_4-CH_2CH_3$
1443	$(H_3C)_2CH-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_3(Cl)-NH-CH_2-\text{C}_6H_4-CH(CH_3)_2$
1444	$H_3C(CH_2)_2O-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_3(Cl)-NH-CH_2-\text{C}_6H_4-O(CH_2)_2CH_3$
1445	$H_3CCH_2-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_3(Br)-NH-CH_2-\text{C}_6H_4-CH_2CH_3$
1446	$(H_3C)_2CH-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_3(Br)-NH-CH_2-\text{C}_6H_4-CH(CH_3)_2$
1447	$H_3C(CH_2)_2O-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_3(Br)-NH-CH_2-\text{C}_6H_4-O(CH_2)_2CH_3$
1448	$H_3CS-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_3(Br)-NH-CH_2-\text{C}_6H_4-SCH_3$
1449	$H_3CCH_2-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_4-CF_3$
1450	$(H_3C)_2CH-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_4-CF_3$
1451	$(H_3CCH_2)_2N-\text{C}_6H_4-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_4-CF_3$
1452	$H_3CO-\text{C}_6H_3(OH)-CH_2-$	2	2	1	-	H	$-(CH_2)-NH-C(=O)-\text{C}_6H_4-CF_3$

Table 1.133

Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1453	$H_3C(CH_2)_2O-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_4-CF_3$
1454	$H_3CCH_2O-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_4-CF_3$
1455	$H_3CO-C_6H_3(OH)-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_4-CF_3$
1456	$C_{10}H_7O_2-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_4-CF_3$
1457	$(CH_3)_2N-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(Cl)-CF_3$
1458	$H_3CO-C_6H_3(OH)-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(Cl)-CF_3$
1459	$(H_3C)_2N-C_6H_4-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(Br)-CF_3$
1460	$H_3CO-C_6H_3(OH)-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(Br)-CF_3$
1461	$H_3CO-C_6H_3(OH)-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH-CH_2-C_6H_3(OH)(OCH_3))-CF_3$
1462	$H_3CO-C_6H_3(OH)-CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH-CH_2-C_6H_3(OH)(OCH_3))(Br)-CF_3$
1463	$Cl-C_6H_4-CH_2-$	2	1	1	-	H	$-CH_2-NH-C(=O)-C_6H_4-CF_3$

Table 1.134

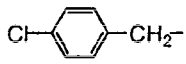
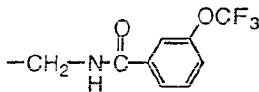
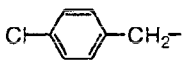
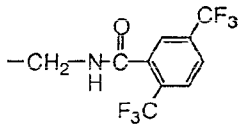
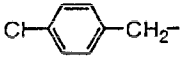
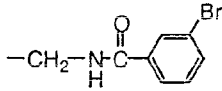
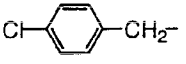
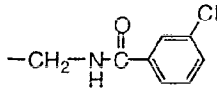
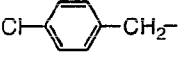
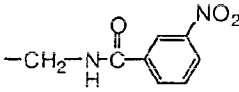
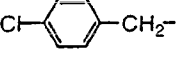
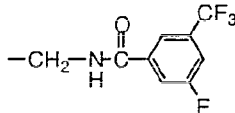
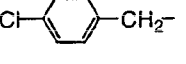
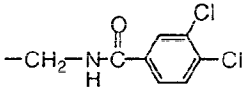
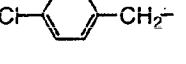
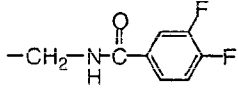
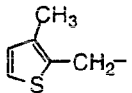
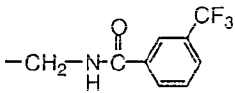
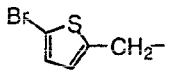
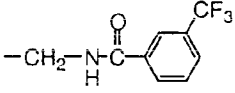
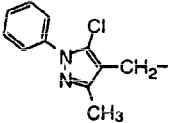
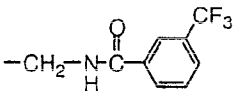
Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (\text{CH}_2)_j \text{---}$	k	m	n	chirality	R^3	$\text{---} (\text{CH}_2)_p \text{---} \begin{matrix} R^4 \\ R^5 \end{matrix} \text{---} (\text{CH}_2)_q \text{---} \text{G---} R^6$
1464		2	1	1	-	H	
1465		2	1	1	-	H	
1466		2	1	1	-	H	
1467		2	1	1	-	H	
1468		2	1	1	-	H	
1469		2	1	1	-	H	
1470		2	1	1	-	H	
1471		2	1	1	-	H	
1472		1	2	0	R	H	
1473		1	2	0	R	H	
1474		1	2	0	R	H	

Table 1.135

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ (CH_2)_q \\ \\ R^5 \end{array} G-R^6$
1475		1	2	0	R	H	
1476		1	2	0	R	H	
1477		1	2	0	R	H	
1478		1	2	0	R	H	
1479		1	2	0	R	H	
1480		1	2	0	R	H	
1481		1	2	0	R	H	
1482		1	2	0	R	H	
1483		1	2	0	R	H	
1484		1	2	0	R	H	
1485		1	2	0	R	H	

Table 1.136

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1486		1	2	0	R	H	
1487		1	2	0	R	H	
1488		1	2	0	R	H	
1489		1	2	0	R	H	
1490		1	2	0	R	H	
1491		1	2	0	R	H	
1492		1	2	0	R	H	
1493		1	2	0	R	H	
1494		1	2	0	R	H	
1495		1	2	0	R	H	
1496		1	2	0	R	H	

Table 1.137

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1497		1	2	0	R	H	
1498		1	2	0	R	H	
1499		1	2	0	R	H	
1500		1	2	0	R	H	
1501		1	2	0	R	H	
1502		1	2	0	R	H	
1503		1	2	0	R	H	
1504		1	2	0	R	H	
1505		1	2	0	R	H	
1506		2	1	1	-	H	
1507		2	1	1	-	H	

Table 1.138

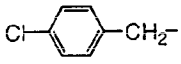
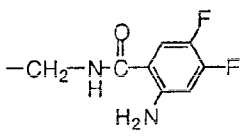
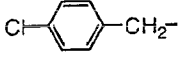
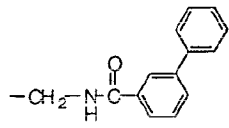
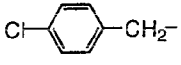
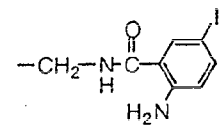
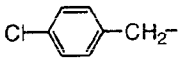
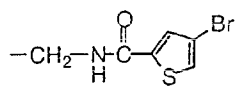
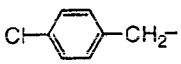
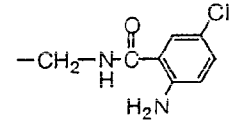
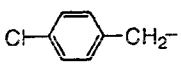
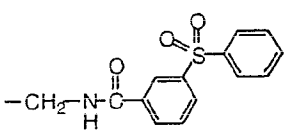
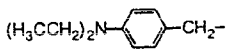
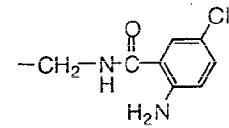
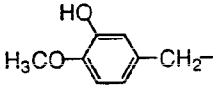
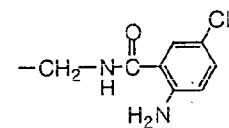
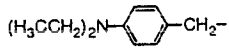
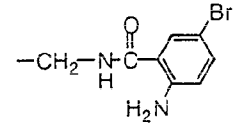
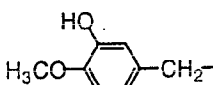
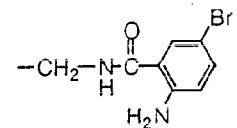
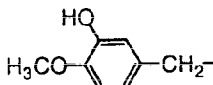
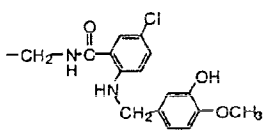
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1508		2	1	1	-	H	
1509		2	1	1	-	H	
1510		2	1	1	-	H	
1511		2	1	1	-	H	
1512		2	1	1	-	H	
1513		2	1	1	-	H	
1514		2	2	1	-	H	
1515		2	2	1	-	H	
1516		2	2	1	-	H	
1517		2	2	1	-	H	
1518		2	2	1	-	H	

Table 1.139

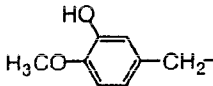
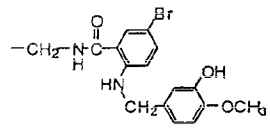
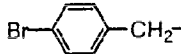
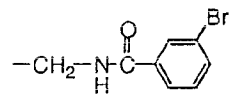
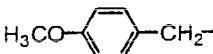
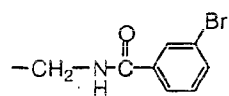
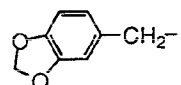
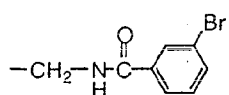
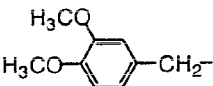
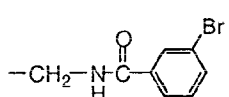
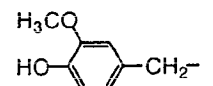
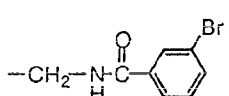
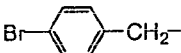
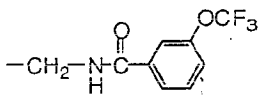

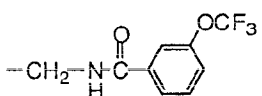
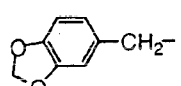
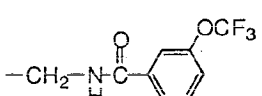
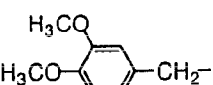
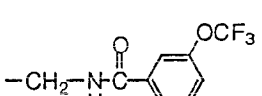
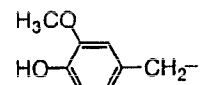
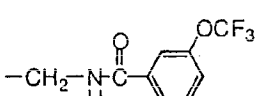
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1519		2	2	1	-	H	
1520		1	2	0	R	H	
1521		1	2	0	R	H	
1522		1	2	0	R	H	
1523		1	2	0	R	H	
1524		1	2	0	R	H	
1525		1	2	0	R	H	
1526		1	2	0	R	H	
1527		1	2	0	R	H	
1528		1	2	0	R	H	
1529		1	2	0	R	H	

Table 1.140

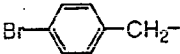
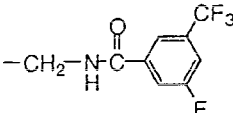

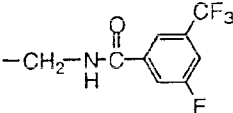
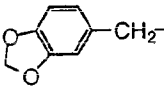
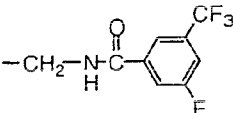
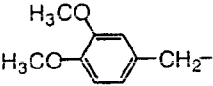
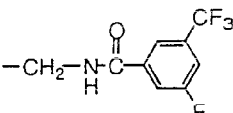
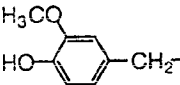
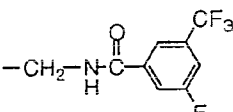
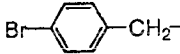
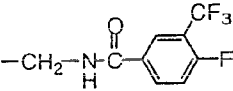

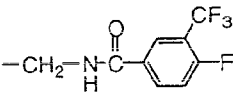
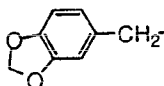
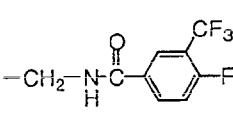
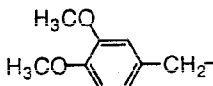
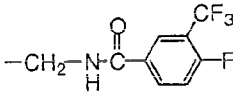
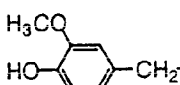
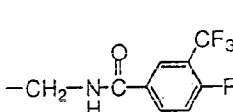

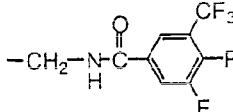
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1530		1	2	0	R	H	
1531		1	2	0	R	H	
1532		1	2	0	R	H	
1533		1	2	0	R	H	
1534		1	2	0	R	H	
1535		1	2	0	R	H	
1536		1	2	0	R	H	
1537		1	2	0	R	H	
1538		1	2	0	R	H	
1539		1	2	0	R	H	
1540		1	2	0	R	H	

Table 1.141


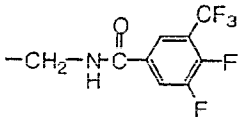
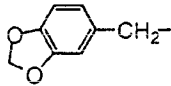
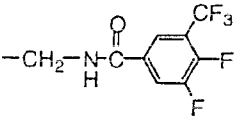
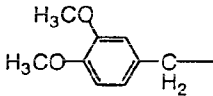
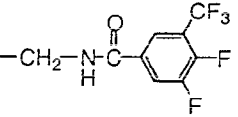
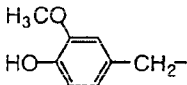
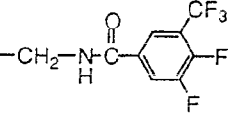
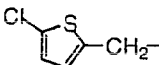
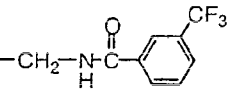
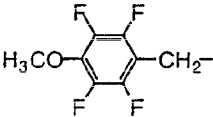
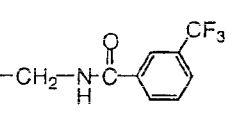
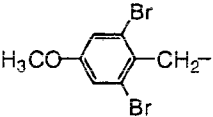
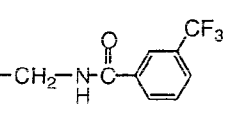
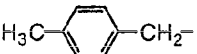
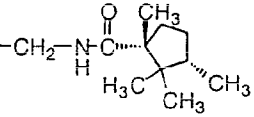
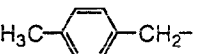
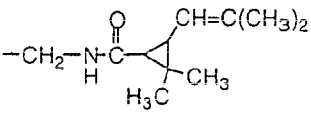
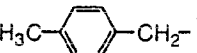
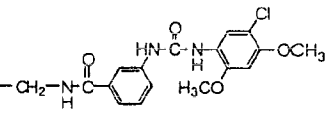
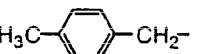
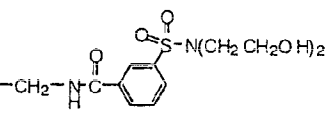
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_l \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1541		1	2	0	R	H	
1542		1	2	0	R	H	
1543		1	2	0	R	H	
1544		1	2	0	R	H	
1545		1	2	0	R	H	
1546		1	2	0	R	H	
1547		1	2	0	R	H	
1548		1	2	0	R	H	
1549		1	2	0	R	H	
1550		1	2	0	R	H	
1551		1	2	0	R	H	

Table 1.142

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1552		1	2	0	R	H	
1553		1	2	0	R	H	
1554		1	2	0	R	H	
1555		1	2	0	R	H	
1556		1	2	0	R	H	
1557		1	2	0	R	H	
1558		1	2	0	R	H	
1559		1	2	0	R	H	
1560		1	2	0	R	H	
1561		1	2	0	R	H	
1562		1	2	0	R	H	

Table 1.143

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_l$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1563		1	2	0	R	H	
1564		1	2	0	R	H	
1565		1	2	0	R	H	
1566		1	2	0	R	H	
1567		1	2	0	R	H	
1568		1	2	0	R	H	
1569		1	2	0	R	H	
1570		2	2	1	-	H	
1571		2	2	1	-	H	
1572		2	2	1	-	H	
1573		2	2	1	-	H	

Table 1.144

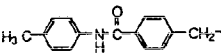
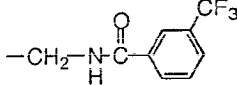
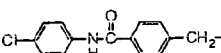
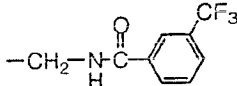
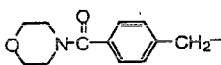
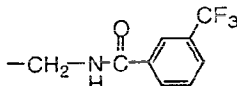
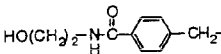
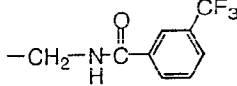
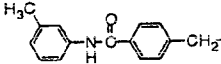
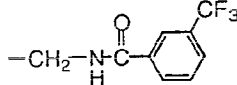
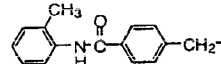
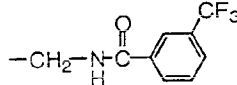
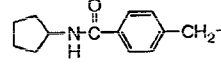
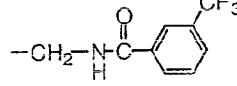
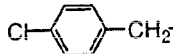
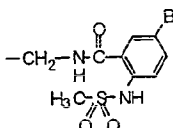
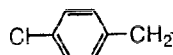
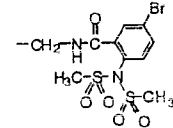
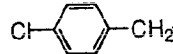
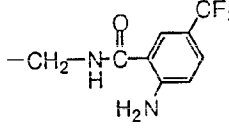

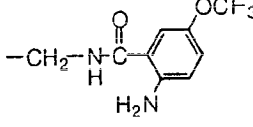
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1574		2	2	1	-	H	
1575		2	2	1	-	H	
1576		2	2	1	-	H	
1577		2	2	1	-	H	
1578		2	2	1	-	H	
1579		2	2	1	-	H	
1580		2	2	1	-	H	
1581		2	2	1	-	H	
1582		2	2	1	-	H	
1583		1	2	0	R	H	
1584		1	2	0	R	H	

Table 1.145

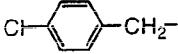
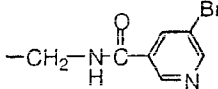
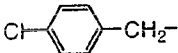
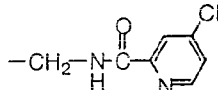
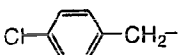
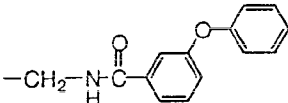
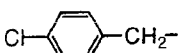
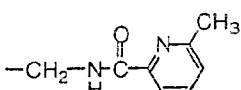

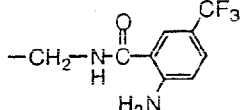

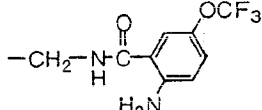

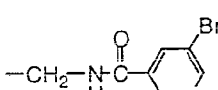

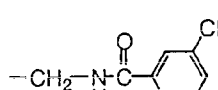

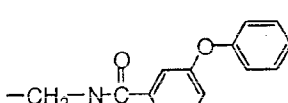
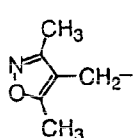
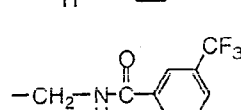
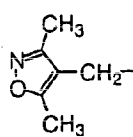
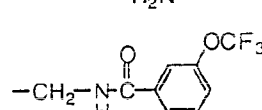
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1585		1	2	0	R	H	
1586		1	2	0	R	H	
1587		1	2	0	R	H	
1588		1	2	0	R	H	
1589		1	2	0	R	H	
1590		1	2	0	R	H	
1591		1	2	0	R	H	
1592		1	2	0	R	H	
1593		1	2	0	R	H	
1594		1	2	0	R	H	
1595		1	2	0	R	H	

Table 1.146

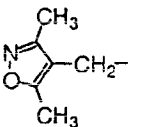
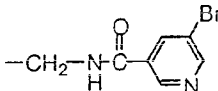
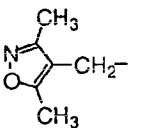
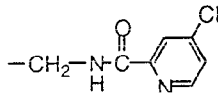
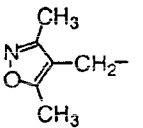
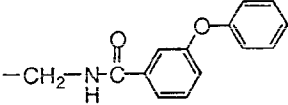
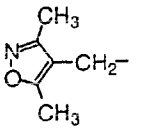
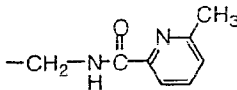
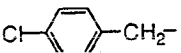
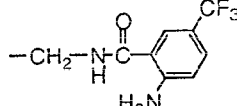
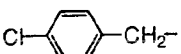
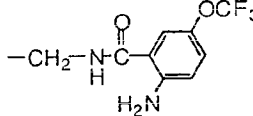
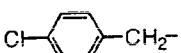
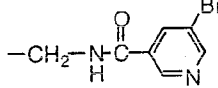
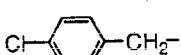
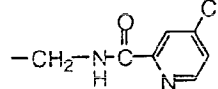
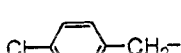
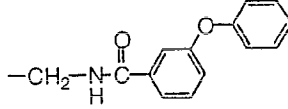
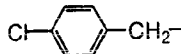
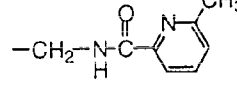
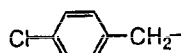
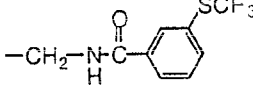
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_l$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1596		1	2	0	R	H	
1597		1	2	0	R	H	
1598		1	2	0	R	H	
1599		1	2	0	R	H	
1600		2	2	1	-	H	
1601		2	2	1	-	H	
1602		2	2	1	-	H	
1603		2	2	1	-	H	
1604		2	2	1	-	H	
1605		2	2	1	-	H	
1606		1	2	0	R	H	

Table 1.147

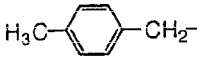
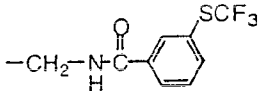
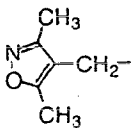
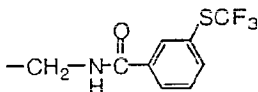
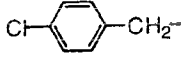
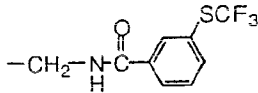
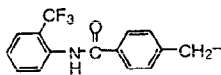
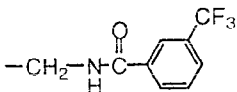
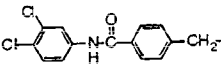
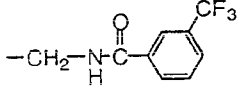
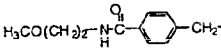
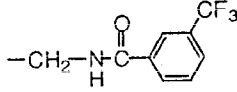
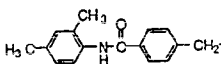
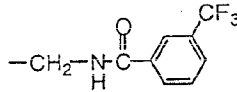
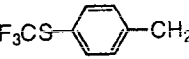
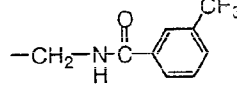
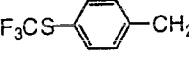
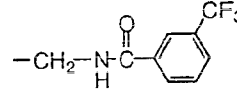
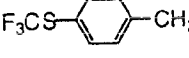
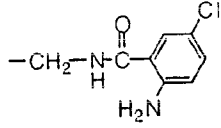
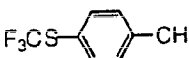
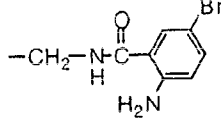
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1607		1	2	0	R	H	
1608		1	2	0	R	H	
1609		2	2	1	-	H	
1610		2	2	1	-	H	
1611		2	2	1	-	H	
1612		2	2	1	-	H	
1613		2	2	1	-	H	
1614		1	2	0	R	H	
1615		2	2	1	-	H	
1616		2	2	1	-	H	
1617		2	2	1	-	H	

Table 1.148

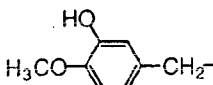
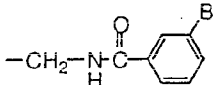
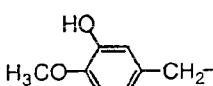
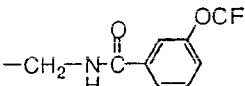
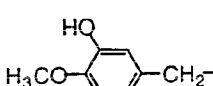
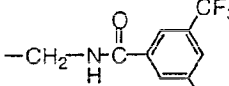
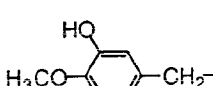
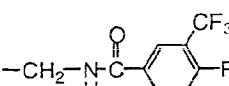
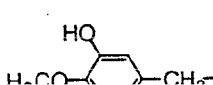
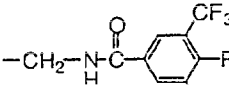
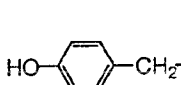
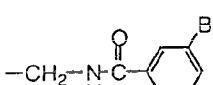
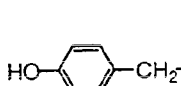
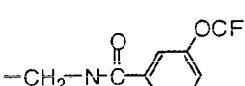
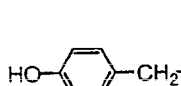
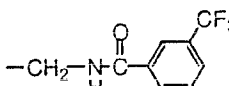
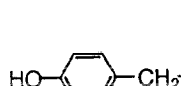
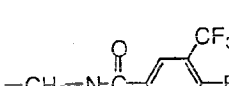
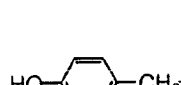
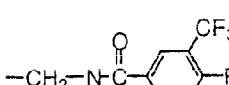

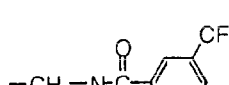
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}} (CH_2)_q - G - R^6$
1618		1	2	0	R	H	
1619		1	2	0	R	H	
1620		1	2	0	R	H	
1621		1	2	0	R	H	
1622		1	2	0	R	H	
1623		1	2	0	R	H	
1624		1	2	0	R	H	
1625		1	2	0	R	H	
1626		1	2	0	R	H	
1627		1	2	0	R	H	
1628		1	2	0	R	H	

Table 1.149

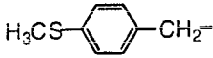
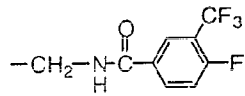
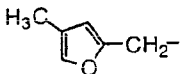
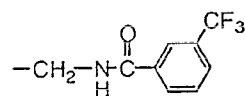
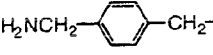
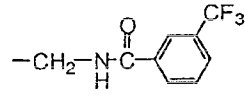
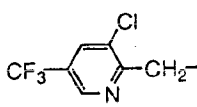
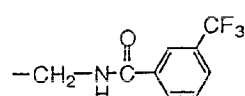
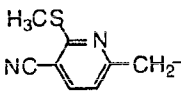
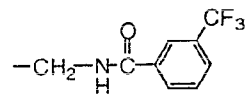
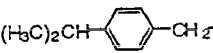
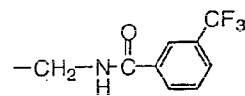

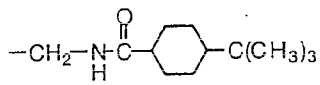

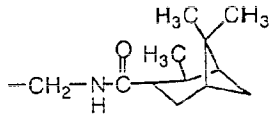
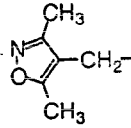
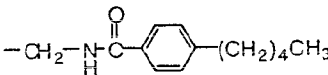
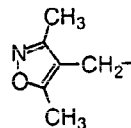
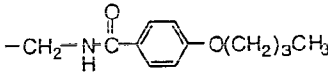
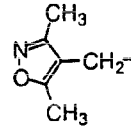
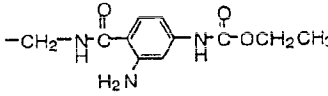
Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (CH_2)_f \text{---}$	k	m	n	chirality	R^3	$-(CH_2)_p \text{---} \begin{matrix} R^4 \\ R^5 \end{matrix} \text{---} (CH_2)_q \text{---} G \text{---} R^6$
1629		1	2	0	R	H	
1630		1	2	0	R	H	
1631		1	2	0	R	H	
1632		1	2	0	R	H	
1633		1	2	0	R	H	
1634		1	2	0	R	H	
1635		1	2	0	R	H	
1636		1	2	0	R	H	
1637		1	2	0	R	H	
1638		1	2	0	R	H	
1639		1	2	0	R	H	

Table 1.150

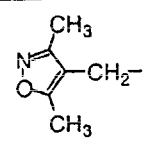
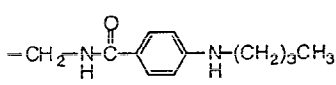
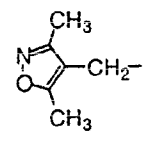
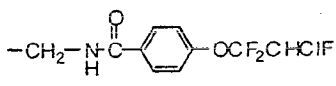
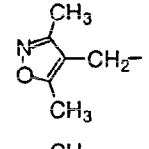
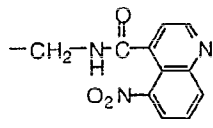
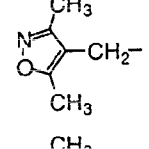
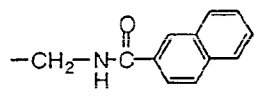
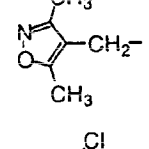
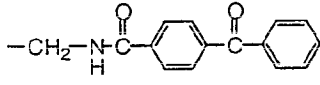
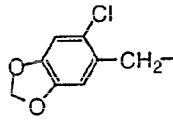
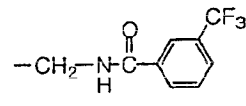
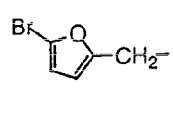
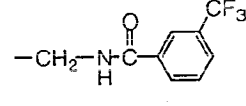
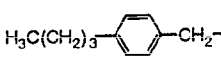
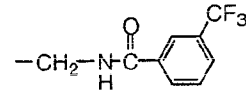
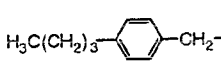
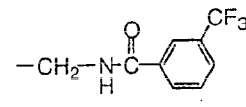
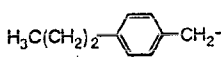
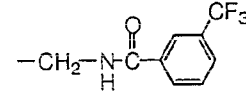
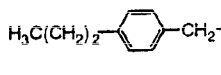
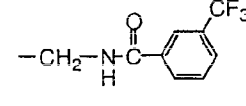
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1640		1	2	0	R	H	
1641		1	2	0	R	H	
1642		1	2	0	R	H	
1643		1	2	0	R	H	
1644		1	2	0	R	H	
1645		1	2	0	R	H	
1646		1	2	0	R	H	
1647		2	2	1	-	H	
1648		1	2	0	R	H	
1649		2	2	1	-	H	
1650		1	2	0	R	H	

Table 1.151

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1651	$H_3C(CH_2)_3-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1652	$H_3C(CH_2)_3-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1653	$H_3C(CH_2)_2-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1654	$H_3C(CH_2)_2-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1655	$H_3C(CH_2)_3-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1656	$H_3C(CH_2)_3-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1657	$H_3C(CH_2)_2-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1658	$H_3C(CH_2)_2-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1659	$Cl-\text{C}_6\text{H}_4-\text{CH}_2-$	2	2	1	-	H	
1660	$Br-\text{C}_6\text{H}_4-\text{CH}_2-$	1	2	0	R	H	
1661	$Br-\text{C}_6\text{H}_4-\text{CH}_2-$	1	2	0	R	H	

Table 1.152

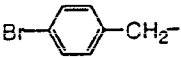
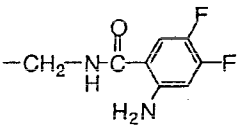
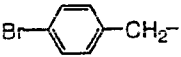
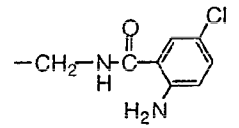

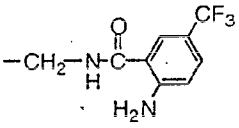

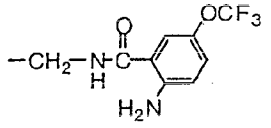

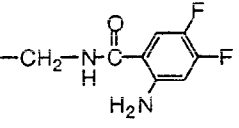
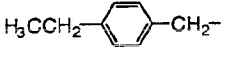
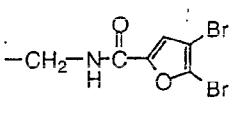
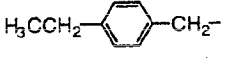
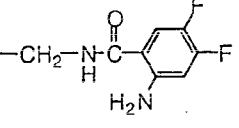
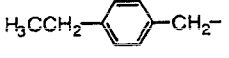
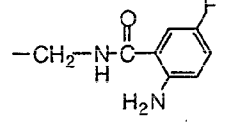
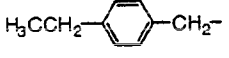
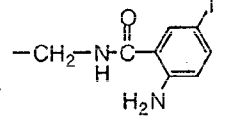
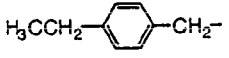
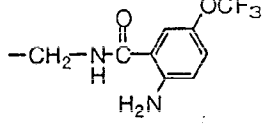
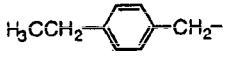
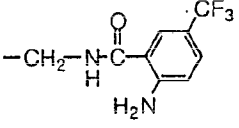
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1662		1	2	0	R	H	
1663		1	2	0	R	H	
1664		2	2	1	-	H	
1665		2	2	1	-	H	
1666		2	2	1	-	H	
1667		2	2	1	-	H	
1668		2	2	1	-	H	
1669		2	2	1	-	H	
1670		2	2	1	-	H	
1671		2	2	1	-	H	
1672		2	2	1	-	H	

Table 1.153

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ (CH_2)_q \\ \\ R^5 \end{array} G-R^6$
1673		2	2	1	-	H	
1674		2	2	1	-	H	
1675		2	2	1	-	H	
1676		2	2	1	-	H	
1677		2	2	1	-	H	
1678		2	2	1	-	H	
1679		2	2	1	-	H	
1680		2	2	1	-	H	
1681		2	2	1	-	H	
1682		2	2	1	-	H	
1683		2	2	1	-	H	

Table 1.154

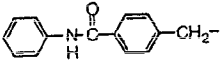
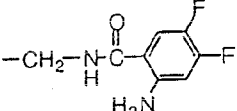
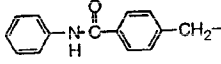
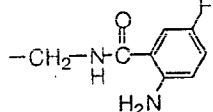
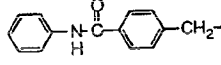
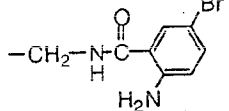
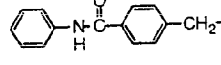
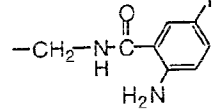
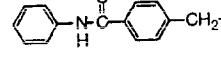
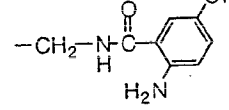
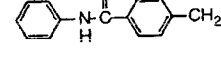
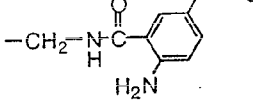
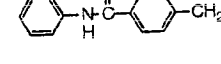
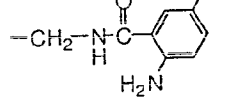
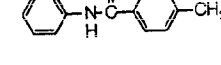
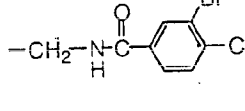
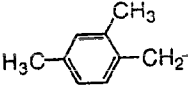
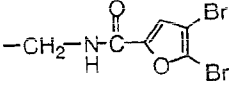
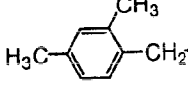
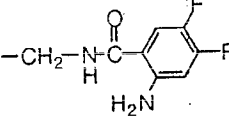
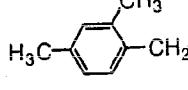
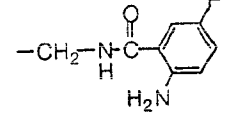
Compd. No.	$\begin{matrix} R^1 \\ \\ R^2 \end{matrix} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1684		2	2	1	-	H	
1685		2	2	1	-	H	
1686		2	2	1	-	H	
1687		2	2	1	-	H	
1688		2	2	1	-	H	
1689		2	2	1	-	H	
1690		2	2	1	-	H	
1691		2	2	1	-	H	
1692		1	2	0	R	H	
1693		1	2	0	R	H	
1694		1	2	0	R	H	

Table 1.155

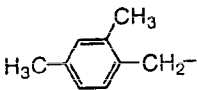
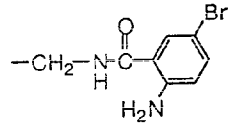
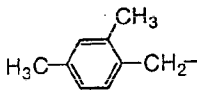
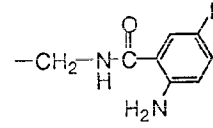
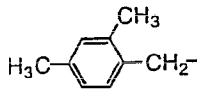
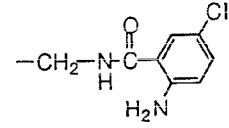
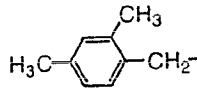
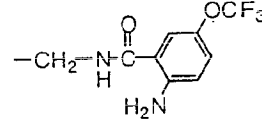
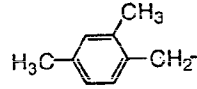
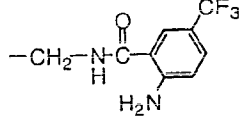
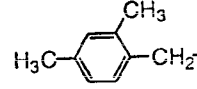
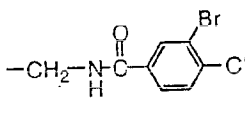
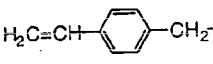
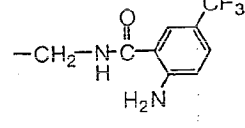
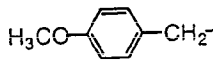
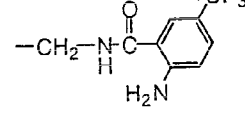
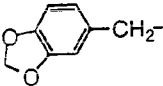
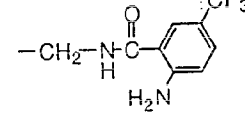
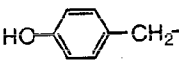
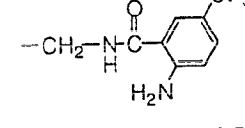
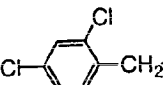
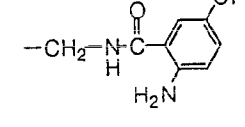
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1695		1	2	0	R	H	
1696		1	2	0	R	H	
1697		1	2	0	R	H	
1698		1	2	0	R	H	
1699		1	2	0	R	H	
1700		1	2	0	R	H	
1701		1	2	0	R	H	
1702		1	2	0	R	H	
1703		1	2	0	R	H	
1704		1	2	0	R	H	
1705		1	2	0	R	H	

Table 1.156

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{ }} (CH_2)_q - G - R^6$
1706		1	2	0	R	H	
1707		1	2	0	R	H	
1708		1	2	0	R	H	
1709		1	2	0	R	H	
1710		1	2	0	R	H	
1711		1	2	0	R	H	
1712		1	2	0	R	H	
1713		1	2	0	R	H	
1714		1	2	0	R	H	
1715		1	2	0	R	H	
1716		1	2	0	R	H	

Table 1.157

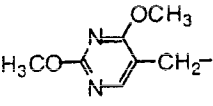
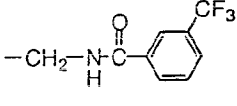
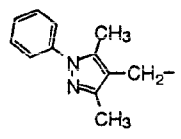
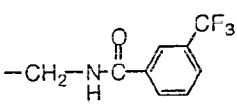
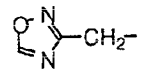
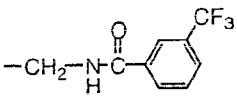
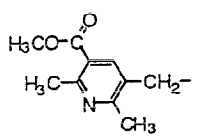
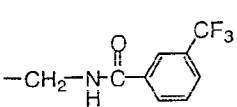
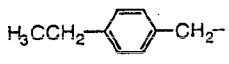
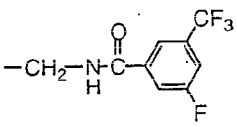
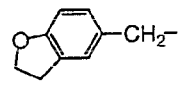
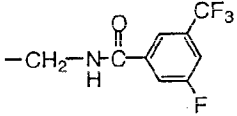
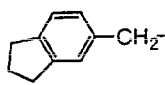
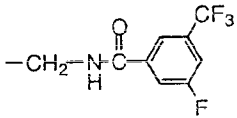
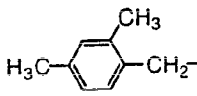
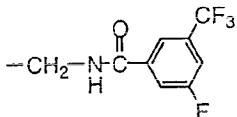
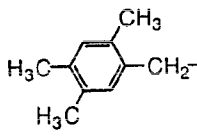
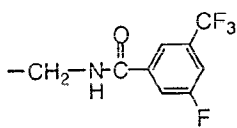
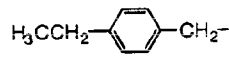
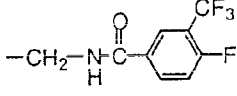
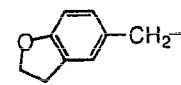
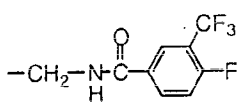
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1717		1	2	0	R	H	
1718		1	2	0	R	H	
1719		1	2	0	R	H	
1720		1	2	0	R	H	
1721		1	2	0	R	H	
1722		1	2	0	R	H	
1723		1	2	0	R	H	
1724		1	2	0	R	H	
1725		1	2	0	R	H	
1726		1	2	0	R	H	
1727		1	2	0	R	H	

Table 1.158

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1728		1	2	0	R	H	
1729		1	2	0	R	H	
1730		1	2	0	R	H	
1731		1	2	0	R	H	
1732		1	2	0	R	H	
1733		1	2	0	R	H	
1734		1	2	0	R	H	
1735		1	2	0	R	H	
1736		1	2	0	R	H	
1737		1	2	0	R	H	
1738		1	2	0	R	H	

Table 1.159

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (CH_2)_j \text{---}$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}} (CH_2)_q \text{---} G \text{---} R^6$
1739	$(H_3C)_2CH \text{---} \text{C}_6H_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_2(\text{F})_2\text{CF}_3$
1740	$\text{C}_{10}H_7 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_4\text{Br}$
1741	$H_3CS \text{---} \text{C}_6H_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_4\text{Br}$
1742	$H_3CCH_2 \text{---} \text{C}_6H_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_4\text{Br}$
1743	$\text{C}_{10}H_7 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_4\text{Br}$
1744	$H_3C \text{---} \text{C}_6H_3(\text{CH}_3)_2 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_4\text{Br}$
1745	$H_3C \text{---} \text{C}_6H_3(\text{CH}_3)_2 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_4\text{Br}$
1746	$(H_3C)_2CH \text{---} \text{C}_6H_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_4\text{Br}$
1747	$\text{C}_{10}H_7 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_3(\text{NH}_2)\text{Br}$
1748	$H_3CCH_2 \text{---} \text{C}_6H_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_3(\text{NH}_2)\text{Br}$
1749	$H_3C \text{---} \text{C}_6H_3(\text{CH}_3)_2 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}_6H_3(\text{NH}_2)\text{Br}$

Table 1.160

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1750		1	2	0	R	H	
1751		1	2	0	R	H	
1752		1	2	0	R	H	
1753		1	2	0	R	H	
1754		1	2	0	R	H	
1755		1	2	0	R	H	
1756		1	2	0	R	H	
1757		1	2	0	R	H	
1758		1	2	0	R	H	
1759		1	2	0	R	H	
1760		1	2	0	R	H	

Table 1.161

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1761		1	2	0	R	H	
1762		1	2	0	R	H	
1763		2	2	0	-	H	
1764		2	2	0	-	H	
1765		2	2	0	-	H	
1766		2	2	0	-	H	
1767		1	3	1	-	H	
1768		1	3	1	-	H	
1769		1	2	0	R	H	
1770		1	2	0	R	H	
1771		1	2	0	R	H	

Table 1.162

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1772		1	2	0	R	H	
1773		1	2	0	R	H	
1774		1	2	0	R	H	
1775		1	2	0	R	H	
1776		1	2	0	R	H	
1777		2	2	1	-	H	
1778		2	2	1	-	H	
1779		2	2	1	-	H	
1780		2	2	1	-	H	
1781		2	2	1	-	H	
1782		2	2	1	-	H	

Table 1.163

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1783		2	2	1	-	H	
1784		2	2	1	-	H	
1785		2	2	1	-	H	
1786		2	2	1	-	H	
1787		1	2	0	R	H	
1788		2	2	1	-	H	
1789		2	2	1	-	H	
1790		1	2	0	S	H	
1791		1	2	0	S	H	
1792		2	2	1	-	H	
1793		2	2	1	-	H	

Table 1.164

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1794		2	2	1	-	H	
1795		2	2	1	-	H	
1796		2	2	1	-	H	
1797		2	2	1	-	H	
1798		2	2	1	-	H	
1799		2	2	1	-	H	
1800		2	2	1	-	H	
1801		2	2	1	-	H	
1802		1	2	0	R	H	
1803		1	2	0	R	H	
1804		2	2	1	-	H	

Table 1.165

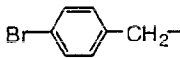
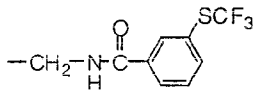
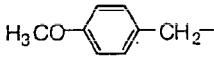
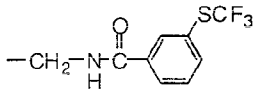
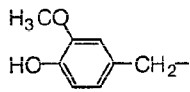
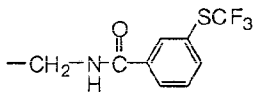
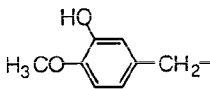
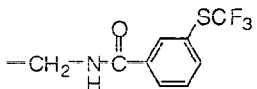
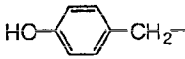
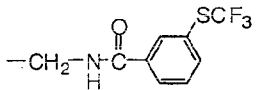
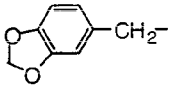
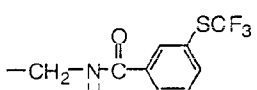
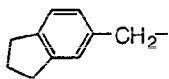
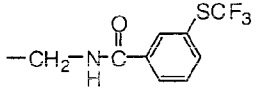
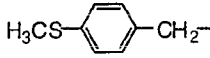
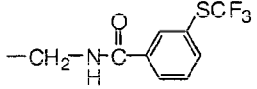
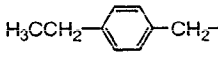
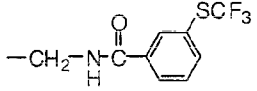
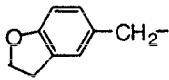
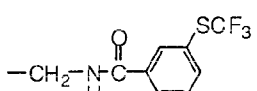
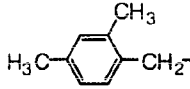
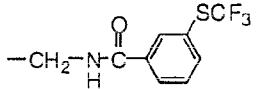
Compd. No.	$\begin{matrix} R^1 \\ \diagup \\ R^2 \end{matrix} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix} R^4 \\ \\ R^5 \end{matrix} (CH_2)_q - G - R^6$
1805		1	2	0	R	H	
1806		1	2	0	R	H	
1807		1	2	0	R	H	
1808		1	2	0	R	H	
1809		1	2	0	R	H	
1810		1	2	0	R	H	
1811		1	2	0	R	H	
1812		1	2	0	R	H	
1813		1	2	0	R	H	
1814		1	2	0	R	H	
1815		1	2	0	R	H	

Table 1.166

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (CH_2)_j \text{---}$	k	m	n	chirality	R^3	$-(CH_2)_p \text{---} \begin{matrix} R^4 \\ \\ R^5 \end{matrix} \text{---} (CH_2)_q \text{---} G \text{---} R^6$
1816	$(CH_3)_2CH \text{---} \text{C}_6\text{H}_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{SCF}_3$
1817	$(CH_3)_3C \text{---} \text{C}_6\text{H}_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{SCF}_3$
1818	$\text{Br} \text{---} \text{C}_6\text{H}_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{OCHF}_2$
1819	$\text{H}_3\text{CO} \text{---} \text{C}_6\text{H}_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{OCHF}_2$
1820	$\text{H}_3\text{CO} \text{---} \text{C}_6\text{H}_3(\text{OH}) \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_3(\text{OCHF}_2) \text{---}$
1821	$\text{HO} \text{---} \text{C}_6\text{H}_3(\text{H}_3\text{CO}) \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_3(\text{OCHF}_2) \text{---}$
1822	$\text{HO} \text{---} \text{C}_6\text{H}_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{OCHF}_2$
1823	$\text{C}_6\text{H}_3(\text{O})_2 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_3(\text{OCHF}_2) \text{---}$
1824	$\text{C}_9\text{H}_7 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{OCHF}_2$
1825	$\text{H}_3\text{CS} \text{---} \text{C}_6\text{H}_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{OCHF}_2$
1826	$\text{H}_3\text{CCH}_2 \text{---} \text{C}_6\text{H}_4 \text{---} CH_2 \text{---}$	1	2	0	R	H	$-\text{CH}_2-\text{N}(\text{H})-\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{OCHF}_2$

Table 1.167

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{ }} (CH_2)_q - G - R^6$
1827		1	2	0	R	H	
1828		1	2	0	R	H	
1829		1	2	0	R	H	
1830		1	2	0	R	H	
1831		1	2	0	R	H	
1832		1	2	0	R	H	
1833		1	2	0	R	H	
1834		1	2	0	R	H	
1835		1	2	0	R	H	
1836		1	2	0	R	H	
1837		1	2	0	R	H	

Table 1.168


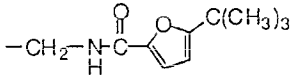
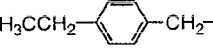
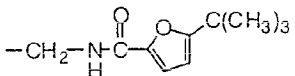
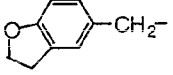
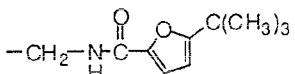
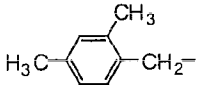
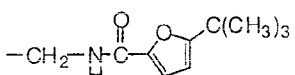
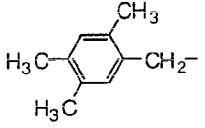
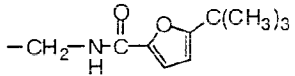
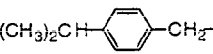
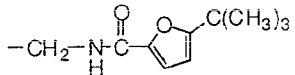
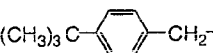
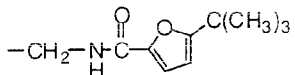
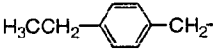
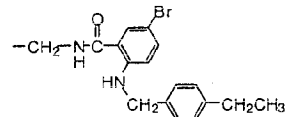
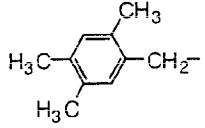
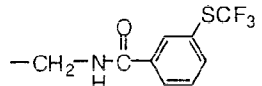
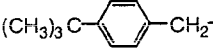
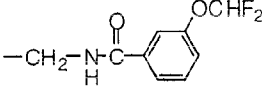
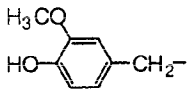
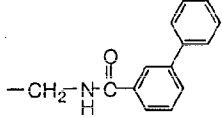
Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (CH_2)_j \text{---}$	k	m	n	chirality	R^3	$-(CH_2)_p \text{---} \begin{matrix} R^4 \\ R^5 \end{matrix} \text{---} (CH_2)_q \text{---} G \text{---} R^6$
1838		1	2	0	R	H	
1839		1	2	0	R	H	
1840		1	2	0	R	H	
1841		1	2	0	R	H	
1842		1	2	0	R	H	
1843		1	2	0	R	H	
1844		1	2	0	R	H	
1845		1	2	0	R	H	
1846		1	2	0	R	H	
1847		1	2	0	R	H	
1848		1	2	0	R	H	

Table 1.169

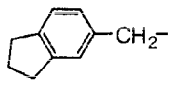
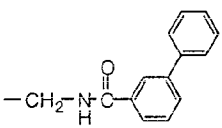
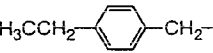
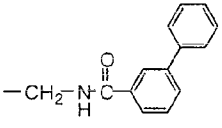
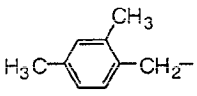
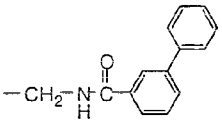
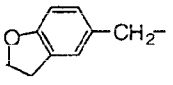
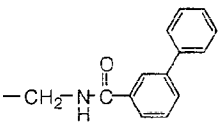
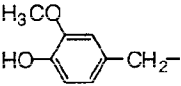
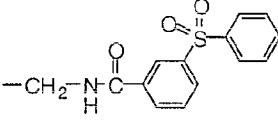
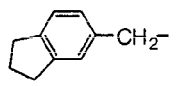
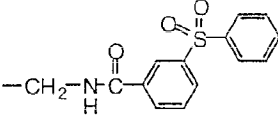
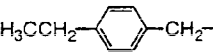
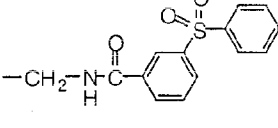
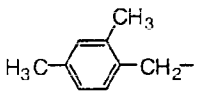
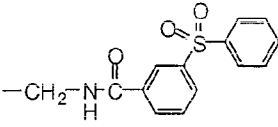
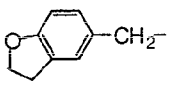
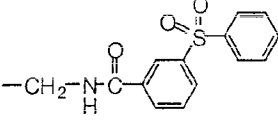
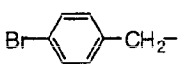
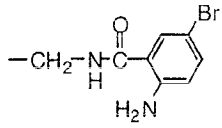
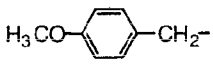
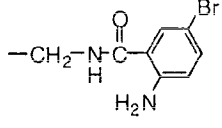
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1849		1	2	0	R	H	
1850		1	2	0	R	H	
1851		1	2	0	R	H	
1852		1	2	0	R	H	
1853		1	2	0	R	H	
1854		1	2	0	R	H	
1855		1	2	0	R	H	
1856		1	2	0	R	H	
1857		1	2	0	R	H	
1858		1	2	0	R	H	
1859		1	2	0	R	H	

Table 1.170

Compd. No.	$\begin{array}{c} R^1 \\ \\ R^2 - (CH_2)_j - \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p - \begin{array}{c} R^4 \\ \\ R^5 \end{array} - (CH_2)_q - G - R^6$
1860		1	2	0	R	H	
1861		1	2	0	R	H	
1862		1	2	0	R	H	
1863		1	2	0	R	H	
1864		1	2	0	R	H	
1865		1	2	0	R	H	
1866		1	2	0	R	H	
1867		1	2	0	R	H	
1868		1	2	0	R	H	
1869		1	2	0	R	H	
1870		1	2	0	R	H	

Table 1.171

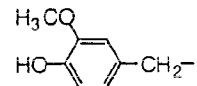
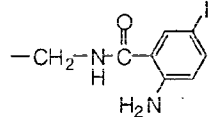
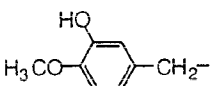
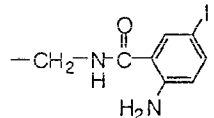
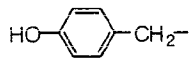
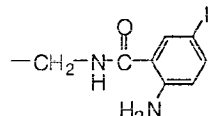
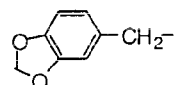
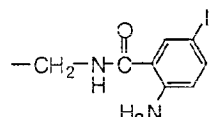
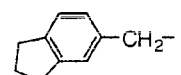
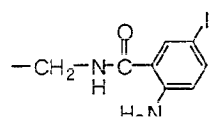
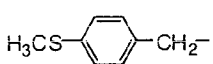
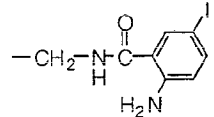
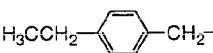
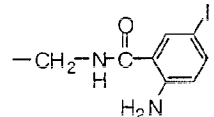
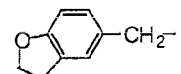
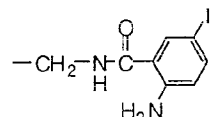
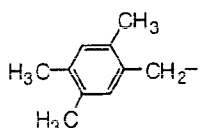
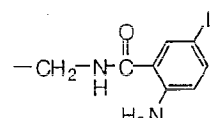
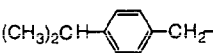
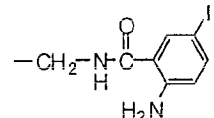
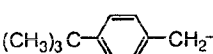
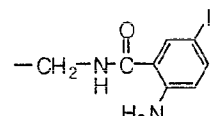
Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (\text{CH}_2)_j \text{---}$	k	m	n	chirality	R^3	$\text{---} (\text{CH}_2)_p \text{---} \begin{matrix} R^4 \\ R^5 \end{matrix} \text{---} (\text{CH}_2)_q \text{---} \text{G---} R^6$
1871		1	2	0	R	H	
1872		1	2	0	R	H	
1873		1	2	0	R	H	
1874		1	2	0	R	H	
1875		1	2	0	R	H	
1876		1	2	0	R	H	
1877		1	2	0	R	H	
1878		1	2	0	R	H	
1879		1	2	0	R	H	
1880		1	2	0	R	H	
1881		1	2	0	R	H	

Table 1.172

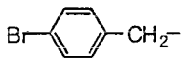
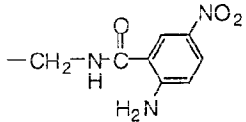
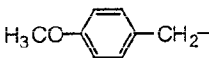
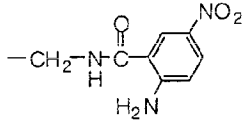
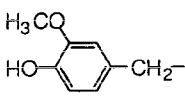
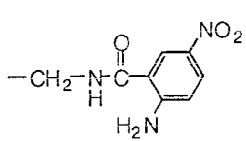
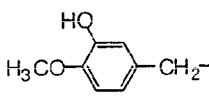
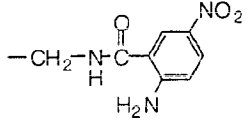
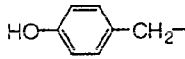
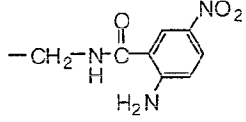
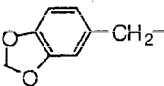
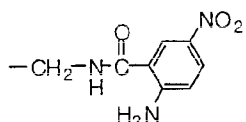
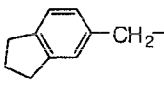
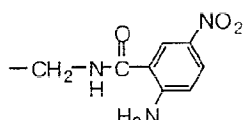
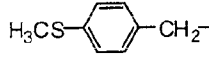
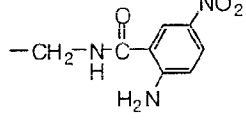
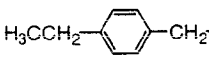
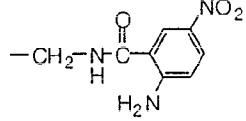
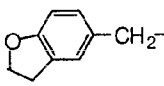
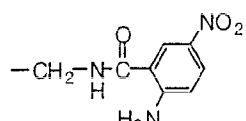
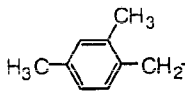
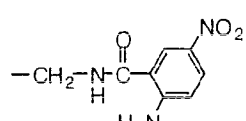
Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix} \text{---} (CH_2)_j \text{---}$	k	m	n	chirality	R^3	$-(CH_2)_p \text{---} \begin{matrix} R^4 \\ R^5 \end{matrix} \text{---} (CH_2)_q \text{---} G \text{---} R^6$
1882		1	2	0	R	H	
1883		1	2	0	R	H	
1884		1	2	0	R	H	
1885		1	2	0	R	H	
1886		1	2	0	R	H	
1887		1	2	0	R	H	
1888		1	2	0	R	H	
1889		1	2	0	R	H	
1890		1	2	0	R	H	
1891		1	2	0	R	H	
1892		1	2	0	R	H	

Table 1.173

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1893		1	2	0	R	H	
1894		1	2	0	R	H	
1895		1	2	0	R	H	
1896		1	2	0	R	H	
1897		1	2	0	R	H	
1898		1	2	0	R	H	
1899		1	2	0	R	H	
1900		1	2	0	R	H	
1901		1	2	0	R	H	
1902		1	2	0	R	H	
1903		2	2	1	-	H	

Table 1.174

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1904		2	2	1	-	H	
1905		1	2	0	R	H	
1906		1	2	0	R	H	
1907		1	2	0	R	H	
1908		1	2	0	R	H	
1909		1	2	0	R	H	
1910		2	2	1	-	H	
1911		2	2	1	-	H	
1912		2	2	1	-	H	
1913		2	2	1	-	H	
1914		2	2	1	-	H	

Table 1.175

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1915	$\begin{array}{c} H_3CCH_2O \\ \\ HO-C_6H_4-CH_2- \end{array}$	1	2	0	R	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(OCF_3)-$
1916	$\begin{array}{c} H_3C \\ \\ HO-C_6H_4-CH_2- \end{array}$	1	2	0	R	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(OCF_3)-$
1917	$\begin{array}{c} H_3CCH_2O \\ \\ HO-C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(OCF_3)-$
1918	$\begin{array}{c} H_3C \\ \\ HO-C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(OCF_3)-$
1919	$\begin{array}{c} NH_2 \\ \\ Cl-C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(CF_3)-$
1920	$\begin{array}{c} NH_2 \\ \\ Cl-C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(F)_2-$
1921	$\begin{array}{c} NH_2 \\ \\ Cl-C_6H_4-CH_2- \end{array}$	1	2	0	R	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(OCF_3)-$
1922	$\begin{array}{c} NH_2 \\ \\ Cl-C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_3(NH_2)(OCF_3)-$
1923	$\begin{array}{c} Br \\ \\ C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_4(SCF_3)-$
1924	$\begin{array}{c} H_3CO \\ \\ C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_4(SCF_3)-$
1925	$\begin{array}{c} F \\ \\ C_6H_4-CH_2- \end{array}$	2	2	1	-	H	$-CH_2-NH-C(=O)-C_6H_4(SCF_3)-$

Table 1.176

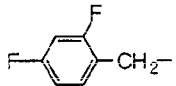
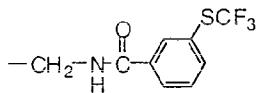
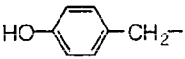
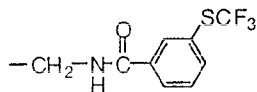
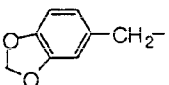
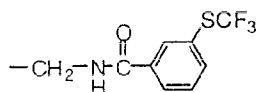
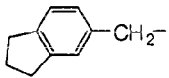
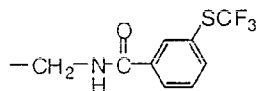

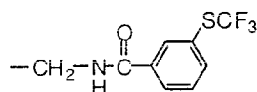
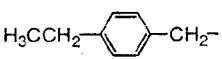
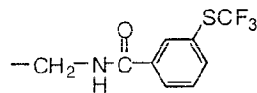
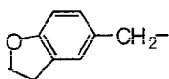
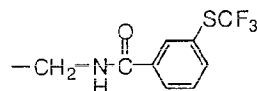
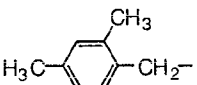
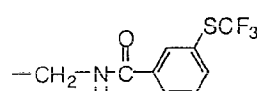
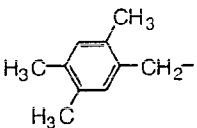
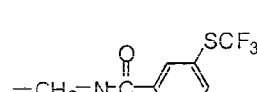
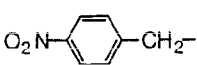
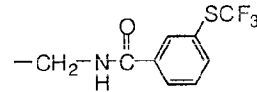
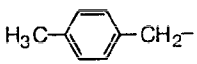
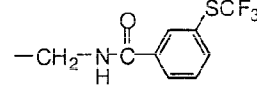
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	\dot{R}^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ -C- \\ \\ R^5 \end{array} (CH_2)_q -G-R^6$
1926		2	2	1	-	H	
1927		2	2	1	-	H	
1928		2	2	1	-	H	
1929		2	2	1	-	H	
1930		2	2	1	-	H	
1931		2	2	1	-	H	
1932		2	2	1	-	H	
1933		2	2	1	-	H	
1934		2	2	1	-	H	
1935		2	2	1	-	H	
1936		2	2	1	-	H	

Table 1.177

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R ³	$\begin{array}{c} R^4 \\ \\ -(CH_2)_p - C - (CH_2)_q - G - R^6 \\ \\ R^5 \end{array}$
1937		2	2	1	-	H	
1938		2	2	1	-	H	
1939		2	2	1	-	H	
1940		2	2	1	-	H	
1941		2	2	1	-	H	
1942		2	2	1	-	H	
1943		2	2	1	-	H	
1944		2	2	1	-	H	
1945		2	2	1	-	H	
1946		2	2	1	-	H	
1947		2	2	1	-	H	

Table 1.178

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R ³	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1948		2	2	1	-	H	
1949		2	2	1	-	H	
1950		2	2	1	-	H	
1951		2	2	1	-	H	
1952		2	2	1	-	H	
1953		2	2	1	-	H	
1954		2	2	1	-	H	
1955		2	2	1	-	H	
1956		2	2	1	-	H	
1957		2	2	1	-	H	
1958		2	2	1	-	H	

Table 1.179


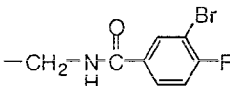
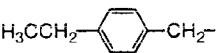
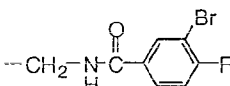
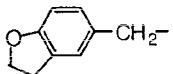
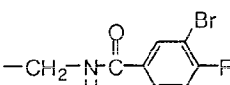
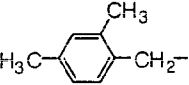
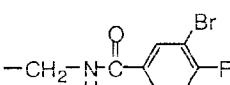
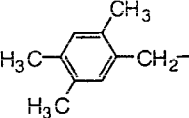
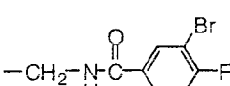
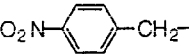
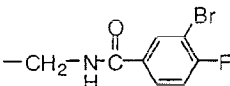
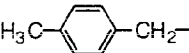
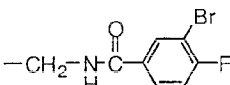
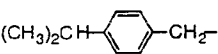
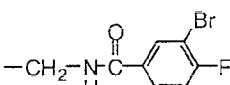
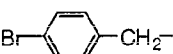
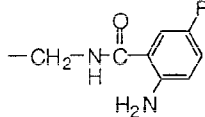
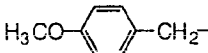
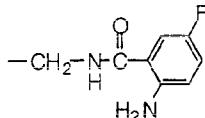
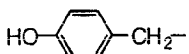
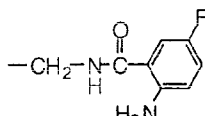
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p - \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1959		2	2	1	-	H	
1960		2	2	1	-	H	
1961		2	2	1	-	H	
1962		2	2	1	-	H	
1963		2	2	1	-	H	
1964		2	2	1	-	H	
1965		2	2	1	-	H	
1966		2	2	1	-	H	
1967		2	2	1	-	H	
1968		2	2	1	-	H	
1969		2	2	1	-	H	

Table 1.180

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
1970		2	2	1	-	H	
1971		2	2	1	-	H	
1972		2	2	1	-	H	
1973		2	2	1	-	H	
1974		2	2	1	-	H	
1975		2	2	1	-	H	
1976		2	2	1	-	H	
1977		2	2	1	-	H	
1978		2	2	1	-	H	
1979		2	2	1	-	H	
1980		2	2	1	-	H	

Table 1.181

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	\bar{R}^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
1981		2	2	1	-	H	
1982		2	2	1	-	H	
1983		2	2	1	-	H	
1984		2	2	1	-	H	
1985		2	2	1	-	H	
1986		2	2	1	-	H	
1987		2	2	1	-	H	
1988		2	2	1	-	H	
1989		2	2	1	-	H	
1990		2	2	1	-	H	
1991		2	2	1	-	H	

Table 1.182

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q G-R^6$
1992		2	2	1	-	H	
1993		2	2	1	-	H	
1994		2	2	1	-	H	
1995		2	2	1	-	H	
1996		2	2	1	-	H	
1997		2	2	1	-	H	
1998		2	2	1	-	H	
1999		2	2	1	-	H	
2000		2	2	1	-	H	
2001		2	2	1	-	H	
2002		2	2	1	-	H	

Table 1.183

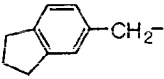
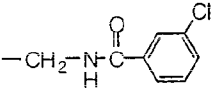

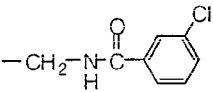
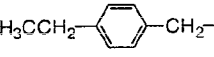
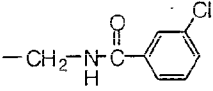
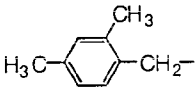
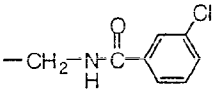
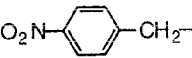
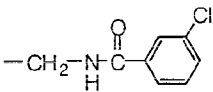
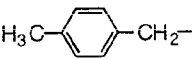
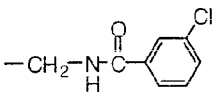
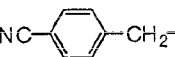
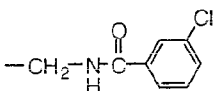
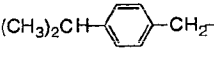
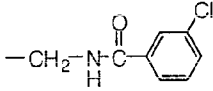
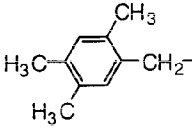
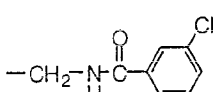
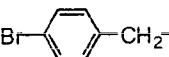
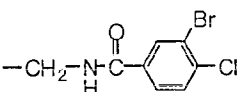
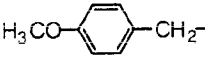
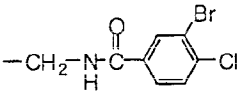
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2003		2	2	1	-	H	
2004		2	2	1	-	H	
2005		2	2	1	-	H	
2006		2	2	1	-	H	
2007		2	2	1	-	H	
2008		2	2	1	-	H	
2009		2	2	1	-	H	
2010		2	2	1	-	H	
2011		2	2	1	-	H	
2012		2	2	1	-	H	
2013		2	2	1	-	H	

Table 1.184

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R ³	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
2014		2	2	1	-	H	
2015		2	2	1	-	H	
2016		2	2	1	-	H	
2017		2	2	1	-	H	
2018		2	2	1	-	H	
2019		2	2	1	-	H	
2020		2	2	1	-	H	
2021		2	2	1	-	H	
2022		2	2	1	-	H	
2023		2	2	1	-	H	
2024		2	2	1	-	H	

Table 1.185

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ R^2 \end{array} (CH_2)_j -$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
2025		2	2	1	-	H	
2026		2	2	1	-	H	
2027		2	2	1	-	H	
2028		2	2	1	-	H	
2029		2	2	1	-	H	
2030		2	2	1	-	H	
2031		2	2	1	-	H	
2032		2	2	1	-	H	
2033		2	2	1	-	H	
2034		2	2	1	-	H	
2035		2	2	1	-	H	

Table 1.186

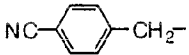
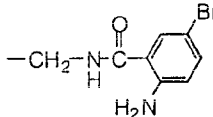
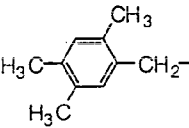
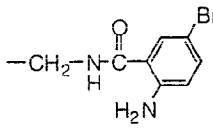
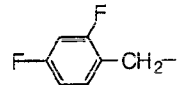
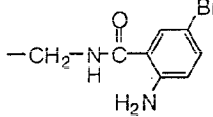
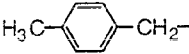
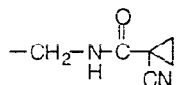
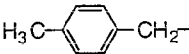
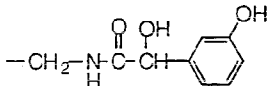
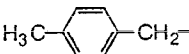
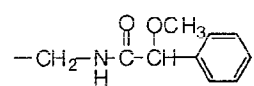

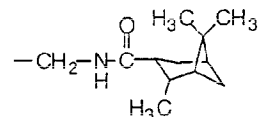
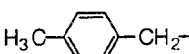
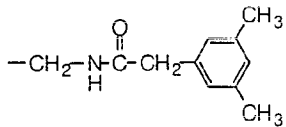
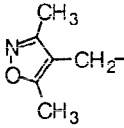
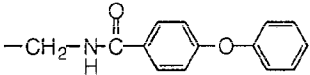
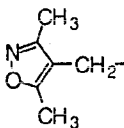
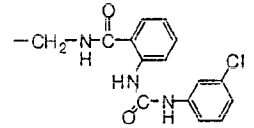
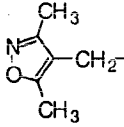
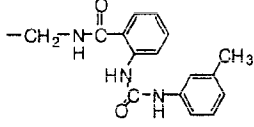
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2036		2	2	1	-	H	
2037		2	2	1	-	H	
2038		2	2	1	-	H	
2039		2	2	1	-	H	
2040		1	2	0	R	H	
2041		1	2	0	R	H	
2042		1	2	0	R	H	
2043		1	2	0	R	H	
2044		1	2	0	R	H	
2045		1	2	0	R	H	
2046		1	2	0	R	H	

Table 1.187

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q G-R^6$
2047		1	2	0	R	H	
2048		1	2	0	R	H	
2049		1	2	0	R	H	
2050		1	2	0	R	H	
2051		1	2	0	R	H	
2052		2	2	1	-	H	
2053		2	2	1	-	H	
2054		2	2	1	-	H	
2055		2	2	1	-	H	
2056		2	2	1	-	H	
2057		2	2	1	-	H	

Table 1.188

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2058		2	2	1	-	H	
2059		2	2	1	-	H	
2060		2	2	1	-	H	
2061		2	2	1	-	H	
2062		2	2	1	-	H	
2063		2	2	1	-	H	
2064		2	2	1	-	H	
2065		2	2	1	-	H	
2066		2	2	1	-	H	
2067		2	2	1	-	H	
2068		2	2	1	-	H	

Table 1.189

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2069		2	2	1	-	H	
2070		2	2	1	-	H	
2071		2	2	1	-	H	
2072		2	2	1	-	H	
2073		2	2	1	-	H	
2074		2	2	1	-	H	
2075		2	2	1	-	H	
2076		2	2	1	-	H	
2077		2	2	1	-	H	
2078		2	2	1	-	H	
2079		2	2	1	-	H	

Table 1.190

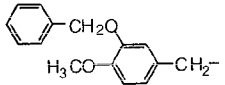
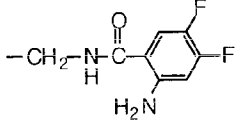
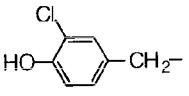
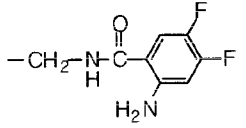
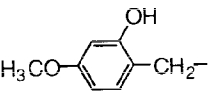
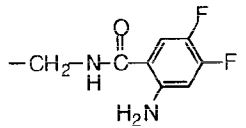
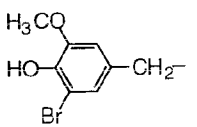
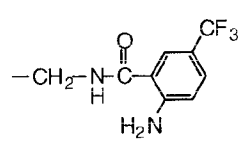
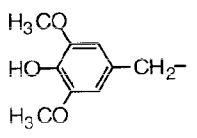
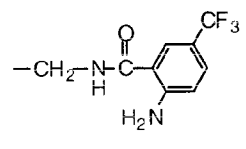
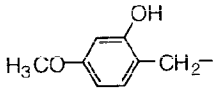
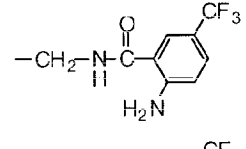
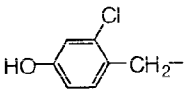
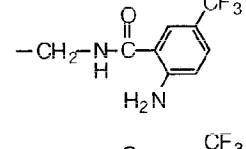
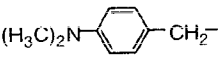
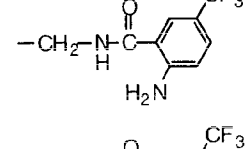
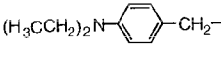
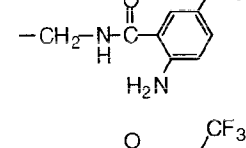
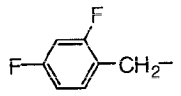
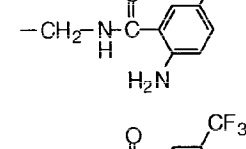
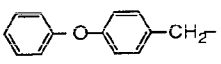
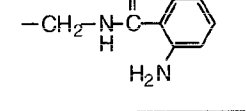
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q G-R^6$
2080		2	2	1	-	H	
2081		2	2	1	-	H	
2082		2	2	1	-	H	
2083		1	2	0	R	H	
2084		1	2	0	R	H	
2085		1	2	0	R	H	
2086		1	2	0	R	H	
2087		1	2	0	R	H	
2088		1	2	0	R	H	
2089		1	2	0	R	H	
2090		1	2	0	R	H	

Table 1.191

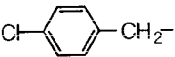
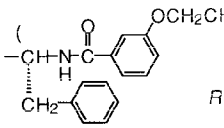
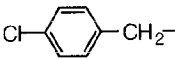
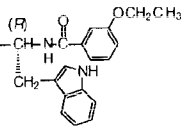
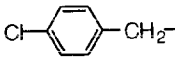
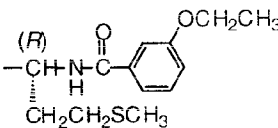
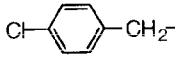
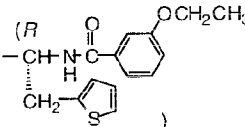
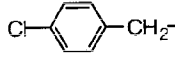
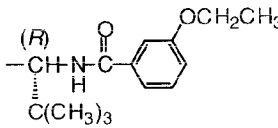
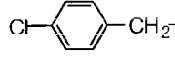
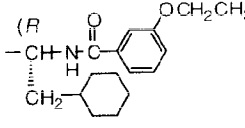
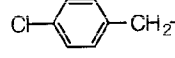
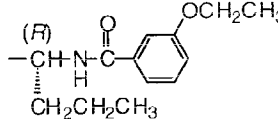
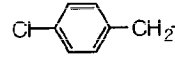
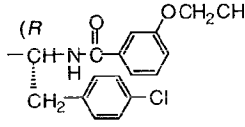
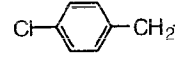
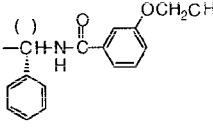
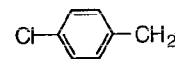
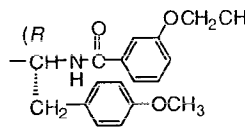

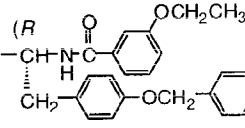
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
2091		2	2	1	-	H	
2092		2	2	1	-	H	
2093		2	2	1	-	H	
2094		2	2	1	-	H	
2095		2	2	1	-	H	
2096		2	2	1	-	H	
2097		2	2	1	-	H	
2098		2	2	1	-	H	
2099		2	2	1	-	H	
2100		2	2	1	-	H	
2101		2	2	1	-	H	

Table 1.192

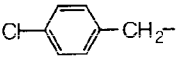
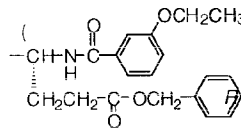
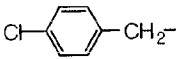
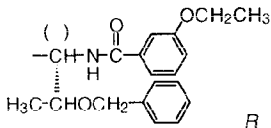
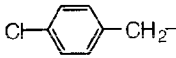
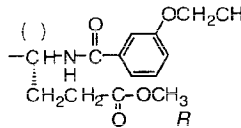
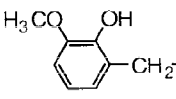
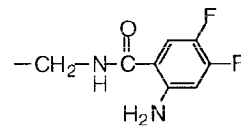
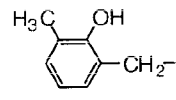
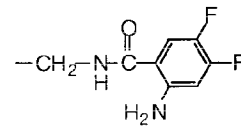
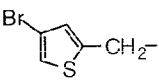
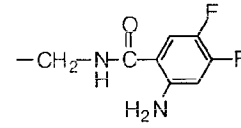
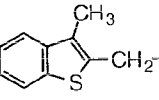
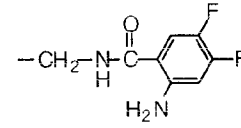
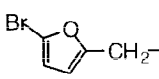
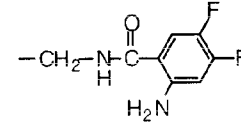
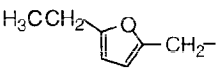
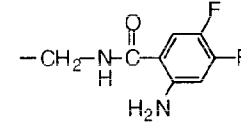
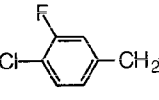
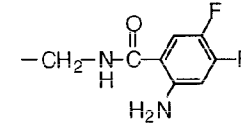
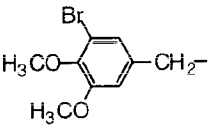
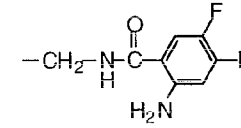
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
2102		2	2	1	-	H	
2103		2	2	1	-	H	
2104		2	2	1	-	H	
2105		2	2	1	-	H	
2106		2	2	1	-	H	
2107		2	2	1	-	H	
2108		2	2	1	-	H	
2109		2	2	1	-	H	
2110		2	2	1	-	H	
2111		2	2	1	-	H	
2112		2	2	1	-	H	

Table 1.193

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q G-R^6$
2113		2	2	1	-	H	
2114		2	2	1	-	H	
2115		2	2	1	-	H	
2116		2	2	1	-	H	
2117		2	2	1	-	H	
2118		1	2	0	R	H	
2119		1	2	0	R	H	
2120		1	2	0	R	H	
2121		1	2	0	R	H	
2122		1	2	0	R	H	
2123		1	2	0	R	H	

Table 1.194

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2124		1	2	0	R	H	
2125		1	2	0	R	H	
2126		1	2	0	R	H	
2127		1	2	0	R	H	
2128		1	2	0	R	H	
2129		1	2	0	R	H	
2130		2	2	1	-	H	
2131		2	2	1	-	H	
2132		1	2	0	R	H	
2133		1	2	0	R	H	
2134		1	2	0	R	H	

Table 1.195

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2135		1	2	0	R	H	
2136		1	2	0	R	H	
2137		1	2	0	R	H	
2138		1	2	0	R	H	
2139		1	2	0	R	H	
2140		2	2	1	-	H	
2141		2	2	1	-	H	
2142		2	2	1	-	H	
2143		2	2	1	-	H	
2144		2	2	1	-	H	
2145		2	2	1	-	H	

Table 1.196

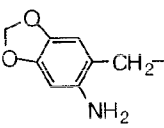
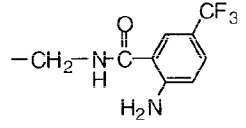
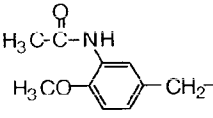
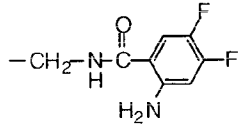
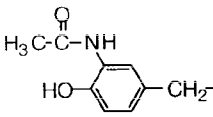
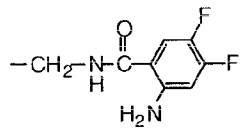
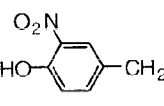
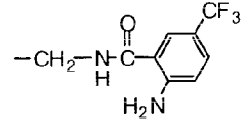
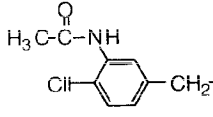
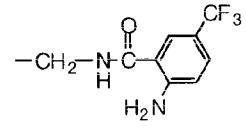
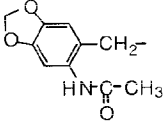
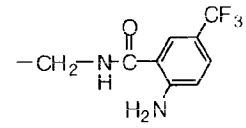
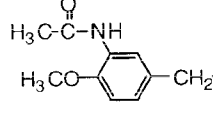
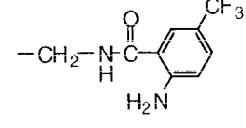
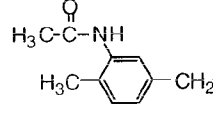
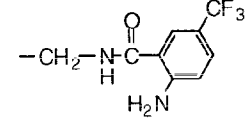
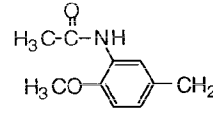
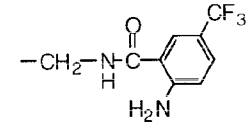
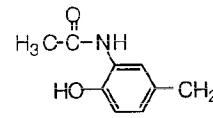
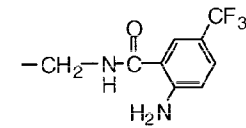
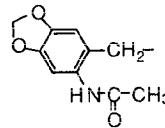
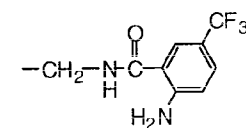
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2146		2	2	1	-	H	
2147		2	2	1	-	H	
2148		2	2	1	-	H	
2149		1	2	0	R	H	
2150		1	2	0	R	H	
2151		1	2	0	R	H	
2152		1	2	0	R	H	
2153		1	2	0	R	H	
2154		2	2	1	-	H	
2155		2	2	1	-	H	
2156		2	2	1	-	H	

Table 1.197

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2157		1	2	0	R	H	
2158		1	2	0	R	H	
2159		2	2	1	-	H	
2160		2	2	1	-	H	
2161		2	2	1	-	H	
2162		2	2	1	-	H	
2163		2	2	1	-	H	
2164		1	2	0	R	H	
2165		1	2	0	R	H	
2166		1	2	0	R	H	
2167		1	2	0	R	H	

Table 1.198

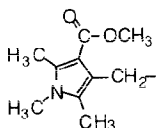
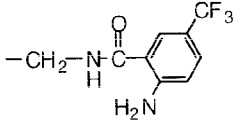
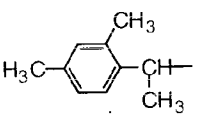
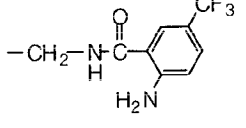
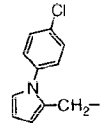
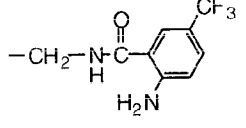
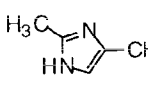
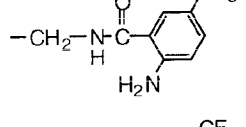
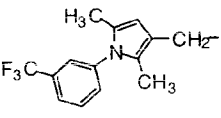
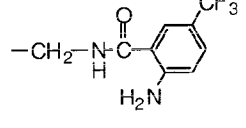
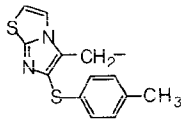
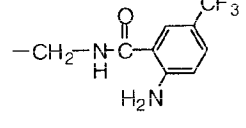
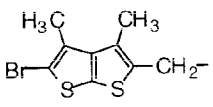
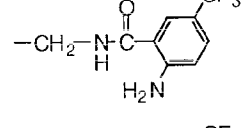
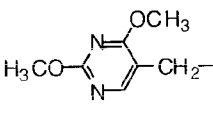
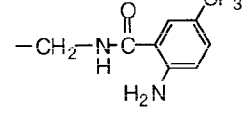
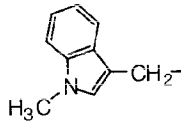
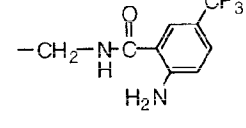
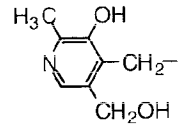
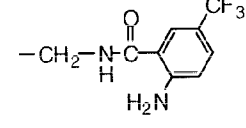
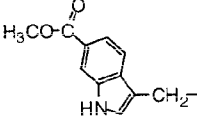
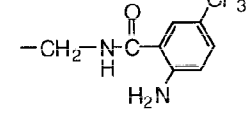
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q G-R^6$
2168		1	2	0	R	H	
2169		1	2	0	R	H	
2170		1	2	0	R	H	
2171		1	2	0	R	H	
2172		1	2	0	R	H	
2173		1	2	0	R	H	
2174		1	2	0	R	H	
2175		1	2	0	R	H	
2176		1	2	0	R	H	
2177		1	2	0	R	H	
2178		1	2	0	R	H	

Table 1.199

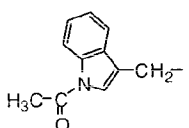
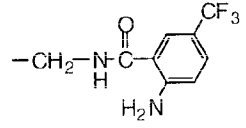
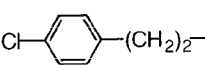
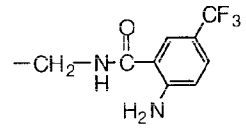
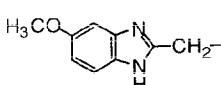
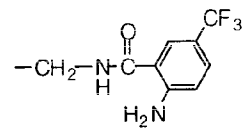
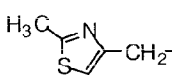
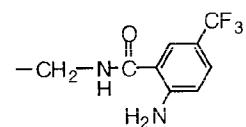
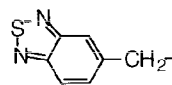
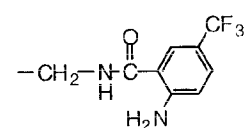
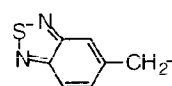
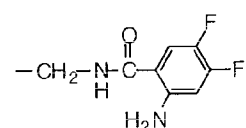
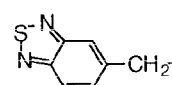
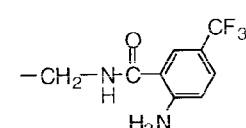
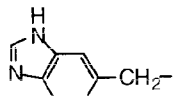
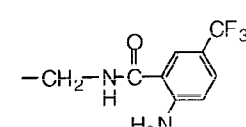
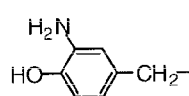
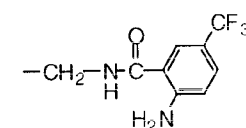
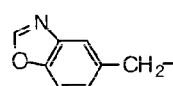
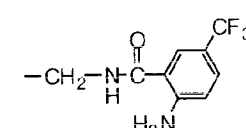
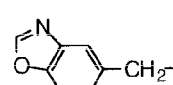
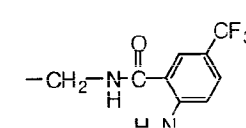
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{array}{c} R^4 \\ \\ R^5 \end{array} (CH_2)_q - G - R^6$
2179		1	2	0	R	H	
2180		1	2	0	R	H	
2181		1	2	0	R	H	
2182		1	2	0	R	H	
2183		1	2	0	R	H	
2184		2	2	1	-	H	
2185		2	2	1	-	H	
2186		2	2	1	-	H	
2187		1	2	0	R	H	
2188		2	2	1	-	H	
2189		1	2	0	R	H	

Table 1.200

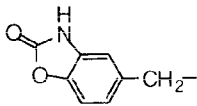
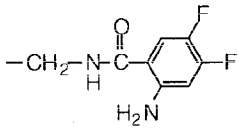
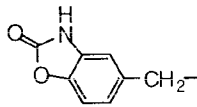
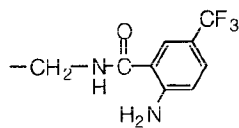
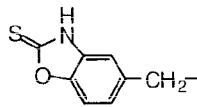
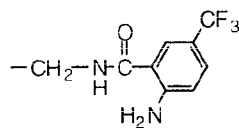
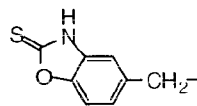
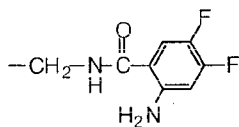
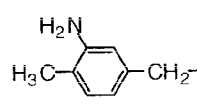
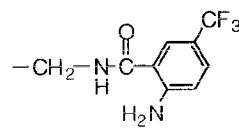
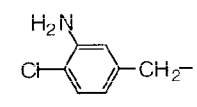
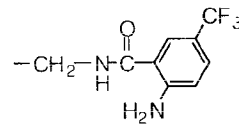
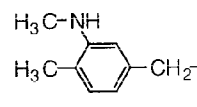
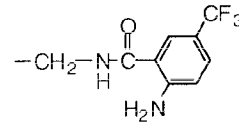
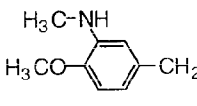
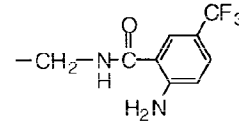
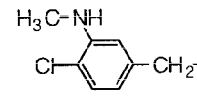
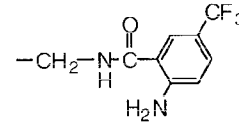
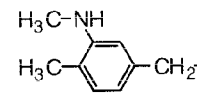
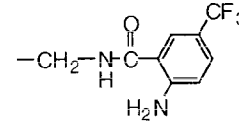
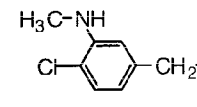
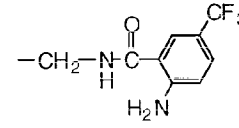
Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_l- \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{\overset{R^4}{ }}{\underset{\underset{R^5}{ }}{C}}-(CH_2)_q-G-R^6$
2190		2	2	1	-	H	
2191		2	2	1	-	H	
2192		2	2	1	-	H	
2193		2	2	1	-	H	
2194		2	2	1	-	H	
2195		2	2	1	-	H	
2196		1	2	0	R	H	
2197		1	2	0	R	H	
2198		1	2	0	R	H	
2199		2	2	1	-	H	
2200		2	2	1	-	H	

Table 1.201

Compd. No.	$\begin{array}{c} R^1 \\ \diagup \\ (CH_2)_j \\ \diagdown \\ R^2 \end{array}$	k	m	n	chirality	R^3	$-(CH_2)_p-\begin{array}{c} R^4 \\ \\ (CH_2)_q \\ \\ R^5 \end{array}-G-R^6$
2201		2	2	1	-	H	
2202		1	2	0	R	H	
2203		2	2	1	-	H	
2204		2	2	1	-	H	
2205		2	2	1	-	H	
2206		2	2	1	-	H	
2207		2	2	1	-	H	
2208		2	2	1	-	H	
2209		2	2	1	-	H	

The present invention can also use acid addition salt of the cyclic amine compound where such acids include, for example, mineral acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid, carbonic acid, and the like, as well as organic acids such as maleic acid, citric acid, 5 malic acid, tartaric acid, fumaric acid, methanesulfonic acid, trifluoroacetic acid, formic acid, and the like.

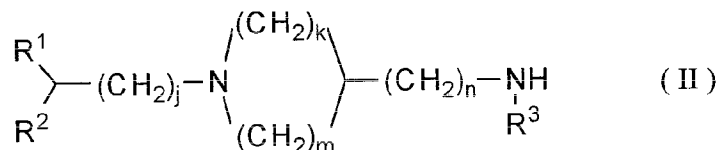
Furthermore, the present invention can also use a C₁-C₆ alkyl addition salt of the cyclic amine compound, such as 1-(4-chlorobenzyl)-1-methyl-4- 10 [{N-(3-trifluoromethylbenzoyl)glycyl}aminomethyl]piperidinium iodide, where such alkyl include, for example, a methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, n-heptyl, n-octyl, isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl, tert-pentyl, 2-methylpentyl, 1-ethylbutyl, and the like, suitably specifically including, a methyl and ethyl group. As preferred specific 15 examples for counter anion of the ammonium cation, a halide anion such as fluoride, chloride, bromide or iodide can be listed.

The present invention may use racemates and all possible optically active forms of the compound represented by the above formula (I).

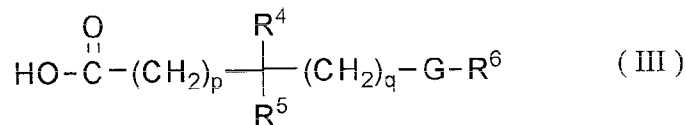
20 Compound represented by the above general formula (I) can be synthesized by any of the general preparations given below.

(Preparation 1)

A preparation which call for treating one equivalent of a compound 25 represented by the formula (II) below:



{where R¹, R², R³, j, k, m, and n are the same as defined respectively in the above formula (I)} with 0.1-10 equivalents of a carboxylic acid represented by 30 the formula (III) below:



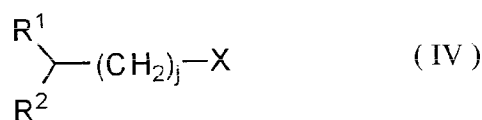
{where R^4 , R^5 , R^6 , G, p, and q are the same as defined respectively in the above formula (I)}, or its reactive derivative, either in the absence or presence of solvent.

The reactive derivative for the carboxylic acid in the above formula (III) include highly reactive carboxylic acid derivatives, which are usually used in synthetic organic chemistry, such as acid halides, acid anhydrides, mixed acid anhydrides.

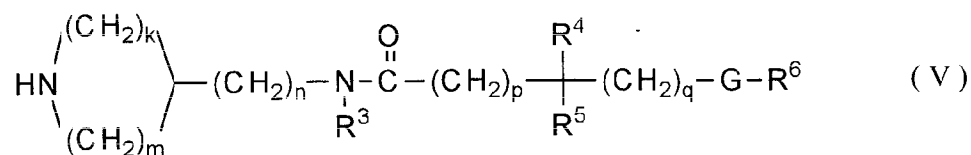
Such reactions can be more smoothly run by using suitable amounts of a dehydrating agent such as molecular sieve, coupling reagent such as dicyclohexylcarbodiimide (DCC), *N*-ethyl-*N'*-(3-dimethylaminopropyl)carbodiimide (EDCI or WSC), carbonyldiimidazole (CDI), *N*-hydroxysuccinimide (HOSu), *N*-hydroxybenzotriazole (HOBt), benzotriazol-1-yloxytris(pyrrolidino)phosphonium hexafluorophosphate (PyBOP[®]), 2-(1*H*-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HBTU), 2-(1*H*-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate (TBTU), 2-(5-norbornene-2,3-dicarboxyimido)-1,1,3,3-tetramethyluronium tetrafluoroborate (TNTU), *O*-(*N*-succinimidyl)-1,1,3,3-tetramethyluronium tetrafluoroborate (TSTU), bromotris(pyrrolidino)phosphonium hexafluorophosphate (PyBroP[®]), and the like, or base including inorganic salts such as potassium carbonate, sodium carbonate, sodium hydrogencarbonate, and the like, amines such as triethylamine, diisopropylethylamine, and pyridine, and the like, or polymer supported bases such as (piperidinomethyl)polystyrene, (morpholinomethyl)polystyrene, (diethylaminomethyl)polystyrene, poly(4-vinylpyridine), and the like.

(Preparation 2)

A preparation which calls for treating 1 equivalent of an alkylating reagent given by the formula (IV) below:



{where R^1 , R^2 , and j are the same as defined respectively in the above formula (I)}; X represents a halogen atom, alkylsulfonyloxy group, or arylsulfonyloxy group, with 0.1-10 equivalents of a compound represented by the formula (V) below:



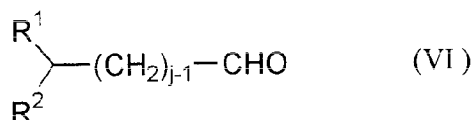
{where R^3 , R^4 , R^5 , R^6 , G , k , m , n , p , and q are the same as defined respectively in the above formula (I)} either in the absence or presence of solvent.

Such reactions can be more smoothly run if a base similar to that used
 5 in the above preparation 1 is present. In addition, the reactions in these preparations can also be promoted by iodide such as potassium iodide, sodium iodide, and the like.

In the above formulas (IV), X represents a halogen atom, alkylsulfonyloxy group, arylsulfonyloxy group. Such halogen atoms include preferably chlorine,
 10 bromine, and iodine atoms. Suitable specific examples for the alkylsulfonyloxy groups include methylsulfonyloxy, trifluoromethylsulfonyloxy group, and the like. A preferred specific example for the arylsulfonyloxy group includes a tosyloxy group.

15 (Preparation 3)

A preparation which calls for treating 1 equivalent of an aldehyde represented by the formula (VI) below:



20 {where R^1 and R^2 are the same as defined respectively in the above formula (I); j represents 1 or 2} or the formula (VII) below:



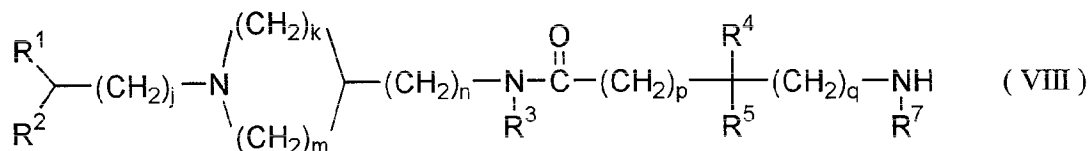
25 {where R^1 is the same as defined in the above formula (I); j represents 0}, with 0.1-10 equivalents of a compound represented by the formula (V) either in the absence or presence of solvent under reductive conditions.

Such reactions are in general called reductive amination reactions and such reductive conditions may be generated by catalytic hydrogenation using a
 30 catalyst containing a metal such as palladium, platinum, nickel, rhodium, or the like, using complex hydrides, such as lithium aluminum hydride, sodium borohydride, sodium cyanoborohydride, sodium triacetoxyborohydride, and the

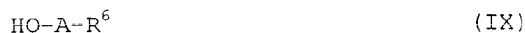
like, boranes, or electrolytic reduction, and the like.

(Preparation 4)

A preparation which call for treating one equivalent of a compound
5 represented by the formula (VIII) below:



{where R^1 , R^2 , R^3 , R^4 , R^5 , R^7 , j , k , m , n , p and q are the same as defined respectively
10 in the above formula (I)} with 0.1-10 equivalents of a carboxylic acid or sulfonic
acid represented by the formula (IX) below:



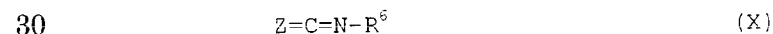
{where R^6 is the same as defined in the above formulas (I); "A" represents a
15 carbonyl group or sulfonyl group}, or its reactive derivative, either in the
absence or presence of solvent.

The reactive derivative for the carboxylic acid or sulfonic acid in the
above formula (IX) include highly reactive carboxylic acid or sulfonic acid
derivative, which are usually used in synthetic organic chemistry, such as acid
20 halides, acid anhydrides, mixed acid anhydrides.

Such reactions can be more smoothly run by using suitable amounts of a
dehydrating agent, coupling reagent, or base which are similar to those used
in the above preparation 1.

25 (Preparation 5)

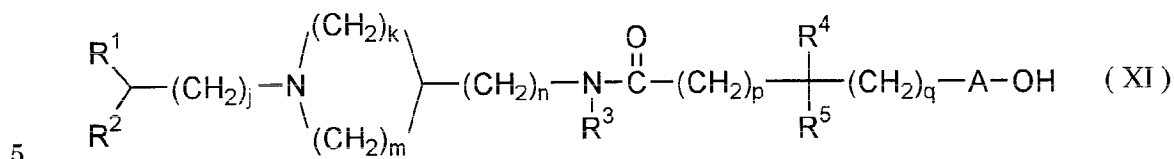
A preparation which calls for treating 1 equivalent of a compound
represented by the above formula (VIII) with 0.1-10 equivalents of a isocyanate
or isothiocyanate represented by the formula (X) below:



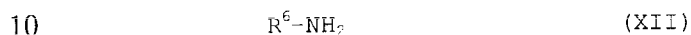
{where R^6 is the same as defined in the above formulas (I)}; Z represents a oxygen
atom or sulfur atom}, either in the absence or presence of solvent.

(Preparation 6)

A preparation which calls for treating 1 equivalent of a compound represented by the formula (XI) below:



{where R^1 , R^2 , R^3 , R^4 , R^5 , j , k , m , n , p and q are the same as defined respectively in the above formula (I)}; "A" represents a carbonyl group or sulfonyl group} with 0.1-10 equivalents of an amine represented by the formula (XII) below:



{where R^6 is the same as defined in the above formula (I)}, either in the absence or the presence of solvent.

15 Such reactions can be more smoothly run by using suitable amounts of a dehydrating agent, coupling reagent, or base which are similar to those used in the above preparation 1.

20 If the substrates submitted to each of the above preparations contains a substituent which reacts under each reaction condition or is thought to adversely affect the reaction in general in synthetic organic chemistry, that functional group can be protected by a known suitable protecting group followed by the reaction of the above preparations and deprotection using a known procedure to obtain the desired compound.

25 Furthermore, a compound of the present invention can be prepared by the further conversion of the substituent(s) of the compound, prepared with the above preparations 1-6, using known reactions which are usually used in synthetic organic chemistry, such as alkylation, acylation, reduction, and so on.

30 Each of the above preparations may use solvents for the reaction such as halogenated hydrocarbons such as dichloromethane, chloroform, and the like, aromatic hydrocarbons such as benzene, toluene, and the like, ethers such as diethyl ether, tetrahydrofuran, and the like, esters such as ethyl acetate, aprotic polar solvents such as dimethylformamide, dimethyl sulfoxide, acetonitrile, and the like, alcohols such as methanol, ethanol, isopropyl alcohol, and the like.

The reaction temperature in either of the preparations should be in the range of -78°C - $+150^{\circ}\text{C}$, preferably 0°C - 100°C . After completion of the reaction, the usual isolation and purification operations such as concentration, filtration, extraction, solid-phase extraction, recrystallization, chromatography, and the like may be used, to isolate the desired cyclic amine compound represented by the above formula (I). These can be converted into pharmaceutically acceptable acid addition salt or $\text{C}_1\text{-C}_6$ alkyl addition salt by the usual method.

10 Potential Industrial Utilities

The chemokine receptor antagonist, which contain the cyclic amine compound, its pharmaceutically acceptable acid addition salt or a pharmaceutically acceptable $\text{C}_1\text{-C}_6$ alkyl addition salt of this invention, which inhibits chemokines such as MIP-1 α and/or MCP-1 and the like from action on target cells, are useful as therapeutic agents and/or preventive preparation for diseases such as atherosclerosis, rheumatoid arthritis, psoriasis, asthma, ulcerative colitis, nephritis (nephropathy), multiple sclerosis, pulmonary fibrosis, myocarditis, hepatitis, pancreatitis, sarcoidosis, Crohn's disease, endometriosis, congestive heart failure, viral meningitis, cerebral infarction, neuropathy, Kawasaki disease, sepsis, and the like, in which tissue infiltration of blood monocytes, lymphocytes, and the like plays a major role in the initiation, progression, and maintenance of the disease.

Examples

The present invention is now specifically described by the following examples. However, the present invention is not limited to these compounds described in these examples. Compound numbers in these examples represent
 5 numbers attached to these compounds listed as suitable specific examples in Tables 1.1-1.201.

Reference Example 1: Preparation of 3-Amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride.

10 4-Chlorobenzyl chloride (4.15 g, 25.8 mmol) and ⁱPr₂NEt (6.67 g, 51.6 mmol) were added to a solution of 3-((tert-butoxycarbonyl)amino)pyrrolidine (4.81 g, 25.8 mmol) in DMF (50 mL). The reaction mixture was stirred at 70 °C for 15 h and the solvent was removed under reduced pressure. Recrystallization (CH₃CN, 50 mL) provided the desired material, 3-(tert-butoxycarbonyl)amino-1-(4-chlorobenzyl)pyrrolidine as a pale yellow solid (6.43 g, 80.2%): ¹H NMR (CDCl₃, 300 MHz) δ 1.37 (s, 9 H), 1.5-1.7 (br, 1 H), 2.1-2.4 (m, 2 H), 2.5-2.7 (m, 2 H), 2.83 (br, 1 H), 3.57 (s, 2 H), 4.1-4.3 (br, 1 H), 4.9-5.1 (br, 1 H), 7.15-7.35 (br, 4 H); The purity was determined by RPLC/MS (98%); ESI/MS m/e 311.0 (M⁺+H, C₁₆H₂₄ClN₂O₂).

20 A solution of 3-(tert-butoxycarbonyl)amino-1-(4-chlorobenzyl)pyrrolidine (6.38 g, 20.5 mmol) in CH₃OH (80 mL) was treated with 1 N HCl-Et₂O (100 mL) and was stirred at 25 °C for 15 h. The solvent was removed under reduced pressure to afford a solid which was purified by recrystallization (1:2 CH₃OH-CH₃CN, 150 mL) to give 3-amino-1-(4-chlorobenzyl)pyrrolidine
 25 dihydrochloride as a white powder (4.939 g, 84.9%): ¹H NMR (d₆-DMSO, 300 MHz) δ 3.15 (br, 1 H), 3.3-3.75 (br-m, 4 H), 3.9 (br, 1 H), 4.05 (br, 1 H), 4.44 (br, 1 H), 4.54 (br, 1 H), 7.5-7.7 (m, 4 H), 8.45 (br, 1 H), 8.60 (br, 1 H); The purity was determined by RPLC/MS (>99%); ESI/MS m/e 211.0 (M⁺+H, C₁₁H₁₆ClN₂).

30 Optically active (*R*)-3-amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride and (*S*)-3-amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride were also prepared pursuant to the above method using the corresponding reactant respectively. The products showed the same ¹H NMR with that of the racemate.

35 **Example 1: Preparation of 3-(*N*-Benzoylglycyl)amino-1-(4-chlorobenzyl)pyrrolidine (Compound No. 1).**

N-Benzoylglycine (9.9 mg, 0.055 mmol), 3-ethyl-1-(3-(dimethylaminopropyl)carbodiimide hydrochloride (EDCI) (10.5 mg) and 1-

hydroxybenzotriazole hydrate (HOBt) (7.4 mg) were added to a solution of 3-amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride (14.2 mg, 0.050 mmol) and Et₃N (15.2 mg) in CHCl₃ (2.5 mL). The reaction mixture was stirred at 25 °C for 16 h, washed with 2 N aqueous NaOH (2 mL x 2) and brine (1 mL). After filtration through a PTFE membrane filter, the solvent was removed under reduced pressure to afford 3-(N-benzoylglycyl)amino-1-(4-chlorobenzyl)pyrrolidine (compound No. 1) as a pale yellow oil (17.7 mg, 95%): The purity was determined by RPLC/MS (95%); ESI/MS m/e 372.0 (M⁺+H, C₂₀H₂₂ClN₃O₂).

Examples 2-32.

The compounds of this invention were synthesized pursuant to methods of Example 1 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 2.

Table 2

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 2	2	C21 H24 Cl N3 O2	386	16.4	85
Example 3	3	C19 H21 Cl N4 O2	373	18.7	100
Example 4	4	C21 H21 Cl F3 N3 O2	440	57.2	69
Example 5	82	C22 H23 Cl F3 N3 O2	454	5.6	11
Example 6	85	C21 H24 Cl N3 O2	386	22.6	59
Example 7	86	C21 H23 Cl N4 O4	431	21.2	98
Example 8	214	C22 H25 Cl N2 O2	385	23.9	62
Example 9	215	C23 H27 Cl N2 O3	415	17.4	84
Example 10	216	C20 H23 Cl N2 O2 S	391	21.6	quant
Example 11	217	C23 H27 Cl N2 O4	431	15.3	66
Example 12	218	C23 H27 Cl N2 O2	399	12.8	64
Example 13	219	C22 H24 Cl F N2 O3	419	18.1	86
Example 14	220	C22 H25 Cl N2 O2	385	16.4	85
Example 15	221	C21 H23 Cl N2 O2	371	14.9	80
Example 16	222	C21 H22 Cl2 N2 O2	405	13.3	65
Example 17	223	C25 H31 Cl N2 O3	443	18.4*	63
Example 18	224	C20 H23 Cl N2 O3 S	407	11.2	28
Example 19	225	C22 H26 Cl N3 O2	400	22.7	quant
Example 20	226	C23 H28 Cl N3 O3	430	21.0	98
Example 21	227	C22 H25 Cl2 N3 O2	434	21.9	100
Example 22	228	C23 H28 Cl N3 O3	430	20.8	97

Example 23	229	C25 H32 Cl N3 O2	462	25.4	quant
Example 24	230	C26 H31 Cl F N3 O2	472	26.0	quant
Example 25	231	C24 H28 Cl N3 O3	442	30.3*	quant
Example 26	232	C22 H32 Cl N3 O2	406	3.9	19
Example 27	233	C23 H28 Cl N3 O2	414	8.5	41
Example 28	234	C22 H27 Cl N4 O2	415	7.3	35
Example 29	235	C24 H29 Cl2 N3 O2	462	9.0	39
Example 30	236	C25 H29 Cl N4 O3 S	501	17.4	69
Example 31	237	C21 H24 Cl N3 O3	402	14.2	71
Example 32	238	C21 H23 Cl2 N3 O3	436	23.4	quant

*Yield of TFA salt.

Reference Example 2: Preparation of (R)-3-{N-(tert-butoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl)pyrrolidine.

5 A mixture of (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride (4.54 g, 16.0 mmol), 2 N NaOH solution (80 mL), and ethyl acetate (80 mL) was shaken, the organic layer was separated, and the aqueous layer was extracted with ethyl acetate (80 mL x 2). The combined organic layers were dried over anhydrous sodium sulfate, filtered, and evaporated to give free (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine (3.35 g, 99%).

10 A solution of (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine (3.35 g, 16 mmol) in CH₂Cl₂ (80 mL) was treated with Et₃N (2.5 mL, 17.6 mmol), N-tert-butoxycarbonylglycine (2.79 g, 16.0 mmol), EDCI (3.07 g, 16.0 mmol) and HOBT (2.16 g, 16 mmol). After the reaction mixture was stirred at 25 °C for 16 h, 2 N NaOH solution (80 mL) was added. The organic layer was separated, and the aqueous layer was extracted with dichloromethane (100 mL x 3). The combined organic layer was washed with water (100 mL x 2) and brine (100 mL), dried over anhydrous sodium sulfate, filtered, and concentrated. Column chromatography (SiO₂, ethyl acetate) afforded the desired (R)-3-{N-(tert-butoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl)pyrrolidine (5.40 g, 92%).

Reference Example 3: Preparation of (R)-1-(4-Chlorobenzyl)-3-(glycylamino)pyrrolidine.

25 To a solution of (R)-3-{N-(tert-butoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl)pyrrolidine (5.39 g, 14.7 mmol) in methanol (60 mL) was added 4 N HCl in dioxane (38 mL). The solution was stirred at room temperature for 2 h. The reaction mixture was concentrated and 2 N NaOH solution (80 mL) was added. The mixture was extracted with dichloromethane (80 mL x 3), and the combined

extracts were dried over sodium sulfate and concentrated. Column chromatography (SiO₂, AcOEt/EtOH/Et₃N = 90/5/5) gave (R)-3-(glycylamino)-1-(4-chlorobenzyl)pyrrolidine (3.374 g, 86%): ¹H NMR (CDCl₃, 270 MHz) δ 1.77 (dd, J = 1.3 and 6.9 Hz, 1 H), 2.20-3.39 (m, 2 H), 2.53 (dd, J = 3.3 and 9.6 Hz, 1 H), 2.62 (dd, J = 6.6 and 9.6 Hz, 1 H), 2.78-2.87 (m, 1 H), 3.31 (s, 2 H), 3.57 (s, 2 H), 4.38-4.53 (br, 1 H), 7.18-7.32 (m, 4 H), 7.39 (br. s, 1 H).

Other 3-acylamino-1-(4-chlorobenzyl)pyrrolidines were also synthesized pursuant to methods of Reference Example 2 and 3 using the corresponding reactants respectively.

(S)-1-(4-Chlorobenzyl)-3-(glycylamino)pyrrolidine: 3.45 g, 79% (2 steps).

(R)-3-(β-Alanylamino)-1-(4-chlorobenzyl)pyrrolidine: 3.79 g, 85% (2 steps).

(S)-3-(β-Alanylamino)-1-(4-chlorobenzyl)pyrrolidine: 3.72 g, 86% (2 steps).

(R)-3-[(S)-Alanylamino]-1-(4-chlorobenzyl)pyrrolidine: 368 mg, 65% (2 steps).

(R)-3-[(R)-Alanylamino]-1-(4-chlorobenzyl)pyrrolidine: 425 mg, 75% (2 steps).

(R)-3-[(2S)-2-Amino-3-thienylpropanoyl]amino-1-(4-chlorobenzyl)pyrrolidine: 566 mg, 78% (2 steps).

(R)-3-[(2R)-2-Amino-3-thienylpropanoyl]amino-1-(4-chlorobenzyl)pyrrolidine: 585 mg, 81% (2 steps).

(R)-3-(2-Amino-2-methylpropanoyl)amino-1-(4-chlorobenzyl)pyrrolidine: 404 mg, 66% (2 steps).

(R)-3-[(2S)-2-Amino-4-(methylsulfonyl)butanoyl]amino-1-(4-chlorobenzyl)pyrrolidine: 535 mg, 72% (2 steps).

Furthermore (R)-3-(glycylamino)-1-(4-methylbenzyl)pyrrolidine, (R)-1-(4-bromobenzyl)-3-(glycylamino)pyrrolidine, (R)-1-(2,4-dimethylbenzyl)-3-(glycylamino)pyrrolidine, and (R)-1-(3,5-dimethylisoxazol-4-ylmethyl)-3-(glycylamino)pyrrolidine were also synthesized pursuant to methods of Reference Example 1, 2 and 3 using the corresponding reactants respectively.

(R)-3-(Glycylamino)-1-(4-methylbenzyl)pyrrolidine: 4.65 g, 62% yield from 3-[(tert-butoxycarbonyl)amino]pyrrolidine.

(R)-1-(4-Bromobenzyl)-3-(glycylamino)pyrrolidine: 2.55 g, 68% yield from (R)-3-amino-1-(4-bromobenzyl)pyrrolidine; ¹H NMR (CDCl₃, 270 MHz) δ

1.37-1.78 (m, 3 H), 2.23-2.39 (m, 2 H), 2.50-2.67 (m, 2 H), 2.80-2.89 (m, 1 H), 3.32 (s, 2 H), 3.58 (s, 2 H), 4.39-4.55 (m, 1 H), 7.21 (d, J = 6.5 Hz, 2 H), 7.45 (d, J = 6.5 Hz, 2 H).

(R)-1-(2,4-Dimethylbenzyl)-3-(glycylamino)pyrrolidine: 1.56 g, 58%
 5 yield from 3-((tert-butoxycarbonyl)amino)pyrrolidine; ^1H NMR (CDCl_3 , 270 MHz) δ 1.55-1.78 (m, 3 H), 2.30 (s, 3 H), 2.23-2.31 (m, 2 H), 2.33 (s, 3 H), 2.51-2.63 (m, 2 H), 2.78-2.87 (m, 1 H), 3.30 (s, 2 H), 3.55 (s, 2 H), 4.38-4.60 (m, 1 H), 6.95 (d, J = 7.6 Hz, 1 H), 6.97 (s, 1 H), 7.13 (d, J = 7.6 Hz, 1 H), 7.43 (br-s, 1 H).

10 (R)-1-(3,5-Dimethylisoxazol-4-ylmethyl)-3-(glycylamino)pyrrolidine: 3.14 g, 45% yield from 3-((tert-butoxycarbonyl)amino)pyrrolidine.

Example 33: Preparation of (S)-3-[N-{3,5-Bis(trifluoromethyl)benzoyl}glycyl]amino-1-(4-chlorobenzyl)pyrrolidine
 15 (Compound No. 5).

A solution of 3,5-bis(trifluoromethyl)benzoyl chloride (0.060 mmol) in chloroform (0.4 mL) was added to a solution of (S)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine (0.050 mmol) and triethylamine (0.070 mmol) in chloroform (1.0 mL). After the reaction mixture was agitated at room temperature
 20 for 2.5 h, (aminomethyl)polystyrene resin (1.04 mmol/g, 50 mg, 50 mmol) was added and the mixture was agitated at room temperature for 12 h. The reaction mixture was filtered and the resin was washed with dichloromethane (0.5 mL). The filtrate and washing were combined, dichloromethane (4 mL) was added, and the solution was washed with 2 N aqueous NaOH solution (0.5 mL) to give (S)-3-[N-{3,5-bis(trifluoromethyl)benzoyl}glycyl]amino-1-(4-chlorobenzyl)pyrrolidine
 25 (compound No. 5) (14.4 mg, 57%): The purity was determined by RPLC/MS (97%); ESI/MS m/e 508.0 (M^+H , $\text{C}_{22}\text{H}_{20}\text{ClF}_6\text{N}_3\text{O}_2$).

Examples 34-239.

30 The compounds of this invention were synthesized pursuant to methods of Example 33 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 3.

Table 3

35

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 34	5	$\text{C}_{22}\text{H}_{20}\text{ClF}_6\text{N}_3\text{O}_2$	508.0	14.4	57

Example 35	6	$C_{21}H_{21}ClF_3N_3O_2$	440.0	17.0	77
Example 36	7	$C_{20}H_{21}BrClN_3O_2$	450.0	17.7	79
Example 37	8	$C_{20}H_{21}ClFN_3O_2$	390.0	12.7	65
Example 38	9	$C_{20}H_{20}Cl_3N_3O_2$	440.0	39.0	quant
Example 39	10	$C_{21}H_{24}ClN_3O_3$	402.5	23.5	quant
Example 40	11	$C_{22}H_{26}ClN_3O_4$	432.5	22.4	quant
Example 41	12	$C_{22}H_{26}ClN_3O_4$	432.5	15.9	74
Example 42	13	$C_{21}H_{21}ClF_3N_3O_2$	440.0	13.1	60
Example 43	14	$C_{21}H_{24}ClN_3O_2$	386.0	16.4	85
Example 44	15	$C_{20}H_{21}Cl_2N_3O_2$	406.0	15.7	77
Example 45	16	$C_{21}H_{24}ClN_3O_2$	402.0	28.2	quant
Example 46	17	$C_{20}H_{20}Cl_3N_3O_2$	442.0	35.6	quant
Example 47	18	$C_{21}H_{21}ClN_4O_2$	397.5	22.8	quant
Example 48	19	$C_{21}H_{22}ClN_3O_4$	416.0	16.3	78
Example 49	20	$C_{21}H_{20}ClF_4N_3O_2$	458.0	24.9	quant
Example 50	21	$C_{21}H_{20}ClF_4N_3O_2$	458.0	17.9	78
Example 51	22	$C_{21}H_{20}ClF_4N_3O_2$	458.0	9.4	41
Example 52	23	$C_{21}H_{20}ClF_4N_3O_2$	458.0	15.4	67
Example 53	24	$C_{21}H_{21}ClF_3N_3O_3$	456.0	20.7	91
Example 54	25	$C_{21}H_{20}ClF_4N_3O_2$	458.0	18.5	81
Example 55	26	$C_{20}H_{21}ClN_4O_4$	417.0	21.9	quant
Example 56	27	$C_{20}H_{21}ClN_4O_4$	417.0	16.8	81
Example 57	28	$C_{20}H_{21}ClN_4O_4$	417.0	6.8	33
Example 58	29	$C_{22}H_{26}ClF_6N_3O_2$	508.0	20.8	82
Example 59	30	$C_{21}H_{21}ClF_3N_3O_2$	440.0	15.2	69
Example 60	31	$C_{20}H_{21}BrClN_3O_2$	450.0	15.6	69
Example 61	32	$C_{20}H_{21}ClFN_3O_2$	390.0	11.8	61
Example 62	33	$C_{20}H_{20}Cl_3N_3O_2$	440.0	15.8	72
Example 63	34	$C_{21}H_{24}ClN_3O_3$	402.5	33.8	quant
Example 64	35	$C_{22}H_{26}ClN_3O_4$	432.5	56.1	quant
Example 65	36	$C_{22}H_{26}ClN_3O_4$	432.5	37.6	quant
Example 66	37	$C_{21}H_{21}ClF_3N_3O_2$	440.0	12.6	57
Example 67	38	$C_{21}H_{24}ClN_3O_2$	386.0	12.3	64
Example 68	39	$C_{20}H_{21}Cl_2N_3O_2$	406.0	15.9	78
Example 69	40	$C_{21}H_{24}ClN_3O_2$	402.0	11.6	58
Example 70	41	$C_{20}H_{20}Cl_3N_3O_2$	442.0	17.8	81
Example 71	42	$C_{21}H_{21}ClN_4O_2$	397.5	22.4	quant
Example 72	43	$C_{21}H_{22}ClN_3O_4$	416.0	30.1	quant
Example 73	44	$C_{21}H_{20}ClF_4N_3O_2$	458.0	13.4	59
Example 74	45	$C_{21}H_{20}ClF_4N_3O_2$	458.0	13.2	58

Example 75	46	$C_{21}H_{20}ClF_4N_3O_2$	458.0	14.4	63
Example 76	47	$C_{21}H_{21}ClF_3N_3O_3$	456.0	16.4	72
Example 77	48	$C_{21}H_{20}ClF_4N_3O_2$	458	16.5	72
Example 78	49	$C_{20}H_{21}ClN_4O_4$	417.0	12.5	60
Example 79	50	$C_{21}H_{20}ClF_4N_3O_2$	458.0	26.3	quant
Example 80	51	$C_{20}H_{21}BrClN_3O_2$	450.0	8.6	38
Example 81	52	$C_{20}H_{21}ClFN_3O_2$	390.5	4.1	21
Example 82	53	$C_{20}H_{21}Cl_2N_3O_2$	406.0	5.4	27
Example 83	54	$C_{20}H_{20}Cl_3N_3O_2$	440.0	8.8	40
Example 84	55	$C_{20}H_{20}BrCl_4N_3O_2$	440.0	7.7	35
Example 85	56	$C_{21}H_{24}ClN_3O_2$	386.0	4.8	25
Example 86	57	$C_{22}H_{26}ClN_3O_4$	429.5	4.9	23
Example 87	58	$C_{20}H_{21}Cl_2N_3O_2$	406.0	4.1	20
Example 88	59	$C_{20}H_{21}BrClN_3O_2$	452.0	3.5	16
Example 89	60	$C_{26}H_{26}ClN_3O_2$	448.5	7.3	33
Example 90	61	$C_{21}H_{21}ClF_3N_3O_2$	440.0	7.1	32
Example 91	62	$C_{21}H_{24}ClN_3O_2$	386.0	10.4	54
Example 92	63	$C_{22}H_{26}ClN_3O_2$	400.5	6.0	30
Example 93	64	$C_{21}H_{21}ClN_4O_2$	397.0	7.0	35
Example 94	65	$C_{24}H_{24}ClN_3O_2$	422.0	7.7	36
Example 95	66	$C_{24}H_{24}ClN_3O_2$	422.0	6.3	30
Example 96	67	$C_{20}H_{20}ClF_2N_3O_2$	408.0	4.7	23
Example 97	68	$C_{20}H_{20}ClF_2N_3O_2$	408.0	7.8	38
Example 98	69	$C_{20}H_{20}ClF_2N_3O_2$	408.0	7.3	36
Example 99	70	$C_{20}H_{20}ClF_2N_3O_2$	408.0	9.1	45
Example 100	71	$C_{22}H_{26}ClN_3O_4$	429.0	5.6	26
Example 101	72	$C_{21}H_{21}ClF_3N_3O_2$	456.0	6.2	27
Example 102	73	$C_{21}H_{21}ClF_3N_3O_2$	456.5	16.8	74
Example 103	74	$C_{22}H_{24}ClN_3O_4$	430.0	16.4	76
Example 104	75	$C_{21}H_{20}ClF_4N_3O_2$	458.0	16.1	70
Example 105	76	$C_{21}H_{20}ClF_4N_3O_2$	458.0	17.0	74
Example 106	77	$C_{20}H_{16}ClF_3N_3O_2$	426.0	16.2	76
Example 107	78	$C_{20}H_{15}ClF_3N_3O_2$	426.0	18.0	85
Example 108	79	$C_{22}H_{20}ClF_6N_3O_2$	508.0	18.8	74
Example 109	80	$C_{22}H_{20}ClF_6N_3O_2$	508.0	16.4	65
Example 110	81	$C_{22}H_{26}ClN_3O_2$	400.0	13.9	70
Example 111	83	$C_{20}H_{21}ClN_4O_4$	417.0	16.0	77
Example 112	84	$C_{20}H_{21}ClN_4O_4$	417.0	21.6	quant
Example 113	87	$C_{23}H_{22}ClF_6N_3O_2$	522.0	17.5	67
Example 114	88	$C_{22}H_{22}ClF_3N_3O_2$	454.0	13.9	61

Example 115	89	$C_{21}H_{23}BrClN_3O_2$	466.0	15.4	66
Example 116	90	$C_{21}H_{23}ClFN_3O_2$	404.0	10.7	53
Example 117	91	$C_{21}H_{22}Cl_3N_3O_2$	456.0	13.7	60
Example 118	92	$C_{22}H_{26}ClN_3O_3$	416.0	38.4	quant
Example 119	93	$C_{23}H_{28}ClN_3O_4$	446.0	25.2	quant
Example 120	94	$C_{23}H_{23}ClN_3O_4$	446.0	16.5	74
Example 121	95	$C_{22}H_{23}ClF_3N_3O_2$	454.0	16.3	72
Example 122	96	$C_{22}H_{26}ClN_3O_2$	400.5	16.7	84
Example 123	97	$C_{21}H_{23}Cl_2N_3O_2$	420.0	11.2	53
Example 124	98	$C_{22}H_{26}ClN_3O_2$	416.5	11.8	57
Example 125	99	$C_{21}H_{22}Cl_3N_3O_2$	454.0	14.8	65
Example 126	100	$C_{22}H_{23}ClN_4O_2$	411.0	9.5	46
Example 127	101	$C_{22}H_{24}ClN_3O_4$	430.5	13.2	61
Example 128	102	$C_{22}H_{22}ClF_4N_3O_2$	472.0	13.1	56
Example 129	103	$C_{22}H_{22}ClF_4N_3O_2$	472.0	36.5	quant
Example 130	104	$C_{22}H_{22}ClF_4N_3O_2$	472.0	22.8	97
Example 131	105	$C_{22}H_{22}ClF_4N_3O_2$	472.0	20.1	85
Example 132	106	$C_{22}H_{23}ClF_3N_3O_3$	470.0	27.4	quant
Example 133	107	$C_{22}H_{22}ClF_4N_3O_2$	472.0	18.5	78
Example 134	108	$C_{21}H_{23}ClN_4O_4$	431.0	11.9	55
Example 135	109	$C_{21}H_{23}ClN_4O_4$	431.0	23.9	quant
Example 136	110	$C_{21}H_{23}ClN_4O_4$	431.0	24.4	quant
Example 137	111	$C_{23}H_{22}ClF_5N_3O_2$	522.0	9.5	36
Example 138	112	$C_{22}H_{23}ClF_3N_3O_2$	454.0	3.9	17
Example 139	113	$C_{21}H_{23}BrClN_3O_2$	466.0	7.5	32
Example 140	114	$C_{21}H_{23}ClFN_3O_2$	404.0	6.1	30
Example 141	115	$C_{21}H_{22}Cl_3N_3O_2$	456.0	6.6	29
Example 142	116	$C_{22}H_{26}ClN_3O_3$	416.0	4.8	23
Example 143	117	$C_{23}H_{28}ClN_3O_4$	446.0	6.4	29
Example 144	118	$C_{23}H_{28}ClN_3O_4$	446.0	24.6	quant
Example 145	119	$C_{22}H_{23}ClF_3N_3O_2$	454.0	5.2	23
Example 146	120	$C_{22}H_{26}ClN_3O_2$	400.5	4.4	22
Example 147	121	$C_{21}H_{23}Cl_2N_3O_2$	420.0	7.8	37
Example 148	122	$C_{22}H_{26}ClN_3O_2$	416.5	14.1	68
Example 149	123	$C_{21}H_{22}Cl_3N_3O_2$	454.0	5.4	24
Example 150	124	$C_{22}H_{23}ClN_4O_2$	411.0	34.0	quant
Example 151	125	$C_{22}H_{24}ClN_3O_4$	430.5	32.0	quant
Example 152	126	$C_{22}H_{22}ClF_4N_3O_2$	472.0	4.6	19
Example 153	127	$C_{22}H_{22}ClF_4N_3O_2$	472.0	10.4	44
Example 154	128	$C_{22}H_{22}ClF_4N_3O_2$	472.0	7.3	31

Example 155	129	$C_{22}H_{22}ClF_4N_3O_2$	472.0	13.5	57
Example 156	130	$C_{22}H_{23}ClF_3N_3O_3$	470.0	15.1	64
Example 157	131	$C_{22}H_{22}ClF_4N_3O_2$	472.0	8.6	36
Example 158	132	$C_{21}H_{23}ClN_4O_4$	431.0	4.4	20
Example 159	133	$C_{21}H_{23}ClN_4O_4$	431.0	32.0	quant
Example 160	134	$C_{21}H_{23}ClN_4O_4$	431.0	6.9	32
Example 161	135	$C_{21}H_{23}BrClN_3O_2$	466.0	7.8	34
Example 162	136	$C_{21}H_{23}ClFN_3O_2$	404.0	13.7	68
Example 163	137	$C_{21}H_{23}Cl_2N_3O_2$	420.5	14.6	69
Example 164	138	$C_{21}H_{22}Cl_3N_3O_2$	454.0	17.7	78
Example 165	139	$C_{21}H_{22}BrCl_4N_3O_2$	454.0	17.2	76
Example 166	140	$C_{22}H_{26}ClN_3O_2$	400.0	15.0	75
Example 167	141	$C_{23}H_{28}ClN_3O_4$	443.5	13.9	62
Example 168	142	$C_{21}H_{23}Cl_2N_3O_2$	420.0	13.7	65
Example 169	143	$C_{21}H_{23}BrClN_3O_2$	464.0	16.1	69
Example 170	144	$C_{27}H_{28}ClN_3O_2$	462.0	17.6	76
Example 171	145	$C_{22}H_{23}ClF_3N_3O_2$	454.0	16.0	71
Example 172	146	$C_{22}H_{26}ClN_3O_2$	400.0	14.9	75
Example 173	147	$C_{23}H_{28}ClN_3O_2$	414.0	16.2	78
Example 174	148	$C_{22}H_{23}ClN_4O_2$	411.0	14.9	73
Example 175	149	$C_{25}H_{26}ClN_3O_2$	436.0	17.1	78
Example 176	150	$C_{25}H_{26}ClN_3O_2$	436.0	13.1	60
Example 177	151	$C_{21}H_{22}ClF_2N_3O_2$	422.0	14.8	70
Example 178	152	$C_{21}H_{22}ClF_2N_3O_2$	422.0	15.3	73
Example 179	153	$C_{21}H_{22}ClF_2N_3O_2$	422.0	15.3	73
Example 180	154	$C_{21}H_{22}ClF_2N_3O_2$	422.0	16.4	78
Example 181	155	$C_{23}H_{28}ClN_3O_4$	443.0	16.9	76
Example 182	156	$C_{22}H_{23}ClF_3N_3O_2$	470.5	12.6	54
Example 183	157	$C_{22}H_{23}ClF_3N_3O_2$	470.0	20.0	85
Example 184	158	$C_{23}H_{26}ClN_3O_4$	444.0	17.4	78
Example 185	159	$C_{22}H_{22}ClF_4N_3O_2$	472.0	18.4	78
Example 186	160	$C_{22}H_{22}ClF_4N_3O_2$	472.0	19.6	83
Example 187	161	$C_{21}H_{21}ClF_3N_3O_7$	440.0	17.0	77
Example 188	162	$C_{21}H_{21}ClF_3N_3O_2$	440.0	17.1	78
Example 189	163	$C_{23}H_{22}ClF_6N_3O_2$	522.0	20.8	80
Example 190	164	$C_{23}H_{22}ClF_6N_3O_2$	522.0	2.7	10
Example 191	165	$C_{23}H_{28}ClN_3O_2$	414.0	16.4	79
Example 192	166	$C_{22}H_{23}ClF_3N_3O_2$	454.0	8.6	38
Example 193	167	$C_{21}H_{23}BrClN_3O_2$	464.0	11.6	50
Example 194	168	$C_{21}H_{23}Cl_2N_3O_2$	420.0	11.5	55

Example 195	169	$C_{21}H_{22}Cl_3N_3O_2$	454.0	10.0	44
Example 196	170	$C_{22}H_{22}ClF_4N_3O_2$	472.0	10.4	44
Example 197	171	$C_{21}H_{23}Cl_2N_3O_2$	420.0	8.9	42
Example 198	172	$C_{21}H_{24}ClN_3O_2$	386.0	10.3	53
Example 199	173	$C_{21}H_{23}ClN_4O_4$	431.0	14.6	68
Example 200	174	$C_{22}H_{23}ClF_3N_3O_2$	454.0	10.4	46
Example 201	175	$C_{21}H_{23}BrClN_3O_2$	464.0	13.4	58
Example 202	176	$C_{21}H_{23}Cl_2N_3O_2$	420.0	12.7	60
Example 203	177	$C_{21}H_{22}Cl_3N_3O_2$	454.0	13.2	58
Example 204	178	$C_{22}H_{22}ClF_4N_3O_2$	472.0	12.9	55
Example 205	179	$C_{21}H_{23}Cl_2N_3O_2$	420.0	13.3	63
Example 206	180	$C_{21}H_{24}ClN_3O_2$	386.0	24.2	quant
Example 207	181	$C_{21}H_{23}ClN_4O_4$	431.0	1.0	1
Example 208	182	$C_{23}H_{25}ClF_3N_3O_2$	468.0	15.1	65
Example 209	183	$C_{22}H_{25}BrClN_3O_2$	478.0	18.0	75
Example 210	184	$C_{22}H_{25}Cl_2N_3O_2$	434.0	16.3	75
Example 211	185	$C_{22}H_{24}Cl_3N_3O_2$	468.0	18.6	79
Example 212	186	$C_{23}H_{24}ClF_4N_3O_2$	486.0	16.5	68
Example 213	187	$C_{22}H_{25}Cl_3N_3O_2$	434.0	14.4	66
Example 214	188	$C_{22}H_{26}ClN_3O_2$	400.0	14.0	70
Example 215	189	$C_{22}H_{25}ClN_4O_4$	445.0	16.8	76
Example 216	190	$C_{26}H_{25}ClF_3N_3O_2S$	536.0	17.7	66
Example 217	191	$C_{25}H_{25}BrClN_3O_2S$	546.0	20.4	75
Example 218	192	$C_{25}H_{25}Cl_2N_3O_2S$	502.0	16.9	67
Example 219	193	$C_{25}H_{24}Cl_3N_3O_2S$	536.0	18.3	68
Example 220	194	$C_{26}H_{24}ClF_4N_3O_2S$	554.0	19.4	70
Example 221	195	$C_{25}H_{25}Cl_2N_3O_2S$	502.0	19.1	76
Example 222	196	$C_{25}H_{26}ClN_3O_2S$	468.0	16.0	68
Example 223	197	$C_{25}H_{25}ClN_4O_4S$	513.0	18.4	72
Example 224	198	$C_{26}H_{25}ClF_3N_3O_2S$	536.0	13.9	52
Example 225	199	$C_{25}H_{25}BrClN_3O_2S$	546.0	12.9	47
Example 226	200	$C_{25}H_{25}Cl_2N_3O_2S$	502.0	15.6	62
Example 227	201	$C_{25}H_{24}Cl_3N_3O_2S$	536.0	17.3	64
Example 228	202	$C_{26}H_{24}ClF_4N_3O_2S$	554.0	15.4	56
Example 229	203	$C_{25}H_{25}Cl_2N_3O_2S$	502.0	13.5	54
Example 230	204	$C_{25}H_{26}ClN_3O_2S$	468.0	13.7	59
Example 231	205	$C_{25}H_{25}ClN_4O_4S$	513.0	13.9	54
Example 232	206	$C_{24}H_{27}ClF_3N_3O_4S$	546.0	10.0	37
Example 233	207	$C_{23}H_{27}BrClN_3O_4S$	558.0	17.1	61
Example 234	208	$C_{23}H_{27}Cl_2N_3O_4S$	512.0	17.0	66

Example 235	209	C ₂₃ H ₂₅ Cl ₃ N ₃ O ₄ S	546.0	7.3	27
Example 236	210	C ₂₄ H ₂₆ ClF ₄ N ₃ O ₄ S	564.0	19.2	68
Example 237	211	C ₂₃ H ₂₇ Cl ₂ N ₃ O ₄ S	512.0	7.9	31
Example 238	212	C ₂₃ H ₂₈ ClN ₃ O ₄ S	478.0	13.7	57
Example 239	213	C ₂₃ H ₂₇ ClN ₄ O ₄ S	523.0	5.5	21

Example 240: Preparation of (R)-3-[N-(3-Fluoro-5-(trifluoromethyl)benzoyl)glycyl]amino-1-(3,5-dimethylisoxazol-4-ylmethyl)pyrrolidine (Compound No. 1191).

5 A solution of 3-fluoro-5-(trifluoromethyl)benzoyl chloride (0.058 mmol) in dichloromethane (1 mL) was added to a mixture of (R)-1-(3,5-dimethylisoxazol-4-ylmethyl)-3-(glycylamino)pyrrolidine (0.050 mmol) and piperidinomethylpolystyrene (58 mg) in chloroform (0.2 mL) and dichloromethane (0.75 mL). After the reaction mixture was stirred at room temperature for 2
10 h, methanol (1.0 mL) was added and the mixture was stirred at room temperature for 30 min. The reaction mixture was loaded onto VarianTM SCX column, and washed with CH₃OH (16 mL). Product was eluted off using 2 N NH₃ in CH₃OH (6 mL) and concentrated to afford (R)-3-[N-(3-fluoro-5-(trifluoromethyl)benzoyl)glycyl]amino-1-(3,5-dimethylisoxazol-4-ylmethyl)pyrrolidine (Compound No. 1191) (19.5 mg, 88%): The purity was
15 determined by RPLC/MS (100%); ESI/MS m/e 443.2 (M⁺+H, C₂₆H₂₂F₄N₄O₃).

Examples 241-265.

20 The compounds of this invention were synthesized pursuant to methods of Example 240 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 4.

Table 4

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 241	1192	C ₂₀ H ₂₂ F ₄ N ₄ O ₃	443.2	19.2	87
Example 242	1193	C ₂₀ H ₂₃ F ₃ N ₄ O ₄	441.0	17.5	79
Example 243	1194	C ₂₁ H ₂₂ F ₆ N ₄ O ₃	493.0	20.4	83
Example 244	1195	C ₁₉ H ₂₃ Br N ₄ O ₃	435.1	16.8	77
Example 245	1196	C ₁₉ H ₂₃ N ₅ O ₅	402.2	16.2	81
Example 246	1197	C ₂₀ H ₂₂ F ₄ N ₄ O ₃	443.2	17.6	80
Example 247	1198	C ₁₉ H ₂₃ Cl N ₄ O ₃	391.0	16.5	84
Example 248	1199	C ₂₀ H ₂₆ N ₄ O ₃	371.0	16.1	87

Example 249	1200	C19 H22 Cl2 N4 O3	425.0	18.0	85
Example 250	1201	C19 H22 F2 N4 O3	393.0	16.6	85
Example 251	1202	C20 H22 F4 N4 O3	443.2	16.8	76
Example 252	1203	C22 H24 F3 N3 O3	436.2	17.1	79
Example 253	1204	C23 H23 F6 N3 O2	488.2	18.1	74
Example 254	1205	C21 H24 Br N3 O2	430.0	17.5	81
Example 255	1206	C21 H24 N4 O4	397.0	16.2	82
Example 256	1207	C22 H23 F4 N3 O2	438.2	17.5	80
Example 257	1208	C21 H24 Cl N3 O2	386.0	15.8	82
Example 258	1209	C22 H27 N3 O2	366.0	15.7	86
Example 259	1210	C21 H23 Cl2 N3 O2	420.0	17.8	85
Example 260	1211	C21 H23 F2 N3 O2	388.0	16.3	84
Example 261	1212	C22 H23 F4 N3 O2	436.2	17.4	80
Example 262	1213	C24 H24 Cl F6 N3 O2	536.2	24.0	90
Example 263	1214	C23 H24 Cl F4 N3 O3	486.2	22.2	91
Example 264	1215	C22 H24 Cl3 N3 O2	467.9	20.9	89
Example 265	1216	C22 H24 Cl F2 N3 O2	436.0	19.3	89

Example 266: Preparation of (R)-1-(4-Chlorobenzyl)-3-[(N-(4-(dimethylamino)benzoyl)glycyl)amino]pyrrolidine (Compound No. 952).

A solution of (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine (13.8 mg, 0.052 mmol) in CHCl_3 (2 mL) was treated with Et_3N (0.021 mL, 0.15 mmol), 4-(dimethylamino)benzoic acid (10 mg, 0.061 mmol), EDCI (10.2 mg, 0.053 mmol) and HOBT (7.5 mg, 0.055 mmol). The reaction mixture was stirred at room temperature for 16 h. The solution was washed with 2 N aqueous NaOH solution (2 mL x 2) and brine (2 mL), and dried by filtration through a PTFE membrane using CH_2Cl_2 (3 mL). Concentration afforded the desired material (compound No. 952) (24.9 mg, quant): The purity was determined by RPLC/MS (91%); ESI/MS m/e 415.0 (M^+H , $\text{C}_{22}\text{H}_{27}\text{ClN}_4\text{O}_2$).

Examples 267-347.

The compounds of this invention were synthesized pursuant to methods of Example 266 using the corresponding reactant respectively. Solid-phase extraction (VarianTM SCX column) or chromatography (HPLC- C_{18}), if needed, afforded the desired material. The ESI/MS data and yields are summarized in Table 5.

Table 5

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 267	951	C22 H24 Cl N3 O4	430.0	26.3	quant
Example 268	953	C23 H29 Cl N4 O2	429.0	28.8	quant
Example 269	954	C21 H25 Cl N4 O2	401.0	27.9	quant
Example 270	955	C22 H27 Cl N4 O2	415.0	26.8	quant
Example 271	956	C21 H24 Cl N3 O3	402.0	10.3	51
Example 272	957	C20 H22 Cl N3 O3	388.0	1.4	7
Example 273	958	C21 H24 Cl N3 O3	402.5	1.2	6
Example 274	959	C22 H25 Cl N4 O3	429.5	4.7	22
Example 275	960	C23 H27 Cl N4 O3	443.0	10.9	49
Example 276	961	C21 H25 Cl N4 O2	401.0	28.4	quant
Example 277	962	C22 H27 Cl N4 O2	415.0	24.9	quant
Example 278	963	C21 H24 Cl N3 O3	402.0	4.4	22
Example 279	964	C22 H24 Cl N3 O4	430.0	29.5	quant
Example 280	965	C23 H26 Cl N3 O4	444.0	27.2	quant
Example 281	966	C22 H24 Cl N3 O3	414.0	27.0	quant
Example 282	967	C23 H26 Cl N3 O3	428.0	27.0	quant
Example 283	968	C22 H23 Cl N4 O2	411.0	21.4	quant
Example 284	969	C23 H25 Cl N4 O2	425.0	27.6	quant
Example 285	970	C22 H27 Cl N4 O2	415.0	28.6	quant
Example 286	971	C23 H29 Cl N4 O2	429.0	27.9	quant
Example 287	972	C20 H23 Cl N4 O2	387.0	26.2	quant
Example 288	973	C21 H25 Cl N4 O2	401.0	26.8	quant
Example 289	974	C20 H23 Cl N4 O2	387.0	26.6	quant
Example 290	975	C21 H25 Cl N4 O2	401.0	28.2	quant
Example 291	976	C22 H23 Cl N4 O2	411.0	29.2	quant
Example 292	977	C23 H25 Cl N4 O2	425.0	29.5	quant
Example 293	978	C20 H21 Cl N6 O2	413.0	2.2	11
Example 294	979	C21 H23 Cl N6 O2	427.0	10.2	48
Example 295	980	C22 H25 Cl N4 O3	429.0	28.8	quant
Example 296	981	C23 H27 Cl N4 O3	443.0	11.9	54
Example 297	982	C22 H27 Cl N4 O2	415.0	27.4	quant
Example 298	983	C23 H29 Cl N4 O2	429.5	28.1	quant
Example 299	984	C21 H24 Cl N3 O3	402.0	27.7	quant
Example 300	985	C22 H26 Cl N3 O3	416.0	28.6	quant
Example 301	1149	C21 H28 N4 O4	401	15.5*	38
Example 302	1150	C21 H28 N4 O3	385	10.9*	28
Example 303	1151	C21 H25 F3 N4 O3	439	17.3*	39
Example 304	1152	C21 H24 F N5 O3	415	12.7*	30

Example 305	1153	C21 H24 C1 N5 O3	430	17.5*	41
Example 306	1154	C22 H27 N5 O3	410	20.6*	50
Example 307	1155	C19 H23 F3 N4 O4	429	13.8*	32
Example 308	1156	C21 H30 N4 O4	403	17.7*	43
Example 309	1157	C18 H24 N4 O3 S2	409	12.6*	30
Example 310	1158	C19 H23 C12 N5 O3	440	16.9*	38
Example 311	1159	C22 H31 N5 O6	462	38.6*	85
Example 312	1160	C20 H26 Br N5 O3	464	20.4	45
Example 313	1289	C20 H27 N5 O4	403	5.8*	14
Example 314	1290	C21 H29 N5 O3	400	6.9*	17
Example 315	1291	C24 H28 N4 O2	405	22.4	68
Example 316	1292	C22 H27 Br N4 O2	461	23.8	15
Example 317	1293	C22 H23 F4 N3 O2	438	20.9	59
Example 318	1294	C22 H23 F4 N3 O2	438	20.8	59
Example 319	1295	C23 H31 N3 O3	398	17.5	54
Example 320	1296	C20 H25 N3 O2 S2	404	18.8	58
Example 321	1297	C21 H24 F3 N3 O3	424	18.1	53
Example 322	1388	C21 H32 N6 O3	417	7.4*	24
Example 323	1389	C19 H22 N6 O4	399	15.2	48
Example 324	1401	C23 H25 C1 N4 O2	425	8.3*	16
Example 325	1402	C24 H32 N4 O5	457	8.3*	15
Example 326	1403	C20 H24 N4 O2	353	14.8	52
Example 327	1404	C20 H24 N4 O2	353	17.0	60
Example 328	1405	C21 H26 N4 O2 S	399	17.3	54
Example 329	1407	C22 H28 N4 O2 S	413	19.1	57
Example 330	1410	C19 H24 N4 O3	357	9.7*	59
Example 331	1769	C22 H26 C1 F3 N4 O5	519	11.6*	20
Example 332	1770	C26 H28 C12 N6 O4	559	13.1*	21
Example 333	1771	C26 H37 N5 O4	484	12.7*	23
Example 334	1772	C28 H39 N5 O4	510	5.5*	9
Example 335	1773	C28 H37 N5 O4	509	6.2*	11
Example 336	1774	C28 H34 N6 O6	551	13.6*	22
Example 337	2039	C19 H24 N4 O2	341	5.2*	14
Example 338	2040	C22 H27 N3 O4	398	2.0*	5
Example 339	2041	C23 H29 N3 O3	396	6.2*	15
Example 340	2042	C25 H37 N3 O2	413	2.6*	6
Example 341	2043	C24 H31 N3 O2	394	6.8*	17
Example 342	2044	C25 H28 N4 O4	449	8.7*	16
Example 343	2045	C26 H29 C1 N6 O4	525	11.4*	19
Example 344	2046	C27 H32 N6 O4	505	7.7*	13

Example 345	2047	C28 H32 N4 O4	489	10.0*	18
Example 346	2048	C28 H37 N5 O5	524	3.7*	6
Example 347	2049	C28 H37 N5 O4	509	5.3*	9

*Yield of TFA salt.

Example 348: Preparation of (R)-1-(4-Chlorobenzyl)-3-[(N-(2-amino-5-chlorobenzoyl)glycyl)amino]pyrrolidine (Compound No. 1084).

5 A solution of (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine (0.050 mmol) in CHCl₃ (2 mL) was treated with 2-amino-5-chlorobenzoic acid (0.060 mmol) and diisopropylcarbodiimide (0.060 mmol). The reaction mixture was stirred at room temperature for 15 h. The mixture was loaded onto VarianTM SCX column, and washed with CH₃OH (15 mL). Product was eluted off using 2 N NH₃ in CH₃OH (5 mL) and concentrated to afford (R)-1-(4-chlorobenzyl)-3-{N-(2-amino-5-chlorobenzoyl)glycyl}amino]pyrrolidine (Compound No. **1084**) (12.7 mg, 60%): The purity was determined by RPLC/MS (87%); ESI/MS m/e 421.0 (M⁺+H, C₂₆H₂₂Cl₂N₄O₂).

Examples 349-361.

15 The compounds of this invention were synthesized pursuant to methods of Example 348 using the corresponding reactant respectively. If the starting amine remained, treatment with isocyanatomethylated polystyrene (50 mg) in CHCl₃ (1 mL) at room temperature, filtration and concentration afforded the desired material. The ESI/MS data and yields are summarized in Table 6.

Table 6

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 349	1085	C ₂₆ H ₂₂ ClN ₅ O ₄	432.0	4.1	19
Example 350	1086	C ₂₆ H ₂₃ ClN ₄ O ₂	387.0	7.9	41
Example 351	1087	C ₂₂ H ₂₃ ClN ₄ O ₂	411.0	15.0	73
Example 352	1088	C ₁₈ H ₂₀ ClN ₃ O ₃	362.0	12.9	71
Example 353	1089	C ₂₂ H ₂₂ ClFN ₄ O ₂	429.0	16.0	75
Example 354	1090	C ₂₂ H ₂₆ ClN ₃ O ₃	416.0	15.8	76
Example 355	1091	C ₂₁ H ₂₄ Cl ₂ N ₄ O ₂	435.0	10.9	50
Example 356	1092	C ₂₁ H ₂₄ ClN ₅ O ₄	446.0	7.9	35
Example 357	1093	C ₂₁ H ₂₅ ClN ₄ O ₂	401.0	9.5	47
Example 358	1094	C ₂₃ H ₂₅ ClN ₄ O ₂	425.0	15.8	74
Example 359	1095	C ₁₉ H ₂₂ ClN ₃ O ₃	376.0	13.5	72
Example 360	1096	C ₂₃ H ₂₄ ClFN ₄ O ₂	443.0	11.8	53

Example 361	1097	C ₂₃ H ₂₈ ClN ₃ O ₃	430.0	15.1	70
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Example 362: Preparation of (R)-1-(4-Chlorobenzyl)-3-[(N-(3-bromo-4-methylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 1098).

A solution of (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine (0.050 mmol) in CHCl₃ (1.35 mL) and *tert*-butanol (0.15 mL) was treated with 3-bromo-4-methylbenzoic acid (0.060 mmol), diisopropylcarbodiimide (0.060 mmol), and HOBT (0.060 mmol). The reaction mixture was stirred at room temperature for 15 h. The mixture was loaded onto VarianTM SCX column, and washed with CH₃OH/CHCl₃ 1:1 (12 mL) and CH₃OH (12 mL). Product was eluted off using 2 N NH₃ in CH₃OH (5 mL) and concentrated to afford (R)-1-(4-chlorobenzyl)-3-[(N-(3-bromo-4-methylbenzoyl)glycyl)amino]pyrrolidine (Compound No. **1098**) (11.6 mg, 50%): The purity was determined by RPLC/MS (94%); ESI/MS *m/e* 466.0 (C₂₁H₂₃BrClN₃O₂).

Examples 363-572.

The compounds of this invention were synthesized pursuant to methods of Example 362 using the corresponding reactant respectively. Preparative TLC, if needed, afforded the desired material. The ESI/MS data and yields are summarized in Table 7.

The following 3 compounds were obtained as byproduct of Compound Nos. **1415**, **1416**, and **1417**, respectively.

1419: 7.9 mg, 38% yield; ESI/MS *m/e* 419.0 (C₂₀H₂₃ClN₄O₂S).

1420: 7.1 mg, 36% yield; ESI/MS *m/e* 399.2 (C₂₁H₂₆N₄O₂S).

1421: 7.4 mg, 37% yield; ESI/MS *m/e* 404.2 (C₁₉H₂₅N₅O₃S).

Table 7

	Compound No.	Molecular Formula	ESI/MS <i>m/e</i>	Yield (mg)	Yield (%)
Example 363	1099	C ₂₀ H ₂₀ BrClFN ₃ O ₂	470.0	3.1	13
Example 364	1100	C ₂₀ H ₂₀ Cl ₂ FN ₃ O ₂	424.0	3.1	15
Example 365	1101	C ₂₁ H ₂₃ ClIN ₃ O ₂	512.0	12.5	49
Example 366	1102	C ₂₁ H ₂₃ ClN ₄ O ₄	431.2	7.7	36
Example 367	1103	C ₂₂ H ₂₆ BrN ₃ O ₂	446.0	13.8	62
Example 368	1104	C ₂₁ H ₂₃ BrFN ₃ O ₂	450.0	16.5	74
Example 369	1105	C ₂₁ H ₂₃ ClFN ₃ O ₂	404.2	14.7	73
Example 370	1106	C ₂₂ H ₂₆ IN ₃ O ₂	492.0	18.5	75

Example 371	1107	$C_{22}H_{26}N_4O_4$	411.2	15.2	74
Example 372	1108	$C_{20}H_{25}BrN_4O_3$	449.0	12.8	57
Example 373	1109	$C_{19}H_{22}BrFN_4O_3$	455.0	16.2	71
Example 374	1110	$C_{19}H_{22}ClFN_4O_3$	409.2	14.4	70
Example 375	1111	$C_{20}H_{25}IN_4O_3$	497.0	17.9	72
Example 376	1112	$C_{20}H_{25}N_5O_5$	416.2	14.9	72
Example 377	1113	$C_{23}H_{27}BrClN_3O_2$	494.0	16.1	65
Example 378	1114	$C_{22}H_{24}BrClFN_3O_2$	498.0	20.2	81
Example 379	1115	$C_{22}H_{24}Cl_2FN_3O_2$	452.2	18.6	82
Example 380	1116	$C_{23}H_{27}ClIN_3O_2$	539.1	21.9	81
Example 381	1117	$C_{23}H_{27}ClN_4O_4$	459.2	18.7	81
Example 382	1171	$C_{21}H_{23}BrClN_3O_2$	466.0	4.9	21
Example 383	1172	$C_{22}H_{23}ClN_4O_3$	427.2	16.1	75
Example 384	1173	$C_{23}H_{25}ClN_4O_3$	441.2	22.8	quant
Example 385	1174	$C_{20}H_{22}ClFN_4O_2$	405.2	21.4	quant
Example 386	1175	$C_{22}H_{26}BrN_3O_2$	446.0	15.8	71
Example 387	1176	$C_{23}H_{26}N_4O_3$	407.2	17.6	87
Example 388	1177	$C_{24}H_{28}N_4O_3$	421.2	20.2	96
Example 389	1178	$C_{21}H_{25}FN_4O_2$	385.0	16.2	84
Example 390	1179	$C_{21}H_{25}N_5O_4$	412.2	2.3	11
Example 391	1180	$C_{23}H_{26}N_4O_2$	391.0	21.6	quant
Example 392	1181	$C_{26}H_{25}BrN_4O_3$	451.0	20.1	89
Example 393	1182	$C_{21}H_{25}N_5O_4$	412.2	13.3	65
Example 394	1183	$C_{22}H_{27}N_5O_4$	426.2	20.9	98
Example 395	1184	$C_{19}H_{24}FN_5O_3$	390.0	20.0	quant
Example 396	1185	$C_{19}H_{24}N_6O_5$	417.2	18.2	87
Example 397	1186	$C_{21}H_{25}N_5O_3$	396.2	17.6	89
Example 398	1187	$C_{23}H_{27}BrClN_3O_2$	494.0	22.1	90
Example 399	1188	$C_{24}H_{27}ClN_4O_3$	455.2	17.2	76
Example 400	1189	$C_{25}H_{25}ClN_4O_3$	469.2	21.1	90
Example 401	1190	$C_{22}H_{26}ClFN_4O_2$	433.2	20.4	94
Example 402	1217	$C_{21}H_{20}Cl_2F_3N_3O_2$	474.0	38.5	81
Example 403	1218	$C_{21}H_{23}ClFN_3O_2$	404.2	35.6	88
Example 404	1219	$C_{21}H_{23}Cl_2N_3O_2$	420.0	3.7	9
Example 405	1220	$C_{20}H_{22}ClIN_4O_2$	513.0	53.0	quant
Example 406	1221	$C_{20}H_{21}ClF_2N_4O_2$	423.0	38.7	92
Example 407	1222	$C_{19}H_{23}ClN_4O_2$	375.2	33.6	90
Example 408	1223	$C_{26}H_{26}ClN_3O_2S$	496.0	43.7	88
Example 409	1224	$C_{20}H_{21}ClN_4O_5$	433.0	40.6	94
Example 410	1225	$C_{22}H_{23}ClF_3N_3O_2$	454.2	18.4	41

Example 411	1226	$C_{22}H_{26}FN_3O_2$	384.0	17.1	45
Example 412	1227	$C_{22}H_{26}ClN_3O_2$	400.2	17.5	44
Example 413	1228	$C_{21}H_{25}IN_4O_2$	493.0	23.3	47
Example 414	1229	$C_{21}H_{24}F_2N_4O_2$	403.2	18.4	46
Example 415	1230	$C_{20}H_{26}N_4O_2$	355.2	15.7	44
Example 416	1231	$C_{27}H_{29}N_3O_2S$	476.0	20.9	88
Example 417	1232	$C_{21}H_{24}N_4O_5$	413.0	19.9	96
Example 418	1233	$C_{20}H_{22}ClF_3N_4O_3$	459.0	19.4	85
Example 419	1234	$C_{20}H_{25}FN_4O_3$	389.0	17.8	92
Example 420	1235	$C_{20}H_{25}ClN_4O_3$	405.2	18.7	92
Example 421	1236	$C_{15}H_{24}IN_5O_3$	498.0	23.9	96
Example 422	1237	$C_{19}H_{23}F_2N_5O_3$	408.2	19.0	93
Example 423	1238	$C_{18}H_{25}N_5O_3$	360.0	16.3	91
Example 424	1239	$C_{25}H_{28}N_4O_3S$	481.2	21.4	89
Example 425	1240	$C_{19}H_{23}N_5O_6$	418.0	19.9	95
Example 426	1241	$C_{23}H_{24}Cl_2F_3N_3O_2$	502.0	22.5	90
Example 427	1242	$C_{23}H_{27}ClFN_3O_2$	432.2	21.2	98
Example 428	1243	$C_{23}H_{27}Cl_2N_3O_2$	448.0	21.6	96
Example 429	1244	$C_{22}H_{26}ClIN_4O_2$	541.0	26.4	98
Example 430	1245	$C_{22}H_{25}ClF_2N_4O_2$	451.0	21.3	94
Example 431	1246	$C_{21}H_{27}ClN_4O_2$	403.2	19.4	96
Example 432	1247	$C_{28}H_{30}ClN_3O_2S$	524.0	24.7	94
Example 433	1248	$C_{22}H_{25}ClN_4O_5$	461.0	20.7	90
Example 434	1249	$C_{20}H_{20}Cl_2N_4O_4$	451.0	7.4	33
Example 435	1250	$C_{21}H_{23}ClN_4O_4$	431.2	15.5	72
Example 436	1251	$C_{19}H_{22}ClN_5O_5$	436.0	22.9	quant
Example 437	1252	$C_{23}H_{28}ClN_3O_2$	414.2	17.9	86
Example 438	1253	$C_{24}H_{31}N_3O_2$	394.2	15.8	80
Example 439	1254	$C_{22}H_{30}N_4O_3$	399.2	17.3	87
Example 440	1255	$C_{20}H_{22}BrClN_4O_2$	467.0	21.3	91
Example 441	1256	$C_{21}H_{25}BrN_4O_2$	445.0	20.7	93
Example 442	1257	$C_{19}H_{24}BrN_5O_3$	450.0	21.8	97
Example 443	1258	$C_{21}H_{25}ClN_4O_2$	401.2	18.1	90
Example 444	1259	$C_{19}H_{24}ClN_5O_3$	406.0	20.1	99
Example 445	1260	$C_{23}H_{29}N_3O_3$	396.2	16.8	85
Example 446	1261	$C_{23}H_{30}ClN_3O_3$	432.2	19.8	92
Example 447	1262	$C_{24}H_{33}N_3O_3$	412.2	17.4	85
Example 448	1263	$C_{22}H_{32}N_4O_4$	417.2	18.7	90
Example 449	1264	$C_{25}H_{26}ClN_3O_3$	452.2	29.1	quant
Example 450	1265	$C_{26}H_{29}N_3O_3$	432.2	18.1	84

Example 451	1266	C ₂₄ H ₂₈ N ₄ O ₄	437.2	19.3	88
Example 452	1267	C ₂₃ H ₂₂ ClF ₃ N ₄ O ₃	495.2	20.6	83
Example 453	1268	C ₂₁ H ₂₃ Cl ₂ N ₃ O ₃	436.0	17.5	80
Example 454	1269	C ₂₀ H ₂₁ BrClN ₃ O ₃	468.0	19.2	82
Example 455	1270	C ₂₀ H ₂₁ Cl ₂ N ₃ O ₃	422.2	17.3	82
Example 456	1271	C ₂₀ H ₂₀ ClFN ₄ O ₄	435.0	17.1	79
Example 457	1272	C ₂₄ H ₂₅ F ₃ N ₄ O ₃	475.2	21.7	91
Example 458	1273	C ₂₂ H ₂₆ ClN ₃ O ₃	416.2	17.8	86
Example 459	1274	C ₂₁ H ₂₄ BrN ₃ O ₃	448.0	19.5	87
Example 460	1275	C ₂₁ H ₂₄ ClN ₃ O ₃	402.2	16.7	83
Example 461	1276	C ₂₁ H ₂₃ FN ₄ O ₄	415.2	18.1	87
Example 462	1277	C ₂₂ H ₂₄ F ₃ N ₅ O ₄	480.2	20.3	85
Example 463	1278	C ₂₀ H ₂₅ ClN ₄ O ₄	421.2	18.6	88
Example 464	1279	C ₁₉ H ₂₃ BrN ₄ O ₄	451.0	21.3	94
Example 465	1280	C ₁₉ H ₂₃ ClN ₄ O ₄	407.2	19.1	94
Example 466	1281	C ₁₉ H ₂₂ FN ₅ O ₅	420.2	19.1	91
Example 467	1282	C ₂₅ H ₂₆ ClF ₃ N ₄ O ₃	523.2	25.0	96
Example 468	1283	C ₂₃ H ₂₇ Cl ₂ N ₃ O ₃	464.2	12.2	53
Example 469	1284	C ₂₂ H ₂₅ BrClN ₃ O ₃	496.0	24.1	97
Example 470	1285	C ₂₂ H ₂₅ Cl ₂ N ₃ O ₃	450.2	21.8	97
Example 471	1321	C ₂₀ H ₂₀ BrCl ₂ N ₃ O ₂	486.0	5.1	21
Example 472	1322	C ₂₁ H ₂₃ Cl ₂ N ₃ O ₂	420.0	10.5	50
Example 473	1323	C ₂₀ H ₂₀ Cl ₂ IN ₃ O ₂	532.0	7.1	27
Example 474	1324	C ₂₁ H ₂₄ ClN ₃ O ₃	402.2	22.2	quant
Example 475	1325	C ₂₇ H ₂₆ ClN ₃ O ₃	476.0	22.2	93
Example 476	1326	C ₂₀ H ₂₁ ClIN ₃ O ₃	514.0	26.9	quant
Example 477	1327	C ₂₁ H ₂₅ ClN ₄ O ₂	401.2	24.2	quant
Example 478	1328	C ₂₁ H ₂₃ BrClN ₃ O ₂	466.0	23.1	99
Example 479	1329	C ₂₂ H ₂₆ ClN ₃ O ₂	400.2	16.4	82
Example 480	1330	C ₂₁ H ₂₃ ClIN ₃ O ₂	512.2	20.8	81
Example 481	1331	C ₂₁ H ₂₄ N ₃ O ₃	382.2	19.6	quant
Example 482	1332	C ₂₈ H ₂₆ N ₃ O ₃	456.2	21.1	93
Example 483	1333	C ₂₁ H ₂₄ IN ₃ O ₃	494.0	25.3	quant
Example 484	1334	C ₂₂ H ₂₆ N ₄ O ₂	381.2	19.0	quant
Example 485	1335	C ₁₉ H ₂₂ BrClN ₄ O ₃	471.0	25.8	quant
Example 486	1336	C ₂₀ H ₂₅ ClN ₄ O ₃	405.2	18.5	91
Example 487	1337	C ₁₉ H ₂₂ ClIN ₄ O ₃	517.0	23.1	89
Example 488	1338	C ₂₀ H ₂₆ N ₄ O ₄	387.2	20.6	quant
Example 489	1339	C ₂₅ H ₂₈ N ₄ O ₄	461.2	23.7	quant
Example 490	1340	C ₁₉ H ₂₃ IN ₄ O ₄	499.0	28.2	quant

Example 491	1341	$C_{20}H_{26}N_4O_4$	386.0	20.5	quant
Example 492	1342	$C_{22}H_{24}BrCl_2N_3O_2$	514.0	27.2	quant
Example 493	1343	$C_{23}H_{27}Cl_2N_3O_2$	448.0	21.4	95
Example 494	1344	$C_{22}H_{24}Cl_2IN_3O_2$	560.0	27.0	96
Example 495	1345	$C_{23}H_{26}ClN_3O_3$	430.2	23.8	quant
Example 496	1346	$C_{22}H_{25}ClIN_3O_3$	542.0	29.4	quant
Example 497	1347	$C_{19}H_{22}ClN_3O_2S$	392.0	16.9	43
Example 498	1348	$C_{20}H_{25}N_3O_2S$	372.2	6.9	19
Example 499	1349	$C_{18}H_{24}N_4O_3S$	377.2	8.1	43
Example 500	1350	$C_{21}H_{26}ClN_3O_2S$	420.0	13.0	62
Example 501	1351	$C_{22}H_{24}BrClN_4O_3$	509.2	5.0	10
Example 502	1352	$C_{23}H_{27}BrN_4O_3$	489.2	3.6	15
Example 503	1353	$C_{21}H_{26}BrN_5O_4$	494.0	2.8	11
Example 504	1354	$C_{24}H_{28}BrClN_4O_3$	537.2	5.2	19
Example 505	1355	C21 H22 Cl N5 O2	412.0	25.5	quant
Example 506	1356	C22 H25 N5 O2	392.0	16.5	84
Example 507	1357	C20 H24 N6 O3	397.2	19.9	quant
Example 508	1358	C23 H26 Cl N5 O2	440.2	21.8	99
Example 509	1368	$C_{21}H_{20}Cl_2F_3N_3O_2$	474.0	18.4	78
Example 510	1369	$C_{24}H_{24}ClF_6IN_3O_4$	568.0	24.1	85
Example 511	1370	$C_{18}H_{16}BrClN_3O_2S$	458.0	19.4	85
Example 512	1371	$C_{26}H_{26}ClN_3O_4S$	512.2	22.1	86
Example 513	1372	$C_{26}H_{26}ClN_3O_2$	448.0	19.1	85
Example 514	1373	$C_{22}H_{23}ClF_3N_3O_2$	454.2	16.2	71
Example 515	1374	$C_{25}H_{27}F_6IN_3O_4$	548.2	22.1	81
Example 516	1375	$C_{16}H_{22}BrN_3O_2S$	436.0	17.1	78
Example 517	1376	$C_{27}H_{29}N_3O_4S$	492.0	19.4	79
Example 518	1377	$C_{27}H_{26}N_3O_2$	428.2	18.1	85
Example 519	1378	$C_{26}H_{22}ClF_3N_4O_3$	459.0	17.3	75
Example 520	1379	$C_{23}H_{26}F_6IN_4O_5$	553.2	21.0	76
Example 521	1380	$C_{17}H_{21}BrN_4O_3S$	443.0	16.4	74
Example 522	1381	$C_{25}H_{29}N_4O_5S$	497.0	18.4	74
Example 523	1382	$C_{25}H_{28}N_4O_3$	433.2	17.3	80
Example 524	1383	$C_{23}H_{24}Cl_2F_3N_3O_2$	502.0	20.0	80
Example 525	1384	$C_{26}H_{23}BrClN_3O_2S$	486.0	21.0	87
Example 526	1385	$C_{28}H_{30}ClN_3O_4S$	540.2	23.8	88
Example 527	1386	$C_{28}H_{30}ClN_3O_2$	476.0	20.0	84
Example 528	1411	$C_{22}H_{24}Cl_2N_4O_3$	463.0	0.4	2
Example 529	1412	$C_{23}H_{27}ClN_4O_2$	443.0	1.3	6
Example 530	1413	$C_{21}H_{26}ClN_5O_4$	448.0	1.1	5

Example 531	1414	C ₂₄ H ₂₈ Cl ₂ N ₄ O ₃	491.0	0.8	3
Example 532	1415	C ₂₁ H ₂₂ ClN ₅ O ₂ S	444.0	6.8	31
Example 533	1416	C ₂₇ H ₂₅ N ₅ O ₂ S	424.0	4.8	23
Example 534	1417	C ₂₀ H ₂₄ N ₆ O ₃ S	429.2	4.5	21
Example 535	1418	C ₂₃ H ₂₆ ClN ₅ O ₂ S	472.0	10.4	44
Example 536	1423	C27 H26 Cl N3 O3	476.0	23.9	quant
Example 537	1424	C27 H29 N3 O4 S	456.2	28.0	quant
Example 538	1425	C26 H28 N4 O4	461.2	22.3	97
Example 539	1426	C29 H30 Cl N3 O3	504.2	26.8	quant
Example 540	1583	C21 H22 Cl F3 N4 O2	455.0	14.6	64
Example 541	1584	C21 H22 Cl F3 N4 O3	471.0	17.4	74
Example 542	1585	C19 H20 Br Cl N4 O2	453.0	15.6	69
Example 543	1586	C19 H20 Cl2 N4 O2	407.2	2.3	11
Example 544	1587	C26 H26 Cl N3 O3	464.0	15.4	66
Example 545	1588	C20 H23 Cl N4 O2	387.0	14.8	77
Example 546	1589	C22 H25 F3 N4 O2	435.2	11.1	51
Example 547	1590	C20 H25 F3 N4 O3	451.2	16.3	72
Example 548	1591	C20 H23 Br N4 O2	433.0	15.4	71
Example 549	1592	C20 H23 Cl N4 O2	387.0	15.6	81
Example 550	1593	C27 H29 N3 O3	444.2	14.8	67
Example 551	1594	C20 H24 F3 N5 O3	440.2	16.2	74
Example 552	1595	C20 H24 F3 N5 O4	456.2	15.4	68
Example 553	1596	C18 H22 Br N5 O3	436.0	15.6	72
Example 554	1597	C18 H22 Cl N5 O3	391.8	14.4	73
Example 555	1598	C25 H28 N4 O4	449.2	15.9	71
Example 556	1599	C19 H25 N5 O3	372.2	15.8	85
Example 557	1606	C21 H21 Cl F3 N3 O2 S	472.0	17.0	72
Example 558	1607	C21 H21 Cl F3 N3 O2 S	452.2	15.3	68
Example 559	1608	C20 H23 F3 N4 O3 S	457.2	15.9	70
Example 560	1660	C21 H22 Br F3 N4 O2	501.0	19.0	76
Example 561	1661	C21 H22 Br F3 N4 O3	517.0	16.2	63
Example 562	1662	C20 H21 Br F2 N4 O2	469.0	15.1	65
Example 563	1663	C20 H22 Br Cl N4 O2	467.0	14.5	62
Example 564	1692	C20 H23 Br2 N3 O3	514	7.3	28
Example 565	1693	C22 H26 F2 N4 O2	417	16.2	78
Example 566	1694	C22 H27 F N4 O2	399	21.8	quant
Example 567	1695	C22 H27 Br N4 O2	459	24.5	quant
Example 568	1696	C22 H27 I N4 O2	507	27.4	quant
Example 569	1697	C22 H27 Cl N4 O2	415	22.1	quant
Example 570	1698	C23 H27 F3 N4 O3	465	24.3	quant

Example 571	1699	C23 H27 F3 N4 O2	449	25.3	quant
Example 572	1700	C22 H25 Br Cl N3 O2	480	17.8	74

For example, Compound No. **1583** showed the following NMR spectra: ¹H NMR (400 MHz, CD₃OD) δ 1.64-1.72 (m, 1 H), 2.20-2.30 (m, 1 H), 2.41-2.51 (m, 2 H), 2.71-2.78 (m, 2 H), 3.59 (dd, J = 15.4, 12.9 Hz, 2 H), 3.94 (s, 2 H), 4.35-4.41 (m, 1 H), 6.82 (d, J = 8.6 Hz, 1 H), 7.29 (s, 4 H), 7.40 (dd, J = 8.6, 1.7 Hz, 1 H), 7.85 (d, J = 0.96 Hz, 1 H).

Reference Example 4: Preparation of (S)-3-[N-{3-(trifluoromethyl)benzoyl}glycyl]aminopyrrolidine.

A suspension of (S)-1-(4-chlorobenzyl)-3-[N-{3-(trifluoromethyl)benzoyl}glycyl]aminopyrrolidine (2.93 g, 6.66 mmol) and Pd(OH)₂ in 5% HCO₂H/methanol (70 mL) was stirred at 60 °C for 3 h. The Pd catalyst was filtered off through Celite, and the filtrate was concentrated. To the residue was added 2N aqueous NaOH solution (100 mL) and the mixture was extracted with ethyl acetate (100 mL x 3). The combined extracts were washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated. Column chromatography (SiO₂, AcOEt/MeOH/Et₃N = 85/10/5-60/30/5) gave (S)-3-[N-{3-(trifluoromethyl)benzoyl}glycyl]aminopyrrolidine (1.70 g, 81%) as an oil: ¹H NMR (CDCl₃, 270 MHz) δ 1.76 (d, J = 7.3 Hz, 1 H), 2.07-2.25 (m, 1 H), 2.81-2.98 (m, 2 H), 3.02-3.11 (m, 2 H), 4.12 (s, 2 H), 4.41 (br, 1 H), 6.90 (br, 1 H), 7.45 (br, 1 H), 7.58 (dd, J = 7.3 and 7.3 Hz, 1 H), 7.77 (d, J = 7.3 Hz, 1 H), 8.02 (d, J = 7.3 Hz, 1 H), 8.11 (s, 1 H); ESI/MS m/e 316.0 (M⁺+H, C₁₄H₁₆F₃N₃O₂).

(R)-3-[N-{3-(Trifluoromethyl)benzoyl}glycyl]aminopyrrolidine was also prepared pursuant to the above method using the corresponding reactant: 1.49 g, 68%; The product showed the same ¹H NMR and ESI/MS with those of (S)-isomer.

(R)-3-[N-{2-Amino-5-(trifluoromethyl)benzoyl}glycyl]aminopyrrolidine was also prepared pursuant to the above method using the corresponding reactant: 316 mg, 93%; ESI/MS m/e 331.2 (M⁺+H, C₁₄H₁₇F₃N₄O₂).

(R)-3-[N-{2-(tert-Butoxycarbonylamino)-5-(trifluoromethoxy)benzoyl}glycyl]aminopyrrolidine was also prepared pursuant to the above method using the corresponding reactant: quant; ¹H NMR (CDCl₃, 400 MHz) δ 1.51 (s, 9 H), 1.60-1.70 (m, 2 H), 2.10-2.25 (m, 1 H), 2.80-2.88 (m, 1 H), 2.89-2.98 (m, 1 H), 3.04-3.18 (m, 2 H), 4.05 (d, J = 4.9 Hz, 2 H), 4.43 (br, 1 H), 6.15 (br, 1 H), 7.03 (br, 1 H), 7.32 (d, J = 9.3 Hz, 1 H), 7.38 (s, 1 H), 8.42 (d, J = 9.3 Hz, 1 H).

Example 573: Preparation of (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chlorobenzyl)pyrrolidine.

A solution of (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine (5.0 g, 18.7 mmol) in dichloromethane (100 mL) was treated with Et₃N (2.9 mL, 20.5 mmol), 2-(tert-butoxycarbonylamino)-5-(trifluoromethyl)benzoic acid (6.27 g, 20.5 mmol), EDCI (3.9 g, 20.5 mmol) and HOBt (2.8 g, 20.5 mmol). The reaction mixture was stirred at room temperature overnight. To the reaction mixture was added 2 N aqueous NaOH solution (80 mL) and the mixture was extracted with dichloromethane. The extract was dried over anhydrous Na₂SO₄, filtered, and evaporated. Column chromatography (SiO₂, hexane/ethyl acetate = 1/1-1/4) afforded (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chlorobenzyl)pyrrolidine (9.41 g, 91%) as a white amorphous solid: ESI/MS m/e 555.2 (M⁺+H, C₂₆H₃₀ClF₃N₄O₄).

Reference Example 5: Preparation of (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine.

A mixture of (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chlorobenzyl)pyrrolidine (6.3 g, 11.4 mmol), Pd(OH)₂ (1.68 g), HCO₂H (3.7 mL), and methanol (80 mL) was stirred at 50 °C overnight. After the mixture was cooled to room temperature, the Pd catalyst was filtered off through Celite and the filtrate was concentrated. Column chromatography (SiO₂, AcOEt, AcOEt/MeOH = 5/1-4/1) gave (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (4.42 g, 90%) as a white solid: ¹H NMR (CDCl₃, 400 MHz) δ 1.48 (s, 9 H), 2.0-2.4 (m, 2 H), 3.42-3.71 (m, 5 H), 4.00-4.22 (m, 2 H), 4.56 (br, 1 H), 7.48 (d, J = 9.0 Hz, 1 H), 7.93 (s, 1 H), 8.17 (br, 1 H), 8.33 (d, J = 9.0 Hz, 1 H), 8.45 (br, 1 H).

Example 574: Preparation of (S)-1-Benzyl-3-[N-(3-(trifluoromethyl)benzoyl)glycyl]aminopyrrolidine (Compound No. 239).

A solution of (S)-3-[N-(3-(trifluoromethyl)benzoyl)glycyl]aminopyrrolidine (0.060 mmol) in CH₃CN (1.1 mL) and (piperidinomethyl)polystyrene (2.6-2.8 mmol/g, 30 mg) were added to a solution of benzyl bromide (0.050 mmol) in CH₃CN (0.4 mL). The reaction mixture was stirred at 45 °C for 5 h. After the mixture was cooled to room temperature, the resin was removed by filtration and the filtrate was concentrated. The residue was resolved in CH₃CN (1.0 mL) and phenyl isocyanate (0.008 mL, 0.05

mmol) was added. The mixture was stirred at room temperature for 1 h, loaded onto VarianTM SCX column, and washed with CH₃OH (15 mL). Product was eluted off using 2 N NH₃ in CH₃OH (6 mL) and concentrated to afford (S)-1-benzyl-3-[N-(3-(trifluoromethyl)benzoyl)glycyl]aminopyrrolidine (compound No. 239) (9.0 mg, 44%): The purity was determined by RPLC/MS (99%); ESI/MS m/e 406.0 (M⁺+H, C₂₁H₂₂F₃N₃O₂).

Example 575: Preparation of (R)-1-(4-Butylbenzyl)-3-[(N-(3-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 1648).

To a mixture of (R)-3-[N-(3-(trifluoromethyl)benzoyl)glycyl]aminopyrrolidine (0.050 mmol), 4-butylbenzaldehyde (0.18 mmol), NaBH₃CN (0.23 mmol), and methanol (1.85 mL) was added acetic acid (0.060 mL). The reaction mixture was stirred at 60 °C for 12 h. The mixture was cooled to room temperature, loaded onto VarianTM SCX column, and washed with CH₃OH (15 mL). Product was eluted off using 2 N NH₃ in CH₃OH (5 mL) and concentrated to afford (R)-1-(4-butylbenzyl)-3-[(N-(3-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 1648) (20.6 mg, 89%): The purity was determined by RPLC/MS (91%); ESI/MS m/e 462.2 (M⁺+H, C₂₅H₃₀F₃N₃O₂).

Examples 576-738.

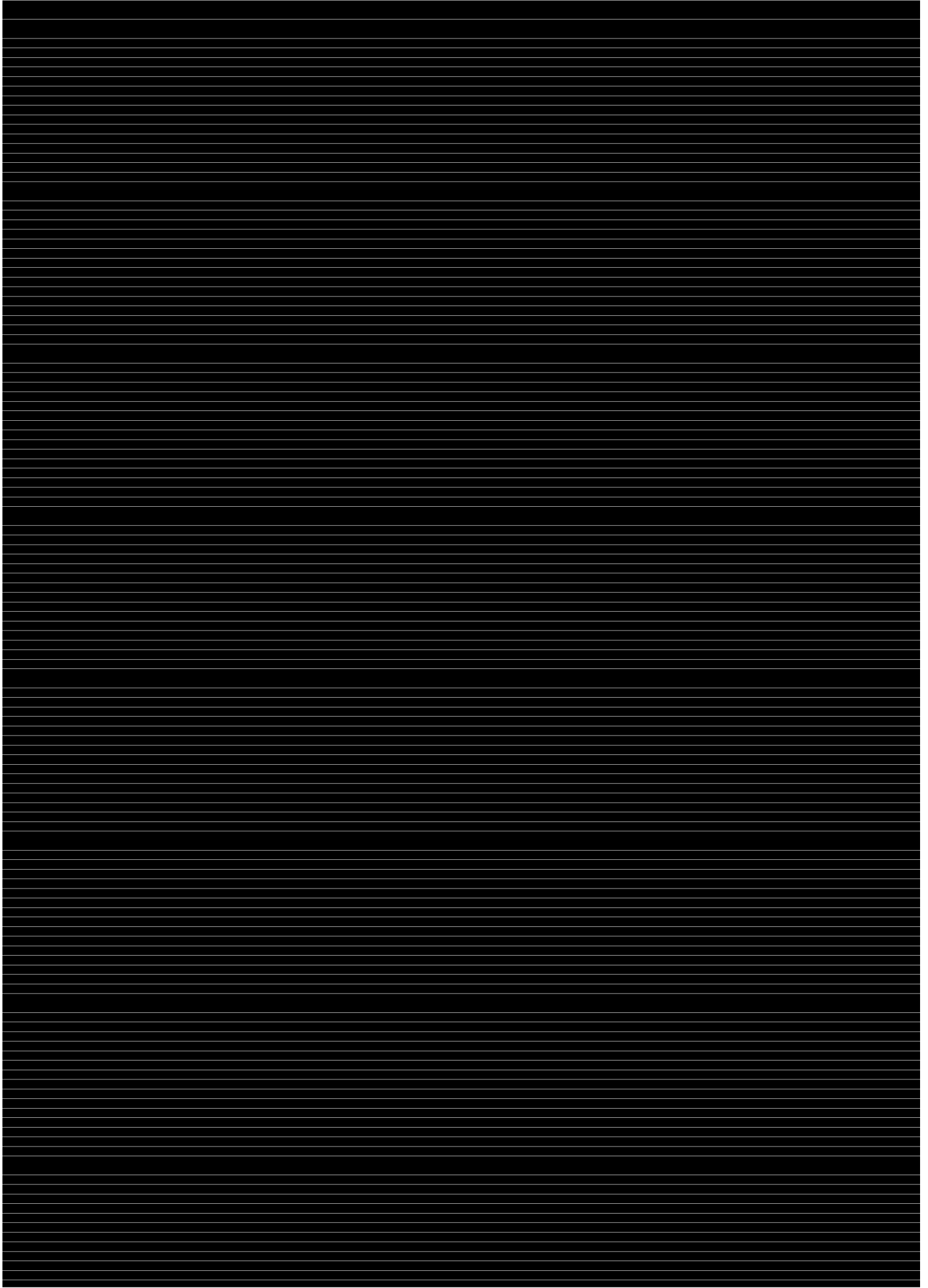
The compounds of this invention were synthesized pursuant to methods of Examples 574 or 575 using the corresponding reactant respectively. Preparative TLC or chromatography (HPLC-C₁₈), if needed, afforded the desired material. The ESI/MS data and yields are summarized in Table 8.

Table 8

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 576	240	C ₂₁ H ₂₁ F ₄ N ₃ O ₂	424.0	10.2	48
Example 577	241	C ₂₁ H ₂₁ ClF ₃ N ₃ O ₂	440.0	12.1	55
Example 578	242	C ₂₁ H ₂₀ Cl ₂ F ₃ N ₃ O ₂	474.0	13.9	59
Example 579	243	C ₂₁ H ₂₀ Cl ₂ F ₃ N ₃ O ₂	474.0	13.8	58
Example 580	244	C ₂₂ H ₂₄ F ₃ N ₃ O ₂	420.0	13.1	62
Example 581	245	C ₂₁ H ₂₁ F ₄ N ₃ O ₂	424.0	11.9	56
Example 582	246	C ₂₁ H ₂₁ ClF ₃ N ₃ O ₂	440.0	8.5	39
Example 583	247	C ₂₁ H ₂₀ Cl ₂ F ₃ N ₃ O ₂	474.0	10.5	44
Example 584	248	C ₂₂ H ₂₄ CF ₃ N ₃ O ₂	436.0	11.0	51

Example 585	249	$C_{22}H_{21}ClF_6N_3O_2$	474.0	12.8	54
Example 586	250	$C_{22}H_{24}F_3N_3O_2$	420.0	11.0	52
Example 587	251	$C_{21}H_{21}F_4N_3O_2$	424.0	13.5	64
Example 588	252	$C_{22}H_{24}F_3N_3O_3$	436.0	11.8	54
Example 589	253	$C_{22}H_{24}F_3N_3O_2$	420.0	11.1	53
Example 590	254	$C_{21}H_{20}ClF_3N_4O_4$	485.0	2.4	10
Example 591	255	$C_{21}H_{21}F_3N_4O_4$	451.0	12.2	54
Example 592	256	$C_{21}H_{21}F_3N_4O_4$	451.0	11.4	51
Example 593	257	$C_{22}H_{21}F_6N_3O_2$	474.0	11.1	47
Example 594	258	$C_{24}H_{26}F_3N_3O_4$	478.0	15.3	64
Example 595	259	$C_{22}H_{23}ClF_3N_3O_2$	420.0	6.4	31
Example 596	260	$C_{21}H_{20}Cl_2F_3N_3O_2$	474.0	12.1	51
Example 597	261	$C_{22}H_{21}ClF_6N_3O_2$	474.0	13.6	57
Example 598	262	$C_{21}H_{21}BrF_3N_3O_2$	484.0	15.2	63
Example 599	263	$C_{21}H_{21}BrF_3N_3O_2$	484.0	14.5	60
Example 600	264	$C_{27}H_{26}F_3N_3O_3$	498.0	9.3	37
Example 601	265	$C_{21}H_{21}BrF_3N_3O_2$	484.0	11.6	48
Example 602	266	$C_{22}H_{22}F_3N_3O_4$	450.0	8.9	40
Example 603	267	$C_{22}H_{24}F_3N_3O_3$	436.0	10.3	47
Example 604	268	$C_{23}H_{25}F_3N_4O_3$	463.0	6.3	27
Example 605	269	$C_{22}H_{24}F_3N_3O_4S$	484.0	8.0	33
Example 606	270	$C_{23}H_{24}F_3N_3O_4$	464.0	8.9	38
Example 607	271	$C_{21}H_{20}F_5N_3O_2$	442.0	6.1	28
Example 608	272	$C_{21}H_{22}F_3N_3O_3$	422.0	13.6	59
Example 609	273	$C_{22}H_{21}F_3N_4O_2$	431.0	12.6	59
Example 610	274	$C_{22}H_{21}F_3N_4O_2$	431.0	7.7	36
Example 611	275	$C_{22}H_{21}F_3N_4O_2$	431.0	12.7	59
Example 612	276	$C_{21}H_{20}F_5N_3O_2$	442.0	11.7	53
Example 613	277	$C_{27}H_{26}F_3N_3O_2$	482.0	9.5	39
Example 614	278	$C_{23}H_{24}F_3N_3O_4$	464.0	13.0	56
Example 615	279	$C_{22}H_{21}F_6N_3O_3$	490.0	10.4	42
Example 616	280	$C_{22}H_{21}F_6N_3O_3$	490.0	12.0	49
Example 617	281	$C_{22}H_{22}F_3N_3O_4$	450.0	4.9	22
Example 618	282	$C_{25}H_{30}F_3N_3O_2$	462.0	12.0	52
Example 619	283	$C_{20}H_{23}F_3N_4O_3$	425.0	8.1	38
Example 620	284	$C_{27}H_{25}ClF_3N_3O_2$	516.0	4.8	19
Example 621	285	$C_{21}H_{22}F_3N_3O_2$	406.0	4.8	24
Example 622	286	$C_{21}H_{21}F_4N_3O_2$	424.0	4.5	21
Example 623	287	$C_{21}H_{21}ClF_3N_3O_2$	440.0	5.8	26
Example 624	288	$C_{21}H_{20}Cl_2F_3N_3O_2$	474.0	8.1	34

Example 625	289	$C_{21}H_{20}Cl_2F_3N_3O_2$	474.0	8.0	34
Example 626	290	$C_{22}H_{24}F_3N_3O_2$	420.0	6.0	29
Example 627	291	$C_{21}H_{21}F_4N_3O_2$	424.0	6.2	29
Example 628	292	$C_{21}H_{21}ClF_3N_3O_2$	440.0	4.5	20
Example 629	293	$C_{21}H_{20}Cl_2F_3N_3O_2$	474.0	5.1	22
Example 630	294	$C_{22}H_{24}CF_3N_3O_3$	436.0	4.2	19
Example 631	295	$C_{22}H_{21}ClF_6N_3O_2$	474.0	6.0	25
Example 632	296	$C_{22}H_{24}F_3N_3O_2$	420.0	4.3	21
Example 633	297	$C_{21}H_{21}F_4N_3O_2$	424.0	8.2	39
Example 634	298	$C_{22}H_{24}F_3N_3O_3$	436.0	12.2	56
Example 635	299	$C_{22}H_{24}F_3N_3O_2$	420.0	8.1	39
Example 636	300	$C_{21}H_{20}ClF_3N_4O_4$	485.0	13.7	57
Example 637	301	$C_{21}H_{21}F_3N_4O_4$	451.0	15.1	67
Example 638	302	$C_{21}H_{21}F_3N_4O_4$	451.0	16.6	74
Example 639	303	$C_{22}H_{21}F_6N_3O_2$	474.0	12.6	53
Example 640	304	$C_{24}H_{26}F_3N_3O_4$	478.0	14.5	61
Example 641	305	$C_{22}H_{23}ClF_3N_3O_2$	420.0	8.4	37
Example 642	306	$C_{21}H_{20}Cl_2F_3N_3O_2$	474.0	13.5	57
Example 643	307	$C_{22}H_{21}ClF_6N_3O_2$	474.0	3.7	16
Example 644	308	$C_{21}H_{21}BrF_3N_3O_2$	484.0	7.2	30
Example 645	309	$C_{21}H_{21}BrF_3N_3O_2$	484.0	6.7	28
Example 646	310	$C_{27}H_{26}F_3N_3O_3$	498.0	4.2	17
Example 647	311	$C_{21}H_{21}BrF_3N_3O_2$	484.0	6.3	26
Example 648	312	$C_{22}H_{22}F_3N_3O_4$	450.0	2.4	11
Example 649	313	$C_{22}H_{24}F_3N_3O_3$	436.0	1.9	9
Example 650	314	$C_{23}H_{25}F_3N_4O_3$	463.0	5.0	22
Example 651	315	$C_{22}H_{24}F_3N_3O_4S$	484.0	2.5	10
Example 652	316	$C_{23}H_{24}F_3N_3O_4$	464.0	3.3	14
Example 653	317	$C_{21}H_{24}F_5N_3O_2$	442.0	4.5	20
Example 654	318	$C_{21}H_{22}F_3N_3O_3$	422.0	7.9	34
Example 655	319	$C_{22}H_{21}F_3N_4O_2$	431.0	6.5	30
Example 656	320	$C_{22}H_{21}F_3N_4O_2$	431.0	14.2	66
Example 657	321	$C_{22}H_{21}F_3N_4O_2$	431.0	14.9	69
Example 658	322	$C_{21}H_{24}F_3N_3O_2$	442.0	13.6	62
Example 659	323	$C_{27}H_{26}F_3N_3O_2$	482.0	3.9	16
Example 660	324	$C_{23}H_{24}F_3N_3O_4$	464.0	15.2	66
Example 661	325	$C_{22}H_{21}F_6N_3O_3$	490.0	16.1	66
Example 662	326	$C_{22}H_{21}F_6N_3O_3$	490.0	13.6	56
Example 663	327	$C_{22}H_{22}F_3N_3O_4$	450.0	5.4	24
Example 664	328	$C_{25}H_{31}F_3N_3O_2$	462.0	10.9	47



Example 705	1301	C24 H28 F3 N3 O5	496	12.6	53
Example 706	1302	C24 H28 F3 N3 O3	464	24.5	quant
Example 707	1303	C23 H25 Br F3 N3 O4	544	22.2	86
Example 708	1304	C29 H30 F3 N3 O4	542	28.6	quant
Example 709	1305	C26 H26 F3 N3 O3	486	35.4	quant
Example 710	1306	C24 H28 F3 N3 O4	480	8.1	35
Example 711	1307	C23 H26 F3 N3 O5	482	27.9	quant
Example 712	1308	C23 H24 F3 N3 O3	448	5.9	28
Example 713	1309	C23 H25 F3 I N3 O4	592	24.0	85
Example 714	1310	C22 H24 F3 N3 O4	452	3.4	16
Example 715	1311	C22 H22 F3 N3 O4	450	3.4	16
Example 716	1312	C21 H21 F3 I N3 O2	532	18.1	72
Example 717	1313	C21 H21 Br F3 N3 O2	484	17.4	76
Example 718	1314	C19 H19 F3 N4 O4 S	457	16.8	77
Example 719	1315	C20 H22 F3 N3 O3	410	13.6	70
Example 720	1316	C22 H20 Cl F6 N3 O2	508	18.6	77
Example 721	1317	C21 H20 Cl F3 N4 O4	485	17.0	74
Example 722	1318	C21 H20 Cl F4 N3 O2	458	17.0	78
Example 723	1319	C21 H20 Cl F4 N3 O2	458	17.6	81
Example 724	1320	C21 H20 Br F4 N3 O2	502	18.5	77
Example 725	1390	C26 H32 F3 N3 O2	476	16.1	51
Example 726	1391	C23 H26 F3 N3 O2	434	20.0	76
Example 727	1392	C22 H23 Cl F3 N3 O2	454	20.0	67
Example 728	1393	C23 H26 F3 N3 O2	434	20.1	70
Example 729	1394	C22 H23 F3 N4 O4	465	18.4	60
Example 730	1395	C23 H24 F3 N3 O2	432	21.4	75
Example 731	1396	C26 H26 F3 N3 O2	470	20.4	66
Example 732	1397	C21 H20 Br2 F3 N3 O2	562	14.5	54
Example 733	1398	C22 H22 Cl2 F3 N3 O2	488	10.8	47
Example 734	1399	C22 H22 Cl2 F3 N3 O2	488	9.4	40
Example 735	1400	C22 H23 Cl F3 N3 O2	454	19.1	88
Example 736	1614	C22 H21 F6 N3 S	506.0	24.2	96
Example 737	2050	C20 H22 F3 N3 O2 S	426	6.0	30
Example 738	2051	C21 H23 F3 N4 O2	421	6.5	32

*Yield of TFA salt.

Examples 739-748.

The compounds of this invention were synthesized pursuant to methods of
 5 Example 738 using the corresponding reactant respectively. Preparative TLC,

if needed, afforded the desired material. The ESI/MS data and yields are summarized in Table 9.

Table 9

5

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 739	1650	C24 H28 F3 N3 O2	448.0	20.4	91
Example 740	1706	C23 H25 F3 N4 O3	463.2	3.7	11
Example 741	1707	C22 H25 F3 N4 O2 S	467.0	10.3	29
Example 742	1708	C23 H27 F3 N4 O2	449.2	11.4	34
Example 743	1709	C24 H29 F3 N4 O2	463.2	15.2	44
Example 744	1775	C22 H25 F3 N4 O4	467.2	9.2	26.3
Example 745	1776	C22 H25 F3 N4 O4	467.2	8.9	25.4
Example 746	1787	C24 H29 F3 N4 O2	463.2	5.6	16.1
Example 747	1802	C23 H27 F3 N4 O4	481.2	11.7	32.5
Example 748	1803	C22 H25 F3 N4 O3	451.2	9.6	28.4

Example 749: Preparation of (R)-3-[(N-(2-Amino-5-trifluoromethoxybenzoyl)glycyl)amino]-1-(3-hydroxy-4-methoxybenzyl)pyrrolidine (Compound No. 1896).

10 To a mixture of (R)-3-[N-{2-(tert-butoxycarbonylamino)-5-(trifluoromethoxy)benzoyl}glycyl]aminopyrrolidine (0.050 mmol), 3-hydroxy-4-methoxybenzaldehyde (0.060 mmol), NaBH₃CN (0.15 mmol), and methanol (1.3 mL) was added acetic acid (0.050 mL). The reaction mixture was stirred at 60 °C for 8 h. The mixture was cooled to room temperature, loaded onto Varian™ SCX

15 column, and washed with CH₃OH (10 mL). Product was eluted off using 2 N NH₃ in CH₃OH (5 mL) and concentrated. To the resulting material was added 4 N HCl in 1,4-dioxane and the solution was stirred overnight at room temperature. Concentration and preparative TLC gave (R)-3-[(N-(2-amino-5-trifluoromethoxybenzoyl)glycyl)amino]-1-(3-hydroxy-4-

20 methoxybenzyl)pyrrolidine (Compound No. 1896) (9.1 mg, 38%): The purity was determined by RPLC/MS (93%); ESI/MS m/e 483 (M⁺+H, C₂₂H₂₅F₃N₄O₅).

Examples 750-757.

25 The compounds of this invention were synthesized pursuant to methods of Example 749 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 10.

Table 10

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 750	1897	C22 H25 F3 N4 O3 S	483	22.7	94.1
Example 751	1898	C23 H27 F3 N4 O3	465	12.2	52.5
Example 752	1899	C24 H29 F3 N4 O3	479	14.4	60.2
Example 753	1900	C22 H25 F3 N4 O5	483	2.6	10.8
Example 754	1901	C24 H29 F3 N4 O3	479	14.5	60.6
Example 755	1902	C23 H25 F3 N4 O4	479	12.0	50.2
Example 756	1915	C23 H27 F3 N4 O5	467.2	2.5	6.7
Example 757	1916	C22 H25 F3 N4 O4	467.2	3.1	8.9

Example 758: Preparation of (R)-3-[(N-(2-Amino-5-(trifluoromethyl)benzoyl)glycyl)amino]-1-(4-vinylbenzyl)pyrrolidine (Compound No. 1701).

A mixture of (R)-3-[(N-(2-amino-5-(trifluoromethyl)benzoyl)glycyl)amino]pyrrolidine (0.050 mmol), 4-vinylbenzyl chloride (9.9 mg, 0.065 mmol), piperidinomethylpolystyrene (60 mg), acetonitrile (1.0 mL) and chloroform (0.30 mL) was stirred at 50 °C for 12 h. The reaction mixture was cooled, loaded onto Varian™ SCX column and washed with CH₃OH (15 mL). Product was eluted using 2 N NH₃ in CH₃OH (5 mL) and concentrated to afford (R)-3-[(N-(2-amino-5-(trifluoromethyl)benzoyl)glycyl)amino]-1-(4-vinylbenzyl)pyrrolidine (Compound No. 1701) (19.6 mg, 88%): The purity was determined by RPLC/MS (92%); ESI/MS m/e 547.2 (M⁺H, C₂₃H₂₅ClF₃N₄O₂).

Examples 759-762

The compounds of this invention were synthesized pursuant to methods of Example 758 using the corresponding reactant respectively. Preparative TLC, if needed, afforded the desired material. The ESI/MS data and yields are summarized in Table 11.

Table 11

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 759	1702	C22 H25 F3 N4 O3	451.2	5.3	24
Example 760	1703	C22 H23 F3 N4 O4	465.2	5.0	22
Example 761	1704	C21 H23 F3 N4 O3	437.2	20.9	96
Example 762	1705	C21 H21 Cl2 F3 N4 O2	489.2	9.3	38

Example 763: Preparation of (R)-3-[(N-(2-Amino-5-(trifluoromethoxy)benzoyl)glycyl)amino]-1-(2,4-dichlorobenzyl)pyrrolidine (Compound No. 1905).

5 A mixture of (R)-3-[(N-(2-amino-5-(trifluoromethoxy)benzoyl)glycyl)amino]pyrrolidine (0.050 mmol), 2,4-dichlorobenzyl chloride (0.060 mmol), piperidinomethylpolystyrene (60 mg), acetonitrile (0.8 mL) and chloroform (0.5 mL) was stirred at 60 °C for 12 h. The reaction mixture was cooled, loaded onto VarianTM SCX column and washed with
 10 50% CHCl₃/CH₃OH (10 mL) and CH₃OH (10 mL). Product was eluted using 2 N NH₃ in CH₃OH (5 mL) and concentrated. To the resulting material was added 4 N HCl in 1,4-dioxane (2 mL), and the solution was stirred overnight at room temperature. Concentration and preparative TLC afforded (R)-3-[(N-(2-amino-5-(trifluoromethoxy)benzoyl)glycyl)amino]-1-(2,4-dichlorobenzyl)pyrrolidine
 15 (Compound No. 1905) (17.6 mg, 70%): The purity was determined by RPLC/MS (93%); ESI/MS m/e 505 (M⁺+H, C₂₁H₂₁Cl₂F₃N₄O₃).

Examples 764-770

20 The compounds of this invention were synthesized pursuant to methods of Example 763 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 12.

Table 12

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 764	1906	C22 H23 F3 N4 O5	481	9.4	39.1
Example 765	1907	C21 H23 F3 N4 O4	453	7.5	33.2
Example 766	1908	C22 H25 F3 N4 O4	467	7.7	33.0
Example 767	2180	C22 H24 Cl F3 N4 O2	469	1.3	26
Example 768	2181	C23 H25 F3 N6 O3	491	4.3	52
Example 769	2182	C19 H22 F3 N5 O2 S	442	7.0	51
Example 770	1909	C23 H25 F3 N4 O3	463	8.7	37.6

25

Example 771: Preparation of (R)-3-[(N-(2-Amino-5-trifluoromethoxybenzoyl)glycyl)amino]-1-(2-amino-4-chlorobenzyl)pyrrolidine (Compound No. 1921).

30 A mixture of (R)-3-[(N-(2-amino-5-trifluoromethoxybenzoyl)glycyl)amino]pyrrolidine (0.050 mmol), 4-chloro-2-

nitrobenzyl chloride (0.050 mmol), piperidinomethylpolystyrene (60 mg), acetonitrile (1.0 mL) and chloroform (0.7 mL) was stirred overnight at 50 °C. The reaction mixture was cooled, loaded onto Varian™ SCX column and washed with 50% CHCl₃/CH₃OH (10 mL) and CH₃OH (10 mL). Product was eluted using 2 N NH₃ in CH₃OH (5 mL) and concentrated. To the resulting material was added ethanol (3 mL) and 10% Pd-C (15 mg), and the mixture was stirred under H₂ at room temperature for 1.5 h. Filtration, concentration, and preparative TLC afforded (R)-3-[[N-(2-amino-5-trifluoromethoxybenzoyl)glycyl]amino]-1-(2-amino-4-chlorobenzyl)pyrrolidine (Compound No. 1921) (2.2 mg, 6%): The purity was determined by RPLC/MS (81%); ESI/MS m/e 486.2 (M⁺+H, C₂₁H₂₃ClF₃N₅O₃).

Example 772: Preparation of (R)-3-[[N-(2-Amino-5-trifluoromethylbenzoyl)glycyl]amino]-1-(4-bromo-2-fluorobenzyl)pyrrolidine (Compound No. 2120).

To a mixture of (R)-3-[[N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl]amino]pyrrolidine (0.050 mmol), 4-bromo-2-fluorobenzaldehyde (0.15 mmol), methanol (1.5 mL), and acetic acid (0.016 mL) was added NaBH₃CN (0.25 mmol) in methanol (0.50 mL). The reaction mixture was stirred at 50 °C overnight. The mixture was cooled to room temperature, loaded onto Varian™ SCX column, and washed with CH₃OH (5 mL x 2). Product was eluted off using 2 N NH₃ in CH₃OH (5 mL) and concentrated. The residue was dissolved in methanol (0.25 mL) and 4 N HCl in dioxane (0.50 mL) was added. The solution was stirred at room temperature for 5 h and concentrated. The residue was dissolved in methanol, loaded onto Varian™ SCX column, and washed with CH₃OH (5 mL x 2). Product was eluted off using 2 N NH₃ in CH₃OH (5 mL) and concentrated. The resulting material was dissolved into ethyl acetate (0.5 mL), loaded onto Varian™ Si column, eluted off using ethyl acetate/methanol = 5:1 (6 mL), and concentrated to afford (R)-3-[[N-(2-amino-5-trifluoromethylbenzoyl)glycyl]amino]-1-(4-bromo-2-fluorobenzyl)pyrrolidine (Compound No. 2120) (16.0 mg, 31%): The purity was determined by RPLC/MS (99%); ESI/MS m/e 517.0 (M⁺+H, C₂₁H₂₁BrF₄N₄O₂).

Examples 773-793.

The compounds of this invention were synthesized pursuant to methods of Example 772 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 13.

Table 13

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 773	2083	C22 H24 Br F3 N4 O4	545.2	2.9	11
Example 774	2084	C23 H27 F3 N4 O5	497.2	5.1	21
Example 775	2085	C22 H25 F3 N4 O4	467.2	3.1	13
Example 776	2086	C21 H22 Cl F3 N4 O3	471.0	4.6	20
Example 777	2087	C23 H28 F3 N5 O2	464.2	5.6	24
Example 778	2088	C25 H32 F3 N5 O2	492.2	5.9	24
Example 779	2089	C21 H21 F5 N4 O2	457.2	4.5	20
Example 780	2090	C27 H27 F3 N4 O3	513.2	8.0	31
Example 781	2118	C21 H23 F3 N4 O4	453.1	2.7	12
Example 782	2119	C21 H23 F3 N4 O4	453.1	4.3	19
Example 783	2121	C22 H25 F3 N4 O4	467.0	1.2	2
Example 784	2122	C21 H21 Cl F4 N4 O2	472.9	13.1	28
Example 785	2123	C22 H22 F3 N5 O6	510.1	13.1	51
Example 786	2124	C21 H21 Cl F3 N5 O4	500.1	15.6	62
Example 787	2125	C22 H24 F3 N5 O5	496.0	16.0	65
Example 788	2126	C22 H24 F3 N5 O4	480.1	15.6	65
Example 789	2137	C22 H24 Cl F3 N4 O2	469.2	2.6	11
Example 790	2138	C26 H29 F3 N6 O2	515.3	25.1	98
Example 791	2139	C20 H24 Cl F3 N6 O2	473.2	25.0	98
Example 792	2149	C21 H22 F3 N5 O5	482.3	4.9	34
Example 793	2157	C22 H25 F3 N4 O3	451.2	15.5	70

Example 794: Preparation of (R)-3-[(N-(2-Amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(2,4-dimethoxypyrimidin-5-ylmethyl)pyrrolidine (Compound No. 2175).

(R)-3-[(N-(2-Amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (17.2 mg, 0.04 mmol) was dissolved in THF (1 mL) and 2,4-dimethoxy-5-pyrimidine carboxaldehyde (6.7 mg, 0.04 mmol) was added followed by sodium triacetoxyborohydride (12.7 mg, 0.06 mmol) and glacial acetic acid (2.4 mg, 0.04 mmol). The mixture was stirred at room temperature for 24 h and evaporated. The residue was then dissolved in dichloromethane (1 mL) and washed with 1 N NaOH solution (1 mL). The organic phase was recovered and evaporated then treated with 25% trifluoroacetic acid in dichloromethane (1 mL) for 1 h at room temperature and evaporated. The residue was purified using LC/MS to afford (R)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(2,4-dimethoxypyrimidin-5-ylmethyl)pyrrolidine (Compound No. 2175) (18.6 mg, 78%): The purity was determined by RPLC/MS (98%); ESI/MS m/e 483 (M⁺+H, C₂₁H₂₅F₃N₅O₄).

Examples 795-803.

The compounds of this invention were synthesized pursuant to methods of Example 794 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 14.

5

Table 14

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 795	2165	C18 H21 F3 N6 O2	411	2.0	27
Example 796	2166	C18 H20 F3 N5 O2 S	428	9.9	66
Example 797	2167	C24 H25 F3 N6 O2	487	15.1	73
Example 798	2169	C24 H29 F3 N4 O2	463	1.2	24
Example 799	2170	C26 H25 Cl F3 N5 O2	520	6.0	40
Example 800	2171	C19 H23 F3 N6 O2	425	16.8	88
Example 801	2174	C23 H24 Br F3 N4 O2 S2	591	5.3	53
Example 802	2178	C25 H28 F3 N5 O4	518	5.4	62
Example 803	2179	C25 H28 F3 N5 O3	502	6.3	60

Example 804: Preparation of (R)-1-(2-Amino-4,5-methylenedioxybenzyl)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 2127).

A mixture of (R)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4,5-methylenedioxy-2-nitrobenzyl)pyrrolidine (30.5 mg), 10% Pd-activated carbone (6 mg), and methanol (3 mL) was stirred under a hydrogen atmosphere at room temperature for 10 h. The Pd catalyst was filtered off through Celite, and the filtrate was concentrated. Solid phase extraction (Bond ElutTM SI, 20% methanol/AcOEt) afforded (R)-1-(2-amino-4,5-methylenedioxybenzyl)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 2127) (21.9 mg, 76%): The purity was determined by RPLC/MS (95%); ESI/MS m/e 480.1 (M⁺+H, C₂₂H₂₄F₃N₅O₄).

Examples 805 and 806.

The compounds of this invention were synthesized pursuant to methods of Example 804 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 15.

Table 15

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 805	2128	C22 H26 F3 N5 O3	466.0	8.6	30
Example 806	2129	C22 H26 F3 N5 O2	450.1	13.1	37

Example 807: Preparation of (R)-1-(3-Amino-4-chlorobenzyl)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 2132).

5 A mixture of (R)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chloro-3-nitrobenzyl)pyrrolidine (32.6 mg), 10% Pd-activated carbone (8 mg), ethyl acetate (2.7 mL) and methanol (0.3 mL) was stirred under a hydrogen atmosphere at room temperature for 15 h. The Pd catalyst was filtered off, and the filtrate was concentrated. Solid phase
10 extraction (Bond Elut™ SI, 20% methanol/AcOEt) afforded (R)-1-(3-amino-4-chlorobenzyl)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 2132) (10.5 mg, 34%): The purity was determined by RPLC/MS (84%); ESI/MS m/e 470.2 (M⁺+H, C₂₁H₂₃ClF₃N₅O₂).

15

Example 808: Preparation of (R)-1-(2-Amino-4,5-methylenedioxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine.

To a mixture of (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (0.150 mmol), 4,5-methylenedioxy-2-nitrobenzaldehyde (0.45 mmol), methanol (4.5 mL), and acetic acid (0.048 mL) was added NaBH₃CN (0.75 mmol) in methanol (1.50 mL). The reaction mixture was stirred at 50 °C overnight. The mixture was cooled to room temperature, loaded onto Varian™ SCX column, and washed with CH₃OH. Product
20 was eluted off using 2 N NH₃ in CH₃OH and concentrated to afford (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4,5-methylenedioxy-2-nitrobenzyl)pyrrolidine.

25

A mixture of (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4,5-methylenedioxy-2-nitrobenzyl)pyrrolidine prepared above, 10% Pd-activated carbone (22 mg), and methanol (3.0 mL) was stirred under a hydrogen atmosphere at room temperature overnight. The Pd catalyst was filtered off, and the filtrate was concentrated to afford (R)-1-(2-amino-4,5-methylenedioxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine

30

(87.1 mg, quant.): Any remarkable by-products were not detected in TLC.

(R)-1-(3-Amino-4-methoxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine and (R)-1-(3-amino-4-methylbenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine were also synthesized pursuant to methods of Example 808 using the corresponding reactant respectively.

(R)-1-(3-Amino-4-methoxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine: 101 mg, quant.; Any remarkable by-products were not detected in TLC.

(R)-1-(3-amino-4-methylbenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine: 97.2 mg, quant.; Any remarkable by-products were not detected in TLC.

Example 809: Preparation of (R)-1-(3-Amino-4-chlorobenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine.

To a mixture of (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (0.150 mmol), 4-chloro-3-nitrobenzaldehyde (0.45 mmol), methanol (4.5 mL), and acetic acid (0.048 mL) was added NaBH₃CN (0.75 mmol) in methanol (1.50 mL). The reaction mixture was stirred at 50 °C overnight. The mixture was cooled to room temperature, loaded onto VarianTM SCX column, and washed with CH₃OH. Product was eluted off using 2 N NH₃ in CH₃OH and concentrated to afford (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chloro-3-nitrobenzyl)pyrrolidine.

A mixture of (R)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chloro-3-nitrobenzyl)pyrrolidine prepared above, 10% Pd-activated carbone (22 mg), ethyl acetate (2.7 mL) and methanol (0.3 mL) was stirred under a hydrogen atmosphere at room temperature for 15 h. The Pd catalyst was filtered off, and the filtrate was concentrated to afford (R)-1-(3-amino-4-chlorobenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (89.7 mg, quant.): Any remarkable by-products were not detected in TLC.

Example 810: Preparation of (R)-1-(3-Amino-4-hydroxybenzyl)-3-[(N-(2-Amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 2187).

A solution of (R)-1-(3-amino-4-hydroxybenzyl)-3-[(N-(2-(tert-

butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (20 mg), prepared pursuant to methods of Example 808, in 4 N HCl in dioxane (2.0 mL) was stirred at room temperature overnight. After the solution was concentrated, the residue was dissolved in methanol, loaded onto VarianTM SCX
 5 column, washed with CH₃OH, and eluted off using 2 N NH₃ in CH₃OH. Concentration and preparative TLC (SiO₂, AcOEt/MeOH = 4:1) afforded (R)-1-(3-amino-4-hydroxybenzyl)3-[(N-(2-Amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 2187) (9.6 mg, 59%): The purity was determined by RPLC/MS (86%); ESI/MS m/e 452.3 (M⁺+H, C₂₁H₂₄F₃N₅O₃).

Example 811: Preparation of (R)-3-[(N-(2-Amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chloro-3-(dimethylamino)benzyl)pyrrolidine (Compound No. 2133).

15 To a mixture of (R)-1-(3-amino-4-chlorobenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (44.9 mg), methanol (0.95 mL), acetic acid (0.05 mL), and 37% aqueous HCHO solution (0.15 mL) was added NaBH₃CN (38 mg). The reaction mixture was stirred at 50 °C overnight. The mixture was cooled to room temperature and evaporated. To the
 20 residue was added 2 N aqueous NaOH solution and ethyl acetate, the organic layer was separated, and the aqueous layer was extracted with ethyl acetate. The combined organic layers were dried and concentrated, and the residue was loaded onto VarianTM SCX column and washed with CH₃OH. Product was eluted off using 2 N NH₃ in CH₃OH and concentrated. The residue was dissolved in 50% conc.
 25 HCl/dioxane and the solution was stirred at room temperature for 1 h. The reaction mixture was adjusted to pH 10 with 5 N aqueous NaOH solution and extracted with ethyl acetate (2 times). The combined extracts were dried over Na₂SO₄, filtered, and evaporated. Preparative TLC (SiO₂, 20% MeOH/AcOEt) gave (R)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(4-chloro-3-(dimethylamino)benzyl)pyrrolidine (Compound No. 2133). (10.9 mg, 28%): The
 30 purity was determined by RPLC/MS (95%); ESI/MS m/e 498.3 (M⁺+H, C₂₃H₂₇ClF₃N₅O₂).

Examples 812-814.

35 The compounds of this invention were synthesized pursuant to methods of Example 811 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 16.

Table 16

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 812	2134	C ₂₄ H ₂₈ F ₃ N ₅ O ₄	508.4	19.0	50
Example 813	2135	C ₂₄ H ₃₀ F ₃ N ₅ O ₃	494.4	21.8	50
Example 814	2136	C ₂₄ H ₃₀ F ₃ N ₅ O ₂	478.4	29.2	69

Example 815: Preparation of (R)-3-[(N-(2-Amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(3-methylamino-4-hydroxybenzyl)pyrrolidine (Compound No. 2158).

To a mixture of (R)-1-(3-amino-4-hydroxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (27.3 mg, 0.049 mmol), 37% HCHO solution (4.0 mg, 0.049 mmol), acetic acid (0.10 mL) and methanol (1.3 mL) was added NaBH₃CN (9.2 mg) in methanol (0.2 mL). The reaction mixture was stirred at 60 °C overnight. The mixture was cooled to room temperature, loaded onto VarianTM SCX column, and washed with CH₃OH (5 mL x 2). Product was eluted off using 2 N NH₃ in CH₃OH (8 mL) and concentrated.

The resulting material was dissolved in methanol (1 mL) and 4 N HCl in dioxane (1.0 mL) was added. The solution was stirred at room temperature for 3 h. After the solution was concentrated, the residue was dissolved in methanol (1 mL), loaded onto VarianTM SCX column, washed with CH₃OH (5 mL x 2), and eluted off using 2 N NH₃ in CH₃OH (8 mL). Concentration and preparative TLC (SiO₂) afforded (R)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(3-methylamino-4-hydroxybenzyl)pyrrolidine (Compound No. 2158) (4.3 mg, 19%): The purity was determined by RPLC/MS (71%); ESI/MS m/e 480.3 (M⁺+H, C₂₂H₂₆F₃N₅O₃).

Example 816: Preparation of (R)-1-(3-Acetylamino-4-methoxybenzyl)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. 2152).

To a solution of (R)-1-(3-amino-4-methoxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (50.5 mg) in pyridine (1 mL) was added acetic anhydride (1 mL). The reaction mixture was stirred at room temperature overnight and methanol was added. The mixture was evaporated, and 1 N NaOH solution was added. The mixture was extracted with ethyl acetate and the organic layer was concentrated. Preparative TLC gave (R)-1-(3-acetylamino-4-methoxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine.

The resulting (R)-1-(3-acetylamino-4-methoxybenzyl)-3-[(N-(2-(tert-

butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine was dissolved in 50% 6 N hydrochloric acid in dioxane and the solution was stirred at room temperature for 2 h. The mixture was adjusted to pH 10 with 5 M NaOH solution, and extracted with ethyl acetate. The organic layer was evaporated and preparative TLC (SiO₂, AcOEt/MeOH = 4:1) afforded (R)-1-(3-acetylamino-4-methoxybenzyl)-3-[(N-(2-amino-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (Compound No. **2152**) (3.7 mg, 8%): The purity was determined by RPLC/MS (100%); ESI/MS m/e 508.3 (M⁺+H, C₂₄H₂₈F₃N₅O₄).

Examples 817-819.

The compounds of this invention were synthesized pursuant to methods of Example 816 using the corresponding reactants respectively. The ESI/MS data and yields are summarized in Table 17.

Table 17

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 817	2150	C ₂₃ H ₂₅ ClF ₃ N ₅ O ₃	512.3	3.8	9
Example 818	2151	C ₂₄ H ₂₆ F ₃ N ₅ O ₅	522.2	3.1	8
Example 819	2153	C ₂₄ H ₂₈ F ₃ N ₅ O ₃	492.3	4.3	10

Example 820: Preparation of (R)-3-[(N-(2-Amino-5-trifluoromethylbenzoyl)glycyl)amino]-1-(benz[d]oxazol-5-yl)pyrrolidine (Compound No. 2189).

A solution of (R)-1-(3-amino-4-hydroxybenzyl)-3-[(N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl)amino]pyrrolidine (20 mg), prepared pursuant to methods of Example 808, in THF (2 mL) was treated with triethyl orthoformate (0.020 mL, 3.3 eq) and pyridinium *p*-toluenesulphonate (1.2 mg, 0.4 eq). The reaction mixture was stirred overnight under reflux. After cooling to room temperature, the mixture was concentrated. The residue was dissolved in AcOEt, loaded onto BondElutTM Si column, eluted off using ethyl acetate/methanol = 4/1, and concentrated.

The resulting material was dissolved into AcOEt (1.5 mL), and 4 N HCl in dioxane (0.5 mL) was added. The solution was stirred at room temperature overnight, adjusted to pH 10 with 5 M NaOH aqueous solution, and extracted with AcOEt. The extract was concentrated and purified by PTLC (SiO₂, AcOEt/MeOH =

4:1) to afford (R)-3-[[N-(2-amino-5-trifluoromethylbenzoyl)glycyl]amino]-1-(benzo[d]oxazol-5-yl)pyrrolidine (Compound No. 2189) (0.5 mg, 3%): The purity was determined by RPLC/MS (97%); ESI/MS m/e 462.3 (M^+H , $C_{22}H_{22}F_3N_5O_3$).

5 **Example 821: Preparation of (R)-3-[[N-(2-Amino-5-trifluoromethylbenzoyl)glycyl]amino]-1-(benzo[c]thiadiazol-5-yl)pyrrolidine (Compound No. 2183).**

To a mixture of 5-(hydroxymethyl)benzo[c]thiadiazole (8.3 mg, 0.050 mmol), (piperidinomethyl)polystyrene (86 mg), and chloroform (1 mL) was added
10 methanesulfonyl chloride (0.0042 mL) and the mixture was stirred at room temperature for 1.5 h. Acetonitrile (1 mL) and (R)-3-[[N-(2-(tert-butoxycarbonylamino)-5-trifluoromethylbenzoyl)glycyl]amino]pyrrolidine (0.060 mmol) was added and the reaction mixture was stirred at 50 °C for 3 h. After cooling to room temperature, phenyl isocyanate (30 mg) was added, and the
15 mixture was stirred at room temperature for 1 h, loaded onto VarianTM SCX column and washed with CH₃OH (5 mL) and CHCl₃ (5 mL). Product was eluted using 2 N NH₃ in CH₃OH (3 mL) and concentrated.

The resulting material was dissolved into dichloromethane (1 mL), and 1 M chlorotrimethylsilane and 1 M phenol in dichloromethane (1 mL) was added.
20 The solution was stirred at room temperature for 5 h, loaded onto VarianTM SCX column and washed with CH₃OH and dichloromethane. Product was eluted using 2 N NH₃ in CH₃OH and concentrated. Preparative TLC (SiO₂, AcOEt/MeOH = 3:1) afforded (R)-3-[[N-(2-amino-5-trifluoromethylbenzoyl)glycyl]amino]-1-(benzo[c]thiadiazol-5-yl)pyrrolidine (Compound No. 2183) (11.5 mg, 48%): The
25 purity was determined by RPLC/MS (86%); ESI/MS m/e 479.2 (M^+H , $C_{21}H_{21}F_3N_5O_2S$).

Reference Example 6: Preparation of 4-[[N-(1-(9-fluorenylmethoxycarbonyl)pyrrolidin-3-yl)carbamoylmethyl]aminomethyl]-3-methoxyphenyloxymethyl-polystyrene.

To a solution of (R)-1-(9-fluorenylmethoxycarbonyl)-3-glycylamino-pyrrolidine hydrochloride (4.38 g, 10 mmol) in DMF (65 mL) were added acetic acid (0.3 mL), sodium triacetoxyborohydride (1.92 g), and 4-formyl-3-(methoxyphenyloxymethyl)-polystyrene (1 mmol/g, 200 g). The mixture was shaken for 2 h and filtered. The resin was washed with MeOH, DMF, CH₂Cl₂, and
35 methanol, and dried to afford the desired material (2.73 g).

Examples 822-912: General Procedure for Solid-Phase Synthesis of 3-Aminopyrrolidines.

To a mixture of the corresponding acid (1.6 mmol), HBTU (1.6 mmol), and DMF (6 mL) was added diisopropylethylamine (3.6 mmol), and the mixture was shaken for 2 min. 4-[[N-(1-(9-fluorenylmethoxycarbonyl)pyrrolidin-3-yl)carbamoylmethyl]aminomethyl]-3-methoxyphenyloxymethyl-polystyrene (400 mg, 0.4 mmol) was added and the mixture was shaken for 1 h and filtered. The resin was rinsed with DMF and CH₂Cl₂, and dried.

A mixture of the resulting resin, piperidine (3.2 mL), and DMF (12.8 mL) was shaken for 10 min and filtered. The resin was washed with DMF and CH₂Cl₂, and dried.

To the dry resin (0.05 mmol) was added a mixture of NaBH(OAc)₃ (0.25 mmol), AcOH (0.025 mL) and DMF (1 mL). The corresponding aldehyde (2.5 mmol) was added, and the mixture was shaken for 2 h, then filtered and washed with CH₃OH, 10% diisopropylethylamine in DMF, DMF, CH₂Cl₂, and CH₃OH. A mixture of the resin, water (0.050 mL), and trifluoroacetic acid (0.95 mL) was shaken for 1 h and filtered. The resin was washed with CH₂Cl₂ and CH₃OH. The filtrate and washings were combined and concentrated. The crude material was loaded onto Varian™ SCX column and washed with CH₃OH (15 mL). Product was eluted using 2 N NH₃ in CH₃OH (5 mL) and concentrated. Preparative TLC or HPLC, if needed, afforded the desired material. The ESI/MS data and yields are summarized in Table 18.

Table 18

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 822	1805	C21 H21 Br F3 N3 O2 S	516	13.3	76
Example 823	1806	C22 H24 F3 N3 O3 S	468	12.8	81
Example 824	1807	C22 H24 F3 N3 O4 S	484	13.7	83
Example 825	1808	C22 H24 F3 N3 O4 S	484	14.9	91
Example 826	1809	C21 H22 F3 N3 O3 S	454	12.9	84
Example 827	1810	C22 H22 F3 N3 O4 S	482	12.9	79
Example 828	1811	C24 H26 F3 N3 O2 S	478	12.9	79
Example 829	1812	C22 H24 F3 N3 O2 S2	484	5.3	32
Example 830	1813	C23 H26 F3 N3 O2 S	466	12.8	81
Example 831	1814	C23 H24 F3 N3 O3 S	480	9.7	59
Example 832	1815	C23 H26 F3 N3 O2 S	466	12.7	80
Example 833	1816	C24 H28 F3 N3 O2 S	480	14.4	88
Example 834	1817	C25 H30 F3 N3 O2 S	494	14.1	84
Example 835	1818	C21 H22 Br F2 N3 O3	482	13.4	82
Example 836	1819	C22 H25 F2 N3 O4	434	11.7	79

Example 837	1820	C22 H25 F2 N3 O5	450	11.8	77
Example 838	1821	C22 H25 F2 N3 O5	450	13.3	87
Example 839	1822	C21 H23 F2 N3 O4	420	11.9	83
Example 840	1823	C22 H23 F2 N3 O5	448	11.9	78
Example 841	1824	C24 H27 F2 N3 O3	444	9.1	60
Example 842	1825	C22 H25 F2 N3 O3 S	450	11.3	74
Example 843	1826	C23 H27 F2 N3 O3	432	10.8	74
Example 844	1827	C23 H25 F2 N3 O4	446	12.7	84
Example 845	1828	C23 H27 F2 N3 O3	432	11.7	80
Example 846	1829	C24 H29 F2 N3 O3	446	14.3	94
Example 847	1830	C24 H29 F2 N3 O3	446	10.0	66
Example 848	1831	C22 H28 Br N3 O3	462	4.8	31
Example 849	1832	C23 H31 N3 O4	414	10.4	74
Example 850	1833	C23 H31 N3 O5	430	12.1	83
Example 851	1834	C23 H31 N3 O5	430	12.0	82
Example 852	1835	C22 H29 N3 O4	400	7.9	58
Example 853	1836	C23 H29 N3 O5	428	11.1	76
Example 854	1837	C25 H33 N3 O3	424	13.3	92
Example 855	1838	C23 H31 N3 O3 S	430	8.7	60
Example 856	1839	C24 H33 N3 O3	412	11.3	81
Example 857	1840	C24 H31 N3 O4	426	12.9	89
Example 858	1841	C24 H33 N3 O3	413	12.8	91
Example 859	1842	C25 H35 N3 O3	426	8.7	60
Example 860	1843	C25 H35 N3 O3	426	12.2	84
Example 861	1844	C26 H37 N3 O3	440	11.3	76
Example 862	1845	C31 H37 Br N4 O2	577	6.4	30
Example 863	1846	C23 H28 F3 N3 O2 S	480	12.8	81
Example 864	1847	C25 H31 F2 N3 O3	460	12.2	78
Example 865	1848	C27 H29 N3 O4	460	6.1	39
Example 866	1849	C29 H31 N3 O2	454	15.1	98
Example 867	1850	C28 H31 N3 O2	442	12.7	85
Example 868	1851	C28 H31 N3 O2	442	14.3	95
Example 869	1852	C28 H29 N3 O3	456	3.4	22
Example 870	1853	C27 H29 N3 O6 S	524	15.4	87
Example 871	1854	C29 H31 N3 O4 S	518	15.8	90
Example 872	1855	C28 H31 N3 O4 S	506	17.0	99
Example 873	1856	C28 H31 N3 O4 S	506	3.0	17
Example 874	1857	C28 H29 N3 O5 S	520	10.0	57
Example 875	1858	C20 H22 Br2 N4 O2	511	9.3*	37
Example 876	1859	C21 H25 Br N4 O3	461	6.7*	29

Example 877	1860	C21 H25 Br N4 O4	477	9.5*	40
Example 878	1861	C21 H25 Br N4 O4	477	10.0*	42
Example 879	1862	C20 H23 Br N4 O3	447	7.8*	34
Example 880	1863	C21 H23 Br N4 O4	475	3.4*	14
Example 881	1864	C21 H25 Br N4 O2 S	477	3.9*	16
Example 882	1865	C22 H25 Br N4 O3	473	6.4*	27
Example 883	1866	C23 H29 Br N4 O2	472	7.0*	29
Example 884	1867	C23 H29 Br N4 O2	473	7.6*	32
Example 885	1868	C24 H31 Br N4 O2	487	9.1*	37
Example 886	1869	C20 H22 Br I N4 O2	557	8.9*	33
Example 887	1870	C21 H25 I N4 O3	509	9.2*	37
Example 888	1871	C21 H25 I N4 O4	525	6.3*	25
Example 889	1872	C21 H25 I N4 O4	525	5.9*	23
Example 890	1873	C20 H23 I N4 O3	495	7.7*	31
Example 891	1874	C21 H23 I N4 O4	523	8.2*	32
Example 892	1875	C23 H27 I N4 O2	519	6.7*	26
Example 893	1876	C21 H25 I N4 O2	525	4.3*	17
Example 894	1877	C22 H27 I N4 O2	507	7.9*	32
Example 895	1878	C22 H25 I N4 O3	521	8.4*	33
Example 896	1879	C23 H29 I N4 O2	521	8.2*	32
Example 897	1880	C23 H29 I N4 O2	521	8.1*	32
Example 898	1881	C24 H31 I N4 O2	535	8.6*	33
Example 899	1882	C20 H22 Br N5 O4	476	5.3*	22
Example 900	1883	C21 H25 N5 O5	428	5.7*	26
Example 901	1884	C21 H25 N5 O6	444	8.2*	36
Example 902	1885	C21 H25 N5 O6	444	5.0*	22
Example 903	1886	C20 H23 N5 O5	414	8.7*	40
Example 904	1887	C21 H23 N5 O6	442	7.8*	34
Example 905	1888	C23 H27 N5 O4	438	5.6*	25
Example 906	1889	C21 H25 N5 O4 S	444	13.2*	58
Example 907	1890	C22 H27 N5 O4	426	11.3*	51
Example 908	1891	C22 H25 N5 O5	440	7.4*	33
Example 909	1892	C22 H27 N5 O4	426	5.5*	25
Example 910	1893	C23 H29 N5 O4	440	5.7*	25
Example 911	1894	C23 H29 N5 O4	440	9.4*	41
Example 912	1895	C24 H31 N5 O4	455	8.5*	37

*Yield of TFA salt.

Reference Example 7: Preparation of 2-Carbamoyl-1-(4-

chlorobenzyl)pyrrolidine.

A solution of *dl*-prolinamide hydrochloride (2.5 g, 21.8 mmol) in CH₃CN (35 mL) was treated with Et₃N (7.45 mL) and 4-chlorobenzyl chloride (3.88 g, 24.1 mmol). The reaction mixture was stirred at 70 °C for 4 h and then at 25 °C for 16 h. The resulting mixture was diluted with CH₂Cl₂ (20 mL) and was washed with water (3 x 30 mL). The organic phase was dried (MgSO₄) and concentrated. Chromatography (SiO₂, 1% CH₃OH-CH₂Cl₂) afforded 2-carbamoyl-1-(4-chlorobenzyl)pyrrolidine (5.21 g, 81%).

10 **Reference Example 8: Preparation of 2-(Aminomethyl)-1-(4-chlorobenzyl)pyrrolidine.**

2-carbamoyl-1-(4-chlorobenzyl)pyrrolidine was dissolved in 1M BH₃-THF (9.4 mL) and heated to 70 °C. After 16 h and 25 h, additional 0.5 equiv. of 1M BH₃-THF were added. After 40 h, 1 N aqueous HCl solution (14 mL) was added and the reaction was heated to reflux for 3 h, 3 N aqueous HCl solution (6 mL) was added and the reaction was heated for an additional 3 h. The reaction mixture was cooled to 25 °C, basicified with 4 N aqueous NaOH solution and extracted with CH₂Cl₂ (4 x 15 mL). Chromatography (SiO₂, 8:1:1 ⁱPrOH-H₂O-NH₄OH) afforded 2-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine (1.21 g, 86%).

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Optically active (*S*)-2-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine and (*R*)-2-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine were also prepared pursuant to the above method using the corresponding reactant respectively.

25 (*S*)-2-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine: ¹H NMR (CDCl₃, 400 MHz) δ 1.40-1.80 (m, 5 H), 1.80-1.95 (m, 1 H), 2.12-2.21 (m, 1 H), 2.48-2.65 (m, 1 H), 2.66-2.78 (m, 2 H), 2.85-2.95 (m, 1 H), 3.26 (d, J = 13.2 Hz, 1 H), 3.93 (d, J = 13.2 Hz, 1 H), 7.20-7.40 (m, 4 H).

(*R*)-2-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine showed the same ¹H NMR with that of (*S*)-isomer.

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Example 913: Preparation of 2-((*N*-benzoylleucyl)aminomethyl)-1-(4-chlorobenzyl)pyrrolidine (Compound No. 344).

35 A solution of 2-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine (22.5 mg, 0.10 mmol) and *dl*-benzoylleucine (0.12 mmol) in CHCl₃ (1 mL) was treated with EDCI (23 mg), HOBT (16.2 mg) and Et₃N (15.2 μL), and stirred at 25 °C for 16 h. The reaction mixture was diluted with CH₂Cl₂ (0.5 mL), washed with 2 N aqueous NaOH solution (2 x 0.75 mL), dried by filtration through a PTFE membrane and concentrated to afford 2-((*N*-benzoylleucyl)aminomethyl)-1-(4-

chlorobenzyl)pyrrolidine (compound No. **344**) (74 mg, quant) : The purity was determined by RPLC/MS (85%); ESI/MS m/e 442 (M^+H , $C_{25}H_{32}ClN_3O_2$).

Examples 914-935.

5 The compounds of this invention were synthesized pursuant to methods of Example 913 using the corresponding reactant respectively. Chromatography, if needed, (HPLC- C_{18} , $CH_3CN/H_2O/TFA$) afforded the desired material as the TFA salt. The ESI/MS data and yields are summarized in Table 19 and compound No. **339** and **340** showed the following 1H NMR spectra respectively.

10

Table 19

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 914	330	C21 H24 Cl N3 O2	386	75*	quant
Example 915	331	C22 H26 Cl N3 O2	400	44*	70
Example 916	332	C24 H30 Cl N3 O5	476	57	quant
Example 917	333	C20 H23 Cl N4 O2	387	40	quant
Example 918	334	C22 H26 Cl N3 O2	400	68	quant
Example 919	335	C21 H23 Cl N4 O4	431	73	quant
Example 920	336	C22 H23 Cl F3 N3 O2	454	75	quant
Example 921	337	C22 H26 Cl N3 O2	400	68	quant
Example 922	338	C22 H26 Cl N3 O2	400	70	quant
Example 923	341	C22 H26 Cl N3 O2	400	80*	quant
Example 924	342	C22 H26 Cl N3 O2	400	68	quant
Example 925	343	C24 H30 Cl N3 O2	428	63	quant
Example 926	345	C23 H27 Cl N2 O2	399	68*	quant
Example 927	346	C23 H26 Cl F N2 O3	433	51	quant
Example 928	347	C24 H29 Cl N2 O2	413	47	quant
Example 929	348	C23 H27 Cl N2 O2	399	26	quant
Example 930	349	C21 H25 Cl N2 O3 S	421	42	quant
Example 931	350	C26 H33 Cl N2 O3	457	12.4	54
Example 932	351	C22 H26 Cl N3 O3	416	34	81
Example 933	352	C22 H25 Cl2 N3 O3	450	51	quant

*Yield of TFA salt.

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Example 934. Compound No. **339**: 82%; 1H NMR ($CDCl_3$) δ 1.52-1.75 (m, 4 H), 1.84-1.95 (m, 1 H), 2.10-2.20 (m, 1 H), 2.67-2.78 (m, 1 H), 2.80-2.90 (m, 1 H), 3.10-3.20 (m, 1 H), 3.25 (d, $J = 13.1$ Hz, 1 H), 3.50-3.60 (m, 1 H), 3.89 (d,

$J = 13.1$ Hz, 1 H), 4.28–4.20 (m, 2 H), 7.00–7.05 (m, 1 H), 7.12–7.29 (m, 4 H), 7.51 (t, $J = 7.8$ Hz, 1 H), 7.74 (d, $J = 7.8$ Hz, 1 H), 7.99 (d, $J = 7.8$ Hz, 1 H), 8.10–8.27 (m, 2 H).

Example 935. Compound No. 340: 68%; ^1H NMR (CDCl_3) δ 1.55–1.73 (m, 4 H), 1.86–1.97 (m, 1 H), 2.12–2.21 (m, 1 H), 2.67–2.76 (m, 1 H), 2.86–2.93 (m, 1 H), 3.14–3.21 (m, 1 H), 3.27 (d, $J = 13.1$ Hz, 1 H), 3.52–3.59 (m, 1 H), 3.89 (d, $J = 13.1$ Hz, 1 H), 4.09–4.21 (m, 2 H), 7.00–7.07 (m, 1 H), 7.12–7.30 (m, 4 H), 7.50 (t, $J = 7.8$ Hz, 1 H), 7.73 (d, $J = 7.8$ Hz, 1 H), 8.01 (d, $J = 7.8$ Hz, 1 H), 8.10–8.25 (m, 2 H).

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Reference Example 9: Preparation of 3-(Aminomethyl)-1-(4-chlorobenzyl)pyrrolidine.

To a mixture of 4-carboxy-1-(4-chlorobenzyl)pyrrolidin-2-one (5.05 g, 20 mmol), EDCI (2.85 g, 22 mmol), HOBt (2.97 g, 22 mmol) and dichloromethane (100 mL) was added 0.5 M ammonia in dioxane (60 mL, 30 mmol). The reaction mixture was stirred at room temperature for 15 h and washed with 2N HCl (3 times) and 2 N NaOH aqueous solution (100 mL x 4). The organic layer was dried over anhydrous magnesium sulfate, filtered, and concentrated to afford 3-carbamoyl-1-(4-chlorobenzyl)pyrrolidin-2-one (1.49 g) as a colorless solid.

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To a solution of 3-carbamoyl-1-(4-chlorobenzyl)pyrrolidin-2-one (1.45 g) in THF (15 mL) was added 1.0 N BH_3 in THF (25 mL). The reaction mixture was stirred at 65 °C for 15 h. After cooling to room temperature, the solvent was removed under reduced pressure. Water (30 mL) and conc. HCl (10 mL) were added and the mixture was stirred at 100 °C for 2 h and room temperature for 1 h. 2 N NaOH aqueous solution (100 mL) was added and the mixture was extracted with AcOEt (50 mL x 3). The combined organic layers were dried over K_2CO_3 , filtered and concentrated. Column chromatography (SiO_2 , 15% CH_3OH –5% Et_3N in CH_2Cl_2) afforded 3-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine (860 mg, 19%) as a colorless oil.

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Reference Example 10: Preparation of 1-(4-Chlorobenzyl)-3-((glycylamino)methyl)pyrrolidine.

A mixture of 3-(aminomethyl)-1-(4-chlorobenzyl)pyrrolidine (860 mg, 3.8 mmol), Et_3N (5.7 mmol), *N*-tert-butoxycarbonylglycine (704 mg), EDCI (594 mg), HOBt (673 mg), and dichloromethane (20 mL) was stirred at room temperature for 15 h. Dichloromethane (50 mL) was added and the solution was washed with 2 N NaOH solution (50 mL x 2), dried over anhydrous sodium sulfate, filtered, and concentrated to afford 3-[[*N*-(tert-butoxycarbonyl)glycyl]aminomethyl]-1-(4-

35

chlorobenzyl)pyrrolidine (1.31 g, 90%).

To a solution of 3-[[N-(tert-butoxycarbonyl)glycyl]aminomethyl]-1-(4-chlorobenzyl)pyrrolidine (804 mg, 2.11 mmol) in methanol (10 mL) was added 4 N HCl in dioxane (5 mL). The solution was stirred at room temperature for 3.5 h. The reaction mixture was concentrated and 1 N NaOH solution (20 mL) was added. The mixture was extracted with dichloromethane (20 mL x 3), and the combined extracts were dried over sodium sulfate and concentrated to give desired 1-(4-chlorobenzyl)-3-((glycylamino)methyl)pyrrolidine (599 mg, 100%): The purity was determined by RPLC/MS (100%); ESI/MS m/e 282.2 (M⁺+H, C₁₄H₂₀ClN₃O).

Example 936: Preparation of 3-[[N-(3-Trifluoromethylbenzoyl)glycyl]aminomethyl]-1-(4-chlorobenzyl)pyrrolidine (Compound No. 1463).

A solution of 3-(trifluoromethyl)benzoyl chloride (0.058 mmol) in dichloromethane (0.2 mL) was added to a mixture of 1-(4-chlorobenzyl)-3-((glycylamino)methyl)pyrrolidine (0.050 mmol) and piperidinomethylpolystyrene (60 mg) in chloroform (0.2 mL) and dichloromethane (1 mL). After the reaction mixture was stirred at room temperature for 2.5 h, methanol (0.30 mL) was added and the mixture was stirred at room temperature for 1 h. The reaction mixture was loaded onto VarianTM SCX column, and washed with CH₃OH (15 mL). Product was eluted off using 2 N NH₃ in CH₃OH (5 mL) and concentrated to afford (3-[[N-(3-trifluoromethylbenzoyl)glycyl]aminomethyl]-1-(4-chlorobenzyl)pyrrolidine (Compound No. 1463) (22.4 mg, 99%): The purity was determined by RPLC/MS (97%); ESI/MS m/e 454.2 (M⁺+H, C₂₂H₂₃ClF₃N₃O₂).

Examples 937-944.

The compounds of this invention were synthesized pursuant to methods of Example 936 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 20.

Table 20

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 937	1464	C22 H23 Cl F3 N3 O3	470.0	21.0	89
Example 938	1465	C23 H22 Cl F6 N3 O2	522.0	24.5	94
Example 939	1466	C21 H23 Br Cl N3 O2	466.0	20.8	90
Example 940	1467	C21 H23 Cl2 N3 O2	420.0	19.6	93

Example 941	1468	C21 H23 Cl N4 O4	431.2	19.5	91
Example 942	1469	C22 H22 Cl F4 N3 O2	472.0	21.8	92
Example 943	1470	C21 H22 Cl3 N3 O2	456.0	22.1	97
Example 944	1471	C21 H22 Cl F2 N3 O2	422.0	20.9	99

Example 945: Preparation of 3-[[N-(2-Amino-4,5-difluorobenzoyl)glycyl]aminomethyl]-1-(4-chlorobenzyl)pyrrolidine (Compound No. 1506).

5 A solution of 1-(4-chlorobenzyl)-3-((glycylamino)methyl)pyrrolidine (0.050 mmol) in CHCl_3 (1.35 mL) and *tert*-butanol (0.05 mL) was treated with 2-amino-4,5-difluorobenzoic acid (0.060 mmol), diisopropylcarbodiimide (0.060 mmol), and HOBt (0.060 mmol). The reaction mixture was stirred at room temperature for 19 h. The mixture was loaded onto VarianTM SCX column, and washed
10 with $\text{CH}_3\text{OH}/\text{CHCl}_3$ 1:1 (10 mL) and CH_3OH (10 mL). Product was eluted off using 2 N NH_3 in CH_3OH (5 mL) and concentrated to afford 3-[[N-(2-amino-4,5-difluorobenzoyl)glycyl]aminomethyl]-1-(4-chlorobenzyl)pyrrolidine (Compound No. 1506) (22.0 mg, quant): The purity was determined by RPLC/MS (92%); ESI/MS
15 m/e 437 ($\text{C}_{21}\text{H}_{23}\text{ClF}_2\text{N}_4\text{O}_2$).

Examples 946-952.

The compounds of this invention were synthesized pursuant to methods of Example 945 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 21.

Table 21

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 946	1506	C21 24 Br Cl N4 O2	481	20.6	86
Example 947	1507	C21 H24 F Cl N4 O2	419	21.7	quant
Example 948	1509	C27 H28 Cl N3 O2	462	26.5	quant
Example 949	1510	C21 H24 Cl I N4 O2	527	22.0	84
Example 950	1511	C19 H21 Br Cl N3 O2 S	472	23.7	quant
Example 951	1512	C21 H24 Cl2 N4 O2	435	22.3	quant
Example 952	1513	C27 H28 Cl N3 O4 S	526	24.6	94

Reference Example 11: Preparation of 1-(4-Chlorobenzyl)nipecotic acid.

25 4-Chlorobenzyl chloride (6.42 g, 39.9 mmol) and $^i\text{Pr}_2\text{NEt}$ (7.74 g, 40.0 mmol)

were added to a solution of ethyl nipecotate (6.29 g, 40.0 mmol) in CH₃CN (15 mL). The reaction mixture was stirred at 70 °C for 1.5 h. The solvent was removed under reduced pressure. Saturated aqueous NaHCO₃ (50 mL) was added to the residue and the mixture was extracted with EtOAc (100 mL). The organic phase was washed
5 with saturated aqueous NaHCO₃ and brine, and dried over Na₂SO₄. The solvent was removed under reduced pressure to afford ethyl 1-(4-chlorobenzyl)nipecotate as a red yellow oil (11.025 g, 97.8%) used without further purification. The purity was determined by RPLC/MS (97%); ESI/MS m/e 382.2 (M⁺+H, C₁₅H₁₁ClNO₂).

A solution of LiOH (1.66 g) in H₂O (25 mL) was added to the solution of
10 ethyl 1-(4-chlorobenzyl)nipecotate in THF (60 mL) and CH₃OH (20 mL). The reaction mixture was stirred at room temperature for 15 h. The solvent was removed under reduced pressure to afford an amorphous solid which was purified by column chromatography (SiO₂, 50% CH₃OH-CH₂Cl₂) to yield 1-(4-chlorobenzyl)nipecotic acid (9.75 g, 98.2%) as a pale yellow amorphous solid. The purity was determined
15 by RPLC/MS (>95%); ESI/MS m/e 254.0 (M⁺+H, C₁₃H₁₇ClNO₂).

Reference Example 12: Preparation of 1-(4-Chlorobenzyl)-3-((tert-butoxycarbonyl)amino)piperidine.

A solution of 1-(4-chlorobenzyl)nipecotic acid (7.06 g, 27.8 mmol) in
20 ^tBuOH (500 mL) was treated with Et₃N (3.38 g) and activated 3 Å molecular sieves (30 g). Diphenylphosphoryl azide (8.58 g) was added, and the reaction mixture was warmed at reflux for 18 h. The mixture was cooled and the solvent was reflux for 18 h. The mixture was cooled and the solvent was remove under vacuum. The residue was dissolved in EtOAc (500 mL), and the organic phase was washed with
25 saturated aqueous NaHCO₃ (2 x 100 mL) and brine (50 mL), dried (Na₂SO₄), and concentrated in vacuo. Chromatography (SiO₂, 25% EtOAc-hexane) afforded 1-(4-chlorobenzyl)-3-((tert-butoxycarbonyl)amino)piperidine (2.95 g, 32.6%) as a white crystalline solid: ¹H NMR (CDCl₃, 300 MHz) δ 1.4-1.75 (br, 4 H), 2.2-2.7 (br, 4 H), 3.5 (br, 2 H), 3.8 (br, 1 H), 7.3 (br, 4 H); The purity was determined
30 by RPLC/MS (>99%); ESI/MS m/e 269.2 (M⁺+H-56, C₁₇H₂₆ClN₂O₂).

Reference Example 13: Preparation of 3-Amino-1-(4-chlorobenzyl)piperidine.

A solution of 1-(4-chlorobenzyl)-3-((tert-butoxycarbonyl)amino)piperidine (2.55 g, 7.85 mmol) in CH₃OH (25 mL) was treated
35 with 1 N HCl-Et₂O (50 mL). The reaction mixture was stirred at 25 °C for 15 h. The solvent was removed under reduced pressure to afford 3-amino-1-(4-chlorobenzyl)piperidine dihydrochloride as an amorphous solid (2.49 g, quant).

The purity was determined by RPLC/MS (>95%),; ESI/MS m/e 225.2 (M^+H , $C_{12}H_{10}ClN_2$).

Example 953: Preparation of 1-(4-Chlorobenzyl)-3-[(N-(3-methylbenzoyl)glycyl)amino]piperidine (Compound No. 355).

5 N-(3-Methylbenzoyl)glycine (10.6 mg, 0.055 mmol), EDCI (10.5 mg) and 1-hydroxybenzotriazole hydrate (7.4 mg) were added to a solution of 1-(4-chlorobenzyl)-3-aminopiperidine dihydrochloride (14.9 mg, 0.050 mmol) and Et_3N (15.2 mg) in $CHCl_3$ (2.5 mL). The reaction mixture was stirred at 25 °C for 16 h, washed with 2 N aqueous NaOH (2 mL x 2) and brine (1 mL). After filtration
10 through PTFE membrane filter, the solvent was removed under reduced pressure to afford 1-(4-chlorobenzyl)-3-[(N-(3-methylbenzoyl)glycyl)amino]piperidine (compound No. 355) as a pale yellow oil (17.4 mg, 87%): The purity was determined by RPLC/MS (97%); ESI/MS m/e 400.0 (M^+H , $C_{22}H_{26}ClN_3O_2$).

15 **Examples 954-982.**

The compounds of this invention were synthesized pursuant to methods of Example 953 using the corresponding reactant respectively. The ESI/MS data and yields are summarized in Table 22 and compound No. 358 showed the following 1H NMR spectra.

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Table 22

	Compound No.	Molecular Formula	ESI/MS m/e	Yield (mg)	Yield (%)
Example 954	354	C21 H24 Cl N3 O2	386	16.1	83
Example 955	356	C20 H23 Cl N4 O2	387	19.4	100
Example 956	357	C22 H26 Cl N3 O2	400	16.8	84
Example 957	359	C22 H26 Cl N3 O2	400	8.9	17
Example 958	360	C22 H25 Cl N4 O4	445	25.6	quant
Example 959	361	C23 H27 Cl N2 O2	399	15.5	29
Example 960	362	C24 H29 Cl N2 O3	429	12.4	58
Example 961	363	C21 H25 Cl N2 O2 S	405	22.2	quant
Example 962	364	C24 H29 Cl N2 O4	445	20.7	93
Example 963	365	C24 H29 Cl N2 O2	413	15.6	75
Example 964	366	C23 H26 Cl F N2 O3	433	21.6	100
Example 965	367	C23 H27 Cl N2 O2	399	11.9	60
Example 966	368	C22 H25 Cl N2 O2	385	16.0	83
Example 967	369	C22 H24 Cl2 N2 O2	419	13.9	60
Example 968	370	C26 H33 Cl N2 O3	457	15.9	54